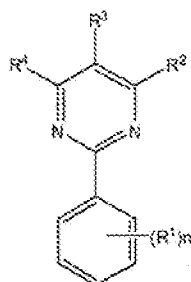


**Amendments to the Claims:**

This listing of claims will replace all prior versions, and listings, of claims in the application:

**Listing of Claims:**

1. (Currently amended) A pharmaceutical composition comprising a compound of formula (I)



(I)

or a pharmaceutical acceptable salt thereof, wherein

n is 0 to 5;

R<sup>1</sup> is each independently selected from the group consisting of halo, pseudohalo, cyano, nitro, hydroxyl, formyl, mercapto, hydroxycarbonyl, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, alkoxy, aminoalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, and optionally substituted heterocyclyl;

R<sup>2</sup> and R<sup>3</sup> are selected as in a) or b) as below,

a) R<sup>2</sup> is selected from the group consisting of optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, and optionally substituted heteroaralkyl, -OR<sup>6</sup>, -S(O)R<sup>6</sup>, -N(R<sup>7</sup>)R<sup>8</sup>, -N(R<sup>8</sup>)S(O)R<sup>10</sup>, -C(O)R<sup>6</sup>, -C(O)OR<sup>6</sup>, and -C(O)N(R<sup>7</sup>)R<sup>8</sup>; and

R<sup>3</sup> is independently selected from the group consisting of hydrogen, halo, pseudohalo, cyano, nitro, hydroxyl, formyl, mercapto, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, alkoxy, aminoalkyl, optionally substituted aryl,

Serial No.:10/595,734

## Author Search

⇒ FILE HCAPLUS

FILE 'HCAPLUS' ENTERED AT 16:15:59 ON 09 OCT 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT © 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 9 Oct 2008 VOL 149 ISS 15

FILE LAST UPDATED: 8 Oct 2008 (20081008/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

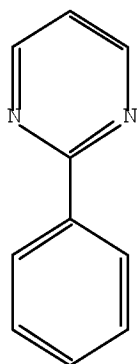
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

⇒ D STAT QUE L59

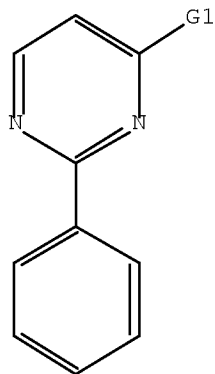
L8 STR



Structure attributes must be viewed using STN Express query preparation.

L9 43848 SEA FILE=REGISTRY SSS FUL L8

L11 STR



G1 O, [01], [02], [03], [04]

Ak 1

Cy 2

S<sub>3</sub> O4 O

Structure attributes must be viewed using STN Express query preparation.

L13 22912 SEA FILE=REGISTRY SUB=L9 SSS FUL L11  
 L14 2218 SEA FILE=HCAPLUS ABB=ON PLU=ON L13  
 L55 7056 SEA FILE=HCAPLUS ABB=ON PLU=ON MARTIN R?/AU  
 L56 767 SEA FILE=HCAPLUS ABB=ON PLU=ON MOHAN R?/AU  
 L57 26 SEA FILE=HCAPLUS ABB=ON PLU=ON ORDENTLICH P?/AU  
 L58 7827 SEA FILE=HCAPLUS ABB=ON PLU=ON (L55 OR L56 OR L57)  
 L59 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L58 AND L14

⇒ D IBIB ED ABS HITSTR L59 1

L59 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:451367 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:476293  
 TITLE: Substituted pyrimidine compositions and methods using  
 them for the treatment of NGFI-B-related diseases  
 INVENTOR(S): Martin, Richard; Mohan, Raju;  
 Ordentlich, Peter  
 PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA  
 SOURCE: PCT Int. Appl., 117 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047268	A2	20050526	WO 2004-US37642	20041109
WO 2005047268	A3	20050721		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, VC, VN, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,

Serial No.:10/595,734

SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
NE, SN, TD, TG

US 20070293464 A1 20071220 US 2007-595734 20070522  
PRIORITY APPLN. INFO.: US 2003-519030P P 20031110  
WO 2004-US37642 W 20041109

OTHER SOURCE(S): MARPAT 142:476293

ED Entered STN: 27 May 2005

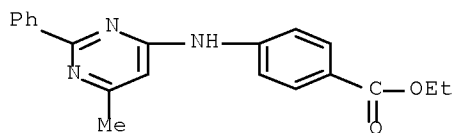
AB Compns. And methods using substituted pyrimidines are provided. The substituted pyrimidines may be used to treat diseases modulated by NGFI-B family activity.

IT 65789-90-4 299406-55-6 300359-06-2  
300359-07-3 300359-08-4 300719-05-5  
300837-31-4 303147-11-7 303147-12-8  
303147-40-2 303147-41-3 303147-45-7  
306980-56-3 306980-58-5 307332-77-0  
307332-78-1 312626-15-6 315194-30-0  
320418-43-7 320418-48-2 320418-49-3  
320421-36-1 329077-80-7 330221-00-6  
330819-79-9 330981-36-7 330981-37-8  
330981-38-9 330981-39-0 330981-41-4  
330981-42-5 330981-45-8 330981-47-0  
330981-49-2 330981-52-7 330981-53-8  
330981-54-9 330981-55-0 330981-59-4  
330981-60-7 330981-61-8 330981-63-0  
330981-64-1 330981-65-2 330981-70-9  
330993-01-6 330993-02-7 331648-43-2  
331648-44-3 332374-83-1 333415-58-0  
338395-36-1 338960-71-7 338960-72-8  
338960-73-9 338960-74-0 338960-75-1  
338960-76-2 338960-93-3 338960-99-9  
338967-63-8 339279-05-9 339279-06-0  
339279-07-1 339279-08-2 339279-21-9  
339279-27-5 371199-20-1 371199-57-4  
380472-88-8 380571-66-4 381683-04-1  
415699-44-4 419548-22-4 420104-18-3  
477710-02-4 477886-15-0 477886-16-1  
477886-19-4 478031-54-8 478031-59-3  
478031-64-0 487015-37-2 499975-26-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(pyrimidine \_ethyl\_. For treatment of NGFI-B-related diseases)

RN 65789-90-4 HCAPLUS

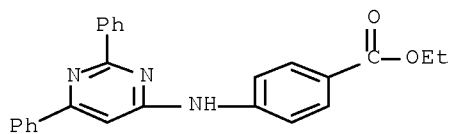
CN Benzoic acid, 4-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]-, ethyl ester  
(CA INDEX NAME)



RN 299406-55-6 HCAPLUS

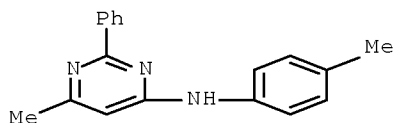
CN Benzoic acid, 4-[(2,6-diphenyl-4-pyrimidinyl)amino]-, ethyl ester (CA  
INDEX NAME)





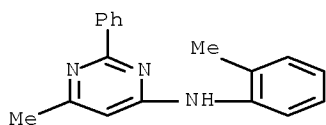
RN 300359-06-2 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-methylphenyl)-2-phenyl- (CA INDEX NAME)



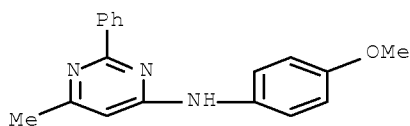
RN 300359-07-3 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(2-methylphenyl)-2-phenyl- (CA INDEX NAME)



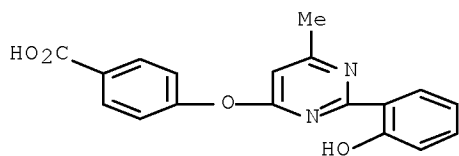
RN 300359-08-4 HCAPLUS

CN 4-Pyrimidinamine, N-(4-methoxyphenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



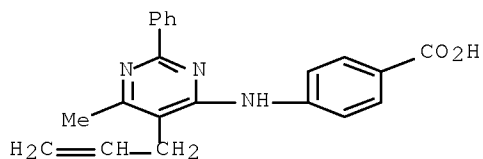
RN 300719-05-5 HCAPLUS

CN Benzoic acid, 4-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]oxy]- (CA INDEX NAME)



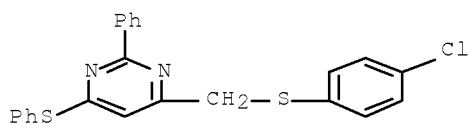
RN 300837-31-4 HCAPLUS

CN Benzoic acid, 4-[[6-methyl-2-phenyl-5-(2-propen-1-yl)-4-pyrimidinyl]amino]-  
(CA INDEX NAME)



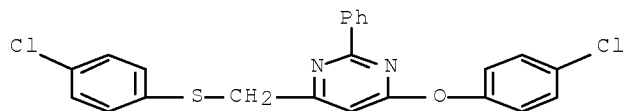
RN 303147-11-7 HCAPLUS

CN Pyrimidine, 4-[[ (4-chlorophenyl)thio]methyl]-2-phenyl-6-(phenylthio)- (CA  
INDEX NAME)



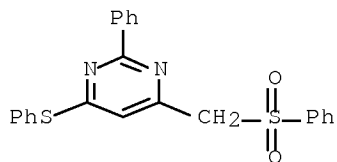
RN 303147-12-8 HCAPLUS

CN Pyrimidine, 4-(4-chlorophenoxy)-6-[[ (4-chlorophenyl)thio]methyl]-2-phenyl-  
(CA INDEX NAME)



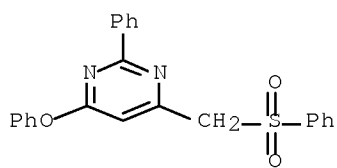
RN 303147-40-2 HCAPLUS

CN Pyrimidine, 2-phenyl-4-[(phenylsulfonyl)methyl]-6-(phenylthio)- (CA INDEX  
NAME)



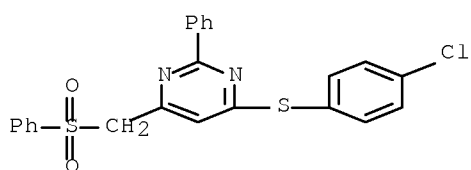
RN 303147-41-3 HCAPLUS

CN Pyrimidine, 4-phenoxy-2-phenyl-6-[(phenylsulfonyl)methyl]- (CA INDEX  
NAME)



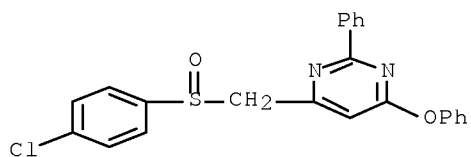
RN 303147-45-7 HCAPLUS

CN Pyrimidine, 4-[(4-chlorophenyl)thio]-2-phenyl-6-[(phenylsulfonyl)methyl]-  
(CA INDEX NAME)



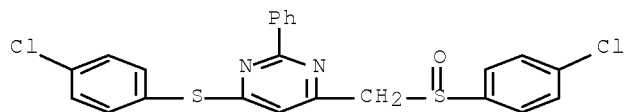
RN 306980-56-3 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)sulfinyl]methyl]-6-phenoxy-2-phenyl]-  
(CA INDEX NAME)



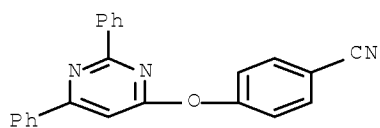
RN 306980-58-5 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)sulfinyl]methyl]-6-[(4-chlorophenyl)thio]-  
2-phenyl]- (CA INDEX NAME)

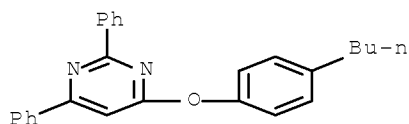


RN 307332-77-0 HCAPLUS

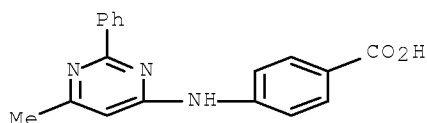
CN Benzonitrile, 4-[(2,6-diphenyl-4-pyrimidinyl)oxy]- (CA INDEX NAME)



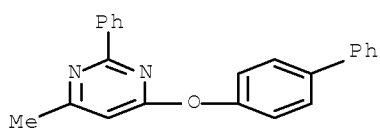
RN 307332-78-1 HCAPLUS  
 CN Pyrimidine, 4-(4-butylphenoxy)-2,6-diphenyl- (CA INDEX NAME)



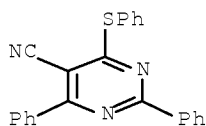
RN 312626-15-6 HCAPLUS  
 CN Benzoic acid, 4-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)



RN 315194-30-0 HCAPLUS  
 CN Pyrimidine, 4-([1,1'-biphenyl]-4-yloxy)-6-methyl-2-phenyl- (CA INDEX NAME)



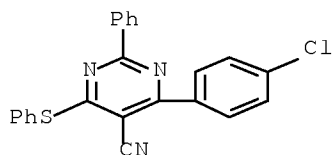
RN 320418-43-7 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 2,4-diphenyl-6-(phenylthio)- (CA INDEX NAME)



RN 320418-48-2 HCAPLUS

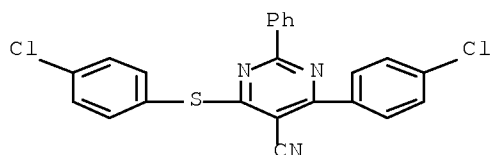
Serial No.:10/595,734

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-2-phenyl-6-(phenylthio)- (CA INDEX NAME)



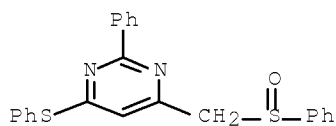
RN 320418-49-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[(4-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



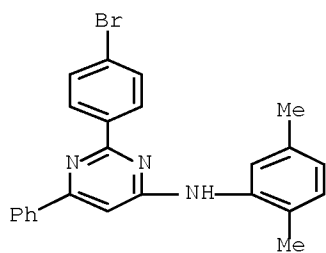
RN 320421-36-1 HCAPLUS

CN Pyrimidine, 2-phenyl-4-[(phenylsulfinyl)methyl]-6-(phenylthio)- (CA INDEX NAME)



RN 329077-80-7 HCAPLUS

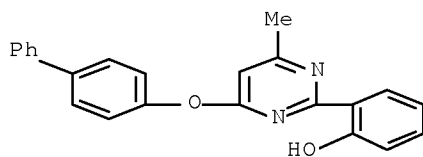
CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(2,5-dimethylphenyl)-6-phenyl- (CA INDEX NAME)



RN 330221-00-6 HCAPLUS

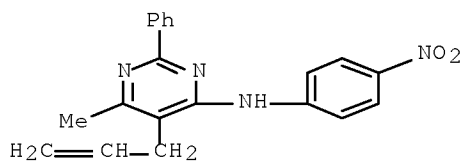
Serial No.:10/595,734

CN Phenol, 2-[4-([1,1'-biphenyl]-4-yloxy)-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



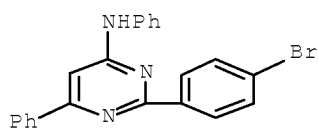
RN 330819-79-9 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)- (CA INDEX NAME)



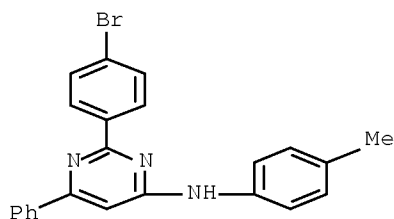
RN 330981-36-7 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N,6-diphenyl- (CA INDEX NAME)



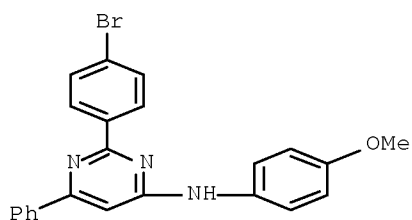
RN 330981-37-8 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(4-methylphenyl)-6-phenyl- (CA INDEX NAME)



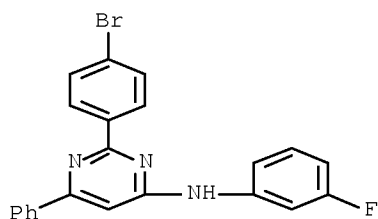
RN 330981-38-9 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(4-methoxyphenyl)-6-phenyl- (CA INDEX NAME)



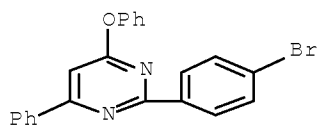
RN 330981-39-0 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(3-fluorophenyl)-6-phenyl- (CA INDEX NAME)



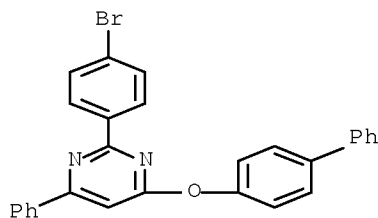
RN 330981-41-4 HCAPLUS

CN Pyrimidine, 2-(4-bromophenyl)-4-phenoxy-6-phenyl- (CA INDEX NAME)



RN 330981-42-5 HCAPLUS

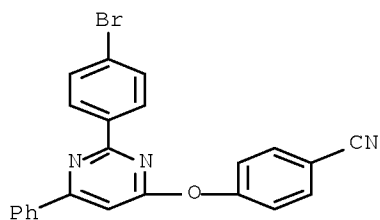
CN Pyrimidine, 4-([1,1'-biphenyl]-4-yloxy)-2-(4-bromophenyl)-6-phenyl- (CA INDEX NAME)



RN 330981-45-8 HCAPLUS

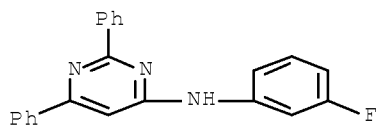
Serial No.:10/595,734

CN Benzonitrile, 4-[[2-(4-bromophenyl)-6-phenyl-4-pyrimidinyl]oxy]- (CA INDEX NAME)



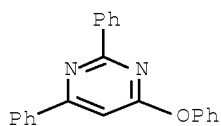
RN 330981-47-0 HCAPLUS

CN 4-Pyrimidinamine, N-(3-fluorophenyl)-2,6-diphenyl- (CA INDEX NAME)



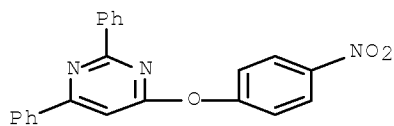
RN 330981-49-2 HCAPLUS

CN Pyrimidine, 4-phenoxy-2,6-diphenyl- (CA INDEX NAME)



RN 330981-52-7 HCAPLUS

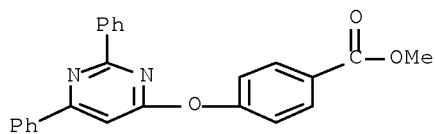
CN Pyrimidine, 4-(4-nitrophenoxy)-2,6-diphenyl- (CA INDEX NAME)



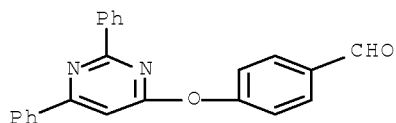
RN 330981-53-8 HCAPLUS

CN Benzoic acid, 4-[(2,6-diphenyl-4-pyrimidinyl)oxy]-, methyl ester (CA INDEX NAME)

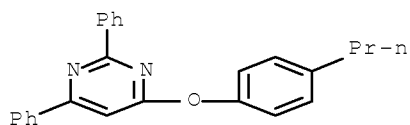




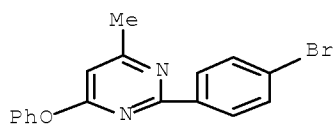
RN 330981-54-9 HCAPLUS  
 CN Benzaldehyde, 4-[(2,6-diphenyl-4-pyrimidinyl)oxy]- (CA INDEX NAME)



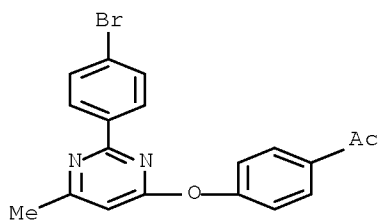
RN 330981-55-0 HCAPLUS  
 CN Pyrimidine, 2,4-diphenyl-6-(4-propylphenoxy)- (CA INDEX NAME)



RN 330981-59-4 HCAPLUS  
 CN Pyrimidine, 2-(4-bromophenyl)-4-methyl-6-phenoxy- (CA INDEX NAME)

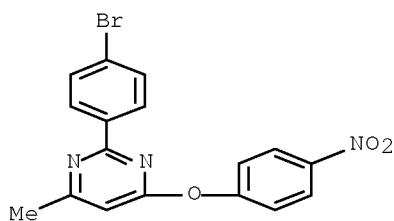


RN 330981-60-7 HCAPLUS  
 CN Ethanone, 1-[4-[[2-(4-bromophenyl)-6-methyl-4-pyrimidinyl]oxy]phenyl]- (CA INDEX NAME)



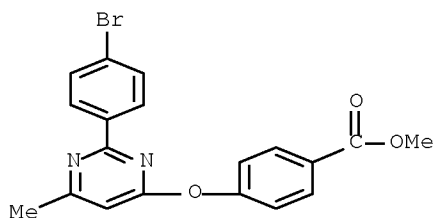
RN 330981-61-8 HCAPLUS

CN Pyrimidine, 2-(4-bromophenyl)-4-methyl-6-(4-nitrophenoxy)- (CA INDEX NAME)



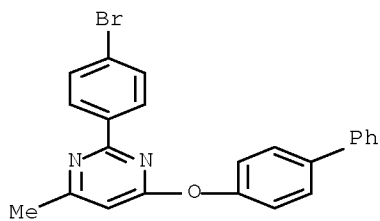
RN 330981-63-0 HCAPLUS

CN Benzoic acid, 4-[[2-(4-bromophenyl)-6-methyl-4-pyrimidinyl]oxy]-, methyl ester (CA INDEX NAME)



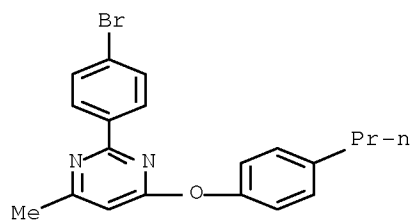
RN 330981-64-1 HCAPLUS

CN Pyrimidine, 4-([1,1'-biphenyl]-4-yloxy)-2-(4-bromophenyl)-6-methyl- (CA INDEX NAME)

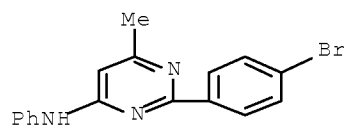


RN 330981-65-2 HCAPLUS

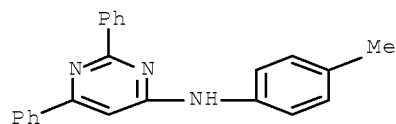
CN Pyrimidine, 2-(4-bromophenyl)-4-methyl-6-(4-propylphenoxy)- (CA INDEX NAME)



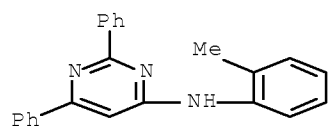
RN 330981-70-9 HCAPLUS  
 CN 4-Pyrimidinamine, 2-(4-bromophenyl)-6-methyl-N-phenyl- (CA INDEX NAME)



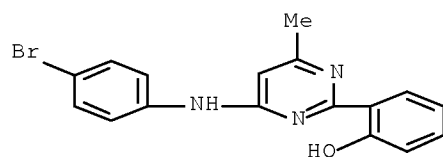
RN 330993-01-6 HCAPLUS  
 CN 4-Pyrimidinamine, N-(4-methylphenyl)-2,6-diphenyl- (CA INDEX NAME)



RN 330993-02-7 HCAPLUS  
 CN 4-Pyrimidinamine, N-(2-methylphenyl)-2,6-diphenyl- (CA INDEX NAME)

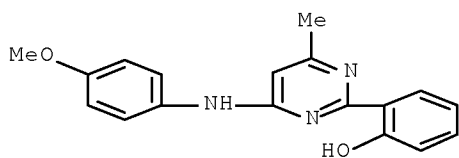


RN 331648-43-2 HCAPLUS  
 CN Phenol, 2-[4-[(4-bromophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



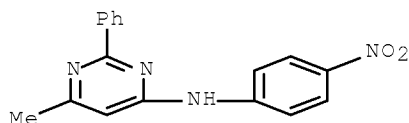
RN 331648-44-3 HCAPLUS

CN Phenol, 2-[4-[(4-methoxyphenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



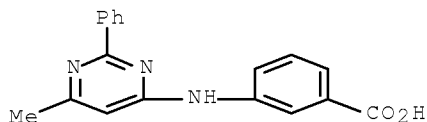
RN 332374-83-1 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl- (CA INDEX NAME)



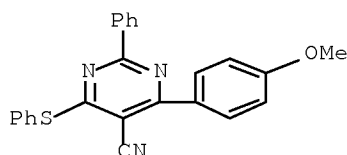
RN 333415-58-0 HCAPLUS

CN Benzoic acid, 3-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)



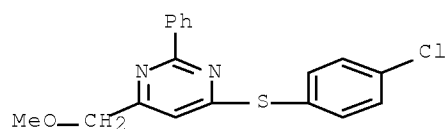
RN 338395-36-1 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(4-methoxyphenyl)-2-phenyl-6-(phenylthio)- (CA INDEX NAME)



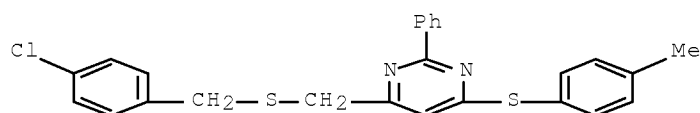
RN 338960-71-7 HCAPLUS

CN Pyrimidine, 4-[(4-chlorophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA INDEX NAME)



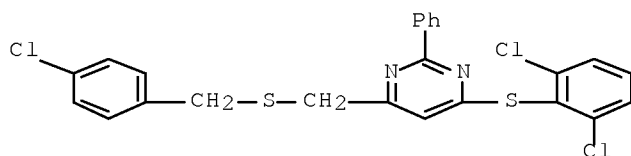
RN 338960-72-8 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(4-methylphenyl)thio]-2-phenyl- (CA INDEX NAME)



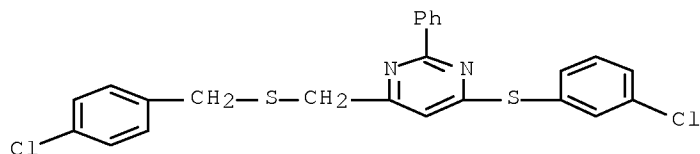
RN 338960-73-9 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(2,6-dichlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



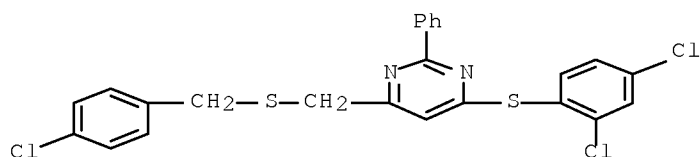
RN 338960-74-0 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(3-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



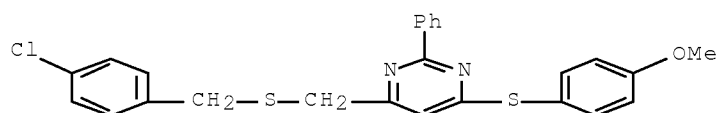
RN 338960-75-1 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(2,4-dichlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



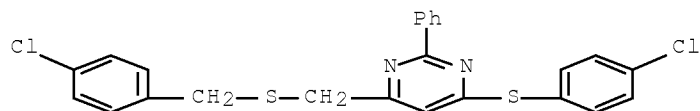
RN 338960-76-2 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(4-methoxyphenyl)thio]-2-phenyl- (CA INDEX NAME)



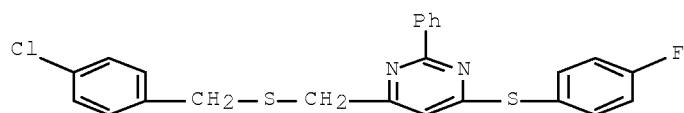
RN 338960-93-3 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(4-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



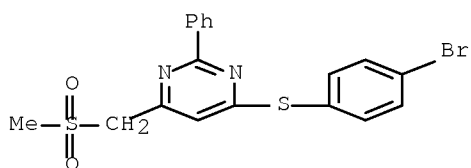
RN 338960-99-9 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(4-fluorophenyl)thio]-2-phenyl- (CA INDEX NAME)

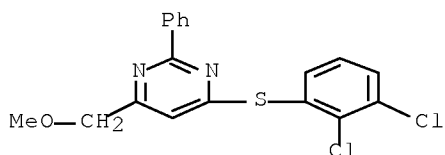


RN 338967-63-8 HCAPLUS

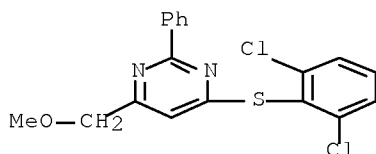
CN Pyrimidine, 4-[(4-bromophenyl)thio]-6-[(methylsulfonyl)methyl]-2-phenyl- (CA INDEX NAME)



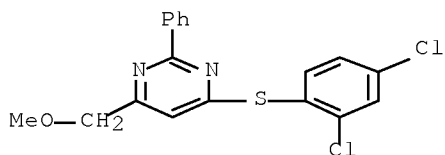
RN 339279-05-9 HCAPLUS  
CN Pyrimidine, 4-[(2,3-dichlorophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA INDEX NAME)



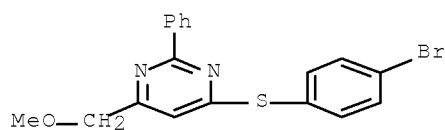
RN 339279-06-0 HCAPLUS  
CN Pyrimidine, 4-[(2,6-dichlorophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA INDEX NAME)



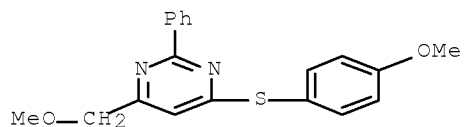
RN 339279-07-1 HCAPLUS  
CN Pyrimidine, 4-[(2,4-dichlorophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA INDEX NAME)



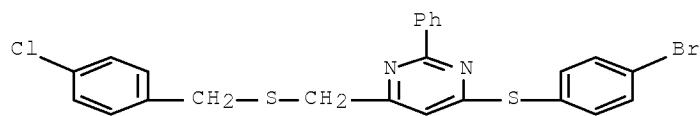
RN 339279-08-2 HCAPLUS  
CN Pyrimidine, 4-[(4-bromophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA INDEX NAME)



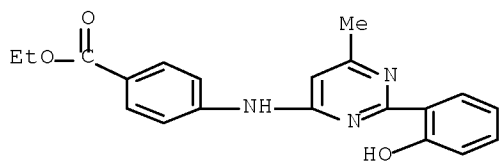
RN 339279-21-9 HCAPLUS  
 CN Pyrimidine, 4-(methoxymethyl)-6-[(4-methoxyphenyl)thio]-2-phenyl- (CA INDEX NAME)



RN 339279-27-5 HCAPLUS  
 CN Pyrimidine, 4-[(4-bromophenyl)thio]-6-[[[(4-chlorophenyl)methyl]thio]ethyl]-2-phenyl- (CA INDEX NAME)

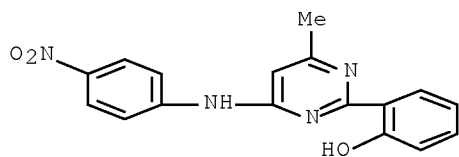


RN 371199-20-1 HCAPLUS  
 CN Benzoic acid, 4-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)



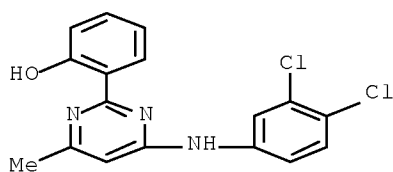
RN 371199-57-4 HCAPLUS  
 CN Phenol, 2-[4-methyl-6-[(4-nitrophenyl)amino]-2-pyrimidinyl]- (CA INDEX NAME)





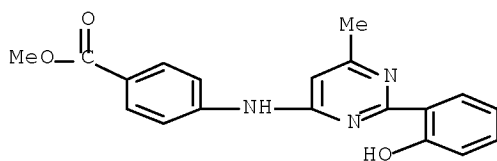
RN 380472-88-8 HCAPLUS

CN Phenol, 2-[4-[(3,4-dichlorophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



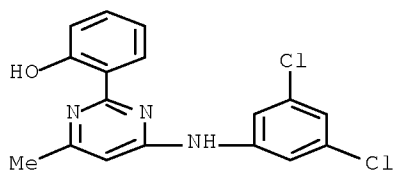
RN 380571-66-4 HCAPLUS

CN Benzoic acid, 4-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)



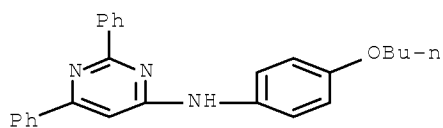
RN 381683-04-1 HCAPLUS

CN Phenol, 2-[4-[(3,5-dichlorophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)

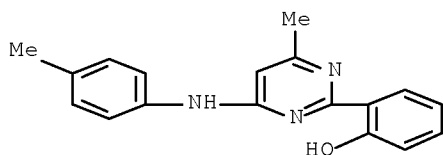


RN 415699-44-4 HCAPLUS

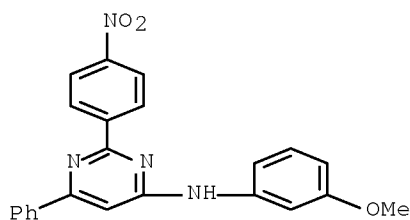
CN 4-Pyrimidinamine, N-(4-butoxyphenyl)-2,6-diphenyl- (CA INDEX NAME)



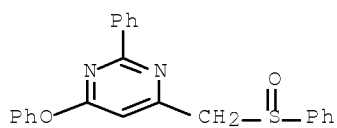
RN 419548-22-4 HCAPLUS  
CN Phenol, 2-[4-methyl-6-[(4-methylphenyl)amino]-2-pyrimidinyl]- (CA INDEX NAME)



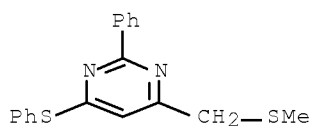
RN 420104-18-3 HCAPLUS  
CN 4-Pyrimidinamine, N-(3-methoxyphenyl)-2-(4-nitrophenyl)-6-phenyl- (CA INDEX NAME)



RN 477710-02-4 HCAPLUS  
CN Pyrimidine, 4-phenoxy-2-phenyl-6-[(phenylsulfinyl)methyl]- (CA INDEX NAME)

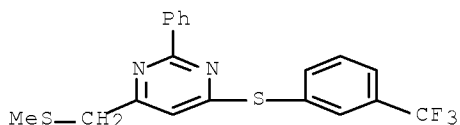


RN 477886-15-0 HCAPLUS  
CN Pyrimidine, 4-[(methylthio)methyl]-2-phenyl-6-(phenylthio)- (CA INDEX NAME)



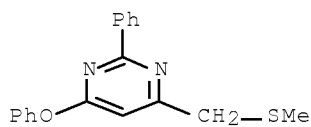
RN 477886-16-1 HCAPLUS

CN Pyrimidine, 4-[(methylthio)methyl]-2-phenyl-6-[[3-(trifluoromethyl)phenyl]thio]- (CA INDEX NAME)



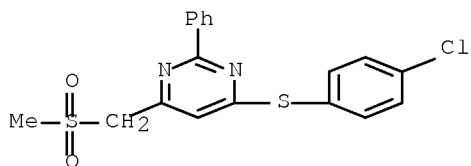
RN 477886-19-4 HCAPLUS

CN Pyrimidine, 4-[(methylthio)methyl]-6-phenoxy-2-phenyl- (CA INDEX NAME)



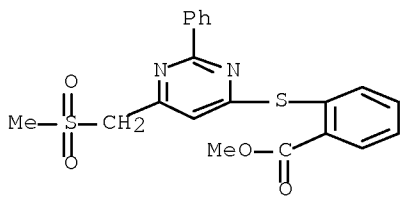
RN 478031-54-8 HCAPLUS

CN Pyrimidine, 4-[(4-chlorophenyl)thio]-6-[(methylsulfonyl)methyl]-2-phenyl- (CA INDEX NAME)



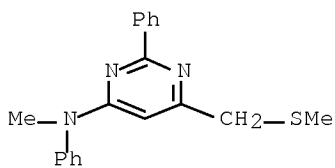
RN 478031-59-3 HCAPLUS

CN Benzoic acid, 2-[[6-[(methylsulfonyl)methyl]-2-phenyl-4-pyrimidinyl]thio]-, methyl ester (CA INDEX NAME)



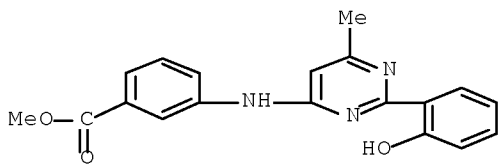
RN 478031-64-0 HCAPLUS

CN 4-Pyrimidinamine, N-methyl-6-[(methylthio)methyl]-N,2-diphenyl- (CA INDEX NAME)



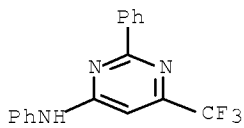
RN 487015-37-2 HCAPLUS

CN Benzoic acid, 3-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)



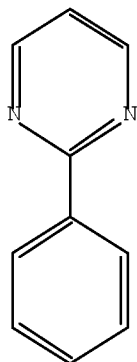
RN 499975-26-7 HCAPLUS

CN 4-Pyrimidinamine, N,2-diphenyl-6-(trifluoromethyl)- (CA INDEX NAME)



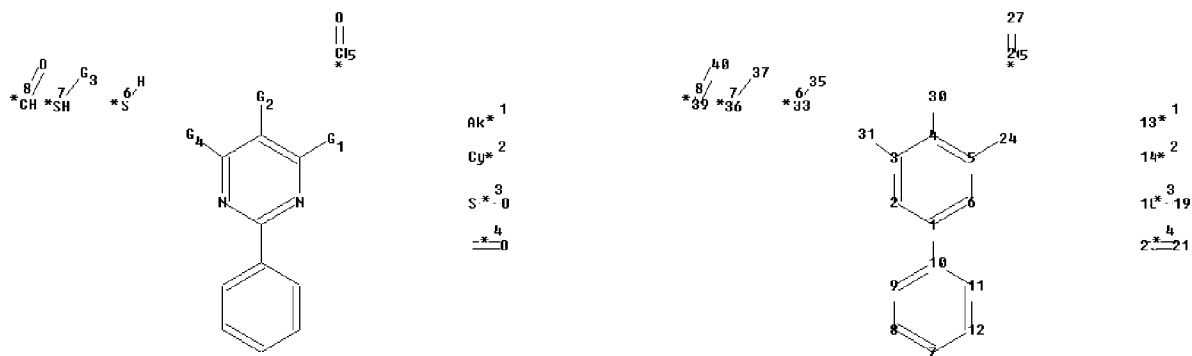
## Structure Search

=> D STAT QUE L54  
L8 STR



Structure attributes must be viewed using STN Express query preparation.  
L9 43848 SEA FILE=REGISTRY SSS FUL L8  
L26 STR

Structure attributes must be viewed using STN Express query preparation:  
Uploading strG.str



chain nodes :  
13 14 18 19 20 21 24 26 27 30 31 33 35 36 37 39 40  
ring nodes :

# Serial No.:10/595,734

```

1  2  3  4  5  6  7  8  9  10  11  12
chain bonds :
1-10  3-31  4-30  5-24  18-19  20-21  26-27  33-35  36-37  39-40
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
exact/norm bonds :
3-31  4-30  5-24  18-19  20-21  26-27  36-37  39-40
exact bonds :
1-10  33-35
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
isolated ring systems :
containing 1 : 7 :
```

G1:O, [\*1], [\*2], [\*3], [\*4]

G2:H, OH, SH, X, Ak, Cy, [\*5]

G3:Cy, Ak

G4:H, X, OH, CN, NO2, [\*6], [\*7], [\*8]

```

Connectivity :
33:2 E exact RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:Atom 18:CLASS 19:CLASS 20:CLASS 21:CLASS
24:CLASS 26:CLASS 27:CLASS
30:CLASS 31:CLASS 33:CLASS 35:CLASS 36:CLASS 37:CLASS 39:CLASS 40:CLASS
```

```

L28      6063 SEA FILE=REGISTRY SUB=L9 SSS FUL L26
L29      1183 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L28
L30      985  SEA FILE=HCAPLUS ABB=ON  PLU=ON  L29 AND (PRY<=2003 OR
          AY<=2003 OR PY<=2003)
L54      248  SEA FILE=HCAPLUS ABB=ON  PLU=ON  L30 AND 1/SC, SX
```

=> D IBIB ED ABS HITSTR L54 1-20; D IBIB ED ABS HITSTR 120-140; D IBIB ED ABS HITSTR 228-248

```

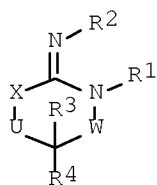
L54  ANSWER 1 OF 248  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:      2008:1106595  HCAPLUS  Full-text
DOCUMENT NUMBER:       149:307851
TITLE:                 Preparation of imidazolidin-2-imines and their analogs
                        as aspartyl protease inhibitors for treating various
                        diseases
INVENTOR(S):           Zhu, Zhaoning; McKittrick, Brian; Sun, Zhong-Yue; Ye,
                        Yuanzan C.; Voigt, Johannes H.; Strickland, Corey;
                        Smith, Elizabeth M.; Stamford, Andrew; Greenlee,
                        William J.; Mazzola, Robert D., Jr.; Caldwell, John;
                        Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng;
                        Iserloh, Ulrich; Liu, Xiaoxiang; Huang, Ying; Li,
                        Guoqing; Pan, Jianping; Misiaszek, Jeffrey A.; Guo,
                        Tao; Le, Thuy X. H.; Saionz, Kurt W.; Babu, Suresh D.;
                        Hunter, Rachael C.; Morris, Michelle L.; Gu, Huizhong;
                        Qian, Gang; Tadesse, Dawit; Lai, Gaifa; Duo, Jingqi;
                        Qu, Chuanxing; Shao, Yuefei
```

# Serial No.:10/595,734

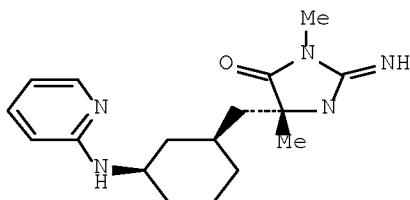
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia, Inc.  
 SOURCE: PCT Int. Appl., 702pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008103351	A2	20080828	WO 2008-XA2182	20080220
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080200445	A1	20080821	US 2007-710582	20070223 <--
WO 2008103351	A2	20080828	WO 2008-US2182	20080220
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2007-710582	A 20070223
			WO 2008-US2182	T0 20080220
			US 2003-529535P	P 20031215 <--
			US 2004-10772	A2 20041213
			US 2005-149027	A2 20050609

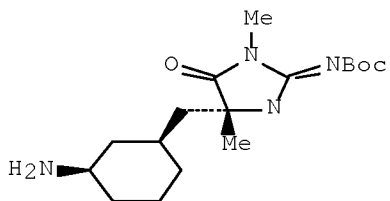
ED Entered STN: 12 Sep 2008  
 GI



I



II



III

AB Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = O, NR<sub>5</sub> or CR<sub>6</sub>R<sub>7</sub>; U = a bond, S(O), SO<sub>2</sub>, C(O), etc.; R<sub>1</sub>, R<sub>2</sub>, R<sub>5</sub> = H, alkyl, cycloalkyl, etc.; R<sub>3</sub>, R<sub>4</sub>, R<sub>6</sub>, R<sub>7</sub> = H, alkyl, cycloalkyl, etc.; with provisos] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-imine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartyl protease, and in particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting of Human Immunodeficiency Virus, plasmepsin, cathepsin D and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M<sub>1</sub> agonist or M<sub>2</sub> antagonist. This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.

IT 1049656-52-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

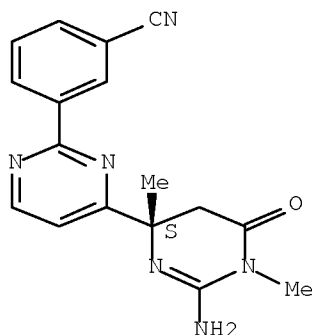
(preparation of imidazolidin-2-imines and their analogs as aspartyl protease inhibitors for treating various diseases)

RN 1049656-52-1 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.





L54 ANSWER 2 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2008:1042502 HCAPLUS Full-text  
 DOCUMENT NUMBER: 149:307845  
 TITLE: Preparation of imidazolidin-2-imines and their analogs  
 as aspartyl protease inhibitors for treating various  
 diseases  
 INVENTOR(S): Zhu, Zhaoning; McKittrick, Brian; Sun, Zhong-Yue; Ye,  
 Yuanzan C.; Voigt, Johannes H.; Strickland, Corey;  
 Smith, Elizabeth M.; Stamford, Andrew; Greenlee,  
 William J.; Mazzola, Robert D., Jr.; Caldwell, John;  
 Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng;  
 Iserloh, Ulrich; Liu, Xiaoxiang; Huang, Ying; Li,  
 Guoqing; Pan, Jianping; Misiaszek, Jeffrey A.; Guo,  
 Tao; Le, Thuy X. H.; Saionz, Kurt W.; Babu, Suresh D.;  
 Hunter, Rachael C.; Morris, Michelle L.; Gu, Huizhong;  
 Qian, Gang; Tadesse, Dawit; Lai, Gaifa; Duo, Jingqi;  
 Qu, Chuanxing; Shao, Yuefei  
 PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia, Inc.  
 SOURCE: PCT Int. Appl., 702pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

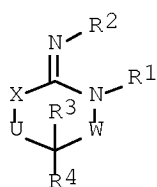
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008103351	A2	20080828	WO 2008-US2182	20080220
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 20080200445 A1 20080821 US 2007-710582 20070223 <-- WO 2008103351 A2 20080828 WO 2008-XA2182 20080220 W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,				

CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

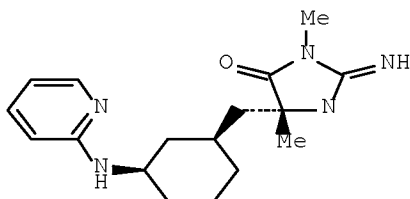
PRIORITY APPLN. INFO.:

US 2007-710582 A 20070223  
 US 2003-529535P P 20031215 <--  
 US 2004-10772 A2 20041213  
 US 2005-149027 A2 20050609  
 WO 2008-US2182 T0 20080220

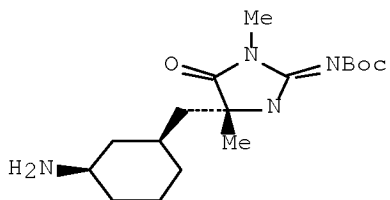
ED Entered STN: 29 Aug 2008  
 GI



I



II



III

AB Disclosed are compds. I [W = a bond, C(S), S(O), etc.; X = O, NR<sub>5</sub> or CR<sub>6</sub>R<sub>7</sub>; U = a bond, S(O), SO<sub>2</sub>, C(O), etc.; R<sub>1</sub>, R<sub>2</sub>, R<sub>5</sub> = H, alkyl, cycloalkyl, etc.; R<sub>3</sub>, R<sub>4</sub>, R<sub>6</sub>, R<sub>7</sub> = H, alkyl, cycloalkyl, etc.; with provisos] or a stereoisomer, tautomer, or pharmaceutically acceptable salt or solvate thereof; and the pharmaceutical compns. comprising the compds. I. Over 1000 compds. I were prepared E.g., synthesis of imidazolidin-2-imine II, starting from III, was described. Compds. I were tested in various assays (data given for selected compds. I). Also disclosed is the method of inhibiting aspartyl protease, and in particular, the methods of treating cardiovascular diseases, cognitive and neurodegenerative diseases, and the methods of inhibiting of Human Immunodeficiency Virus, plasmepsin, cathepsin D and protozoal enzymes. Also disclosed are methods of treating cognitive or neurodegenerative diseases using the compds. I in combination with a cholinesterase inhibitor or a muscarinic M<sub>1</sub> agonist or M<sub>2</sub> antagonist. This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.

IT 1049656-52-1P

Serial No.:10/595,734

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

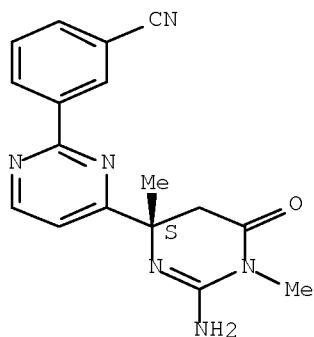
(preparation of imidazolidin-2-imines and their analogs as aspartyl protease

inhibitors for treating various diseases)

RN 1049656-52-1 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



L54 ANSWER 3 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:1011066 HCAPLUS Full-text

DOCUMENT NUMBER: 149:307842

TITLE: Preparation of imidazolidin-2-imines and their analogs as aspartyl protease inhibitors for treating various diseases

INVENTOR(S): Zhu, Zhaoning; McKittrick, Brian; Sun, Zhong-Yue; Ye, Yuanzan C.; Voigt, Johannes H.; Strickland, Corey O.; Smith, Elizabeth M.; Stamford, Andrew; Greenlee, William J.; Mazzola, Robert D.; Caldwell, John P.; Cumming, Jared N.; Wang, Lingyan; Wu, Yusheng; Iserloh, Ulrich; Liu, Xiaoxiang; Guo, Tao; Le, Thuy X. E.; Saionz, Kurt W.; Babu, Suresh D.; Hunter, Rachael C.; Morris, Michelle L.; Gu, Huizhong; Qian, Gang; Tadesse, Dawit; Huang, Ying; Li, Guoqing; Pan, Jianping; Misiaszek, Jeffrey A.; Lai, Gaifa; Duo, Jingqi; Qu, Chuanxing; Shao, Yuefei

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoopia Drug Discovery, Inc.

SOURCE: U.S. Pat. Appl. Publ., 1209pp., Cont.-in-part of U.S. Ser. No. 149,027.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

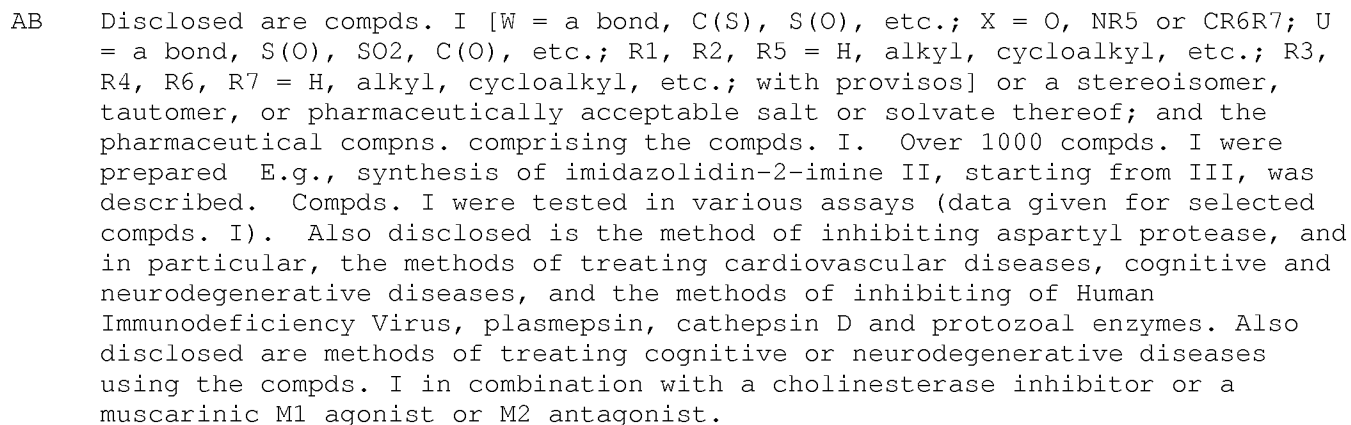
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080200445	A1	20080821	US 2007-710582	20070223 <--
US 20070072852	A1	20070329	US 2004-10772	20041213 <--
US 20060111370	A1	20060525	US 2005-149027	20050609 <--

Serial No.:10/595,734

AU 2005317204	A1	20060622	AU 2005-317204	20050609
CA 2591033	A1	20060622	CA 2005-2591033	20050609
WO 2006065277	A2	20060622	WO 2005-US20446	20050609
WO 2006065277	A3	20070125		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1838304	A2	20071003	EP 2005-766007	20050609
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
JP 2008523059	T	20080703	JP 2007-545436	20050609
IN 2007CN02535	A	20070907	IN 2007-CN2535	20070613
KR 2007106689	A	20071105	KR 2007-713310	20070613
MX 200707058	A	20071211	MX 2007-7058	20070613
NO 2007003616	A	20070912	NO 2007-3616	20070712
CN 101115482	A	20080130	CN 2005-80047939	20070809
WO 2008103351	A2	20080828	WO 2008-US2182	20080220
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
WO 2008103351	A2	20080828	WO 2008-XA2182	20080220
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
JP 2008174570	A	20080731	JP 2008-79293	20080325 <--
PRIORITY APPLN. INFO.:			US 2003-529535P	P 20031215 <--
			US 2004-10772	A2 20041213
			US 2005-149027	A2 20050609
			JP 2006-544081	A3 20041213
			WO 2005-US20446	W 20050609
			US 2007-710582	A 20070223
			WO 2008-US2182	T0 20080220

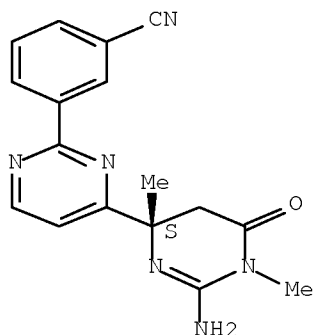


RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

inhibitors for treating various diseases)

CN INDEX NAME NOT YET ASSIGNED

Page 33 of 444



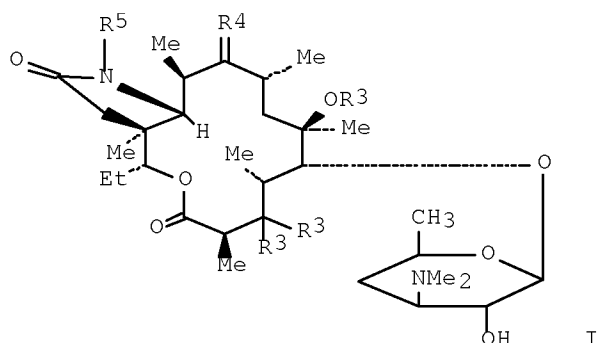
L54 ANSWER 4 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:657169 HCAPLUS Full-text  
 DOCUMENT NUMBER: 145:117359  
 TITLE: Method of treating tuberculosis with macrolide and ketolide erythromycin derivatives  
 INVENTOR(S): Zhu, Zhaohai; Franzblau, Scott G.; Yu, Gengli; Krasnykh, Olga; Pan, Dahua; Falzari, Kanakeshwari; Wan, Baojie; Hong, Saweon; Liu, Huiwen  
 PATENT ASSIGNEE(S): The Board of Trustees of the University of Illinois, USA  
 SOURCE: U.S. Pat. Appl. Publ., 51 pp., Cont.-in-part of Appl. No. PCT/US2004/022406.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060148730	A1	20060706	US 2005-255380	20051021 <--
WO 2005007143	A2	20050127	WO 2004-US22406	20040712 <--
WO 2005007143	A3	20050630		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-486979P P 20030714 <--  
 WO 2004-US22406 A2 20040712

OTHER SOURCE(S): MARPAT 145:117359  
 ED Entered STN: 07 Jul 2006  
 GI



AB Macrolide and ketolide erythromycin derivs. I, wherein R1R2 are O; R1 is sugar residue, R2 is H; R3 is alkyl, alkylheteroaryl; R4 is substituted imine; R5 is heteroarylalkylamine; useful in the treatment of tuberculosis are disclosed. Methods of treating tuberculosis using the macrolides and ketolides, and compns. containing the same, also are disclosed. Thus, I [R1R2 = R4 = O, R3 = Me, R5 = (CH<sub>2</sub>)<sub>5</sub>Ph] was tested for treating tuberculosis. Accordingly, one aspect of the present invention is to provide a method of treating tuberculosis in a mammal, including human. More particularly, the present invention is directed to a method of treating latent, active, and multidrug-resistant by administering a therapeutically effective amount of a macrolide, a ketolide, or mixts. thereof, to a mammal in need thereof.

IT 825651-37-4P

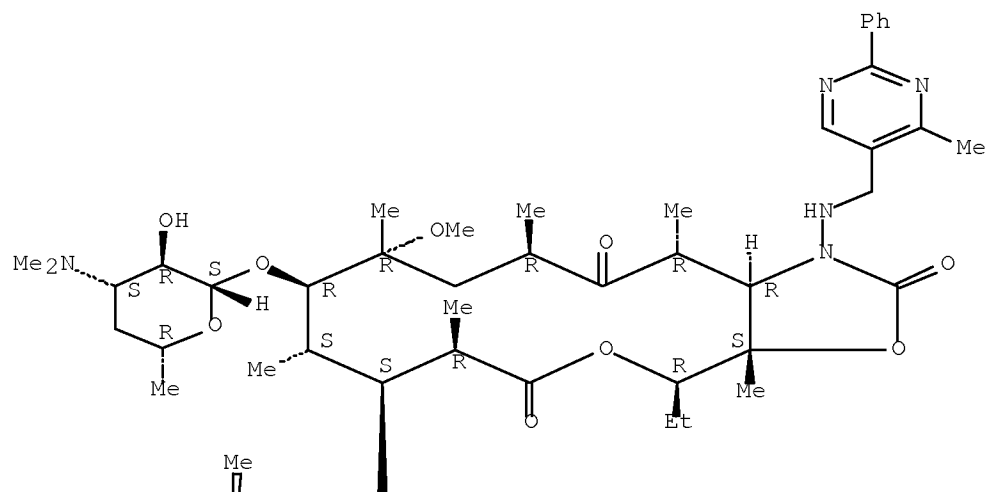
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)  
(method of treating tuberculosis with macrolide and ketolide erythromycin derivs.)

RN 825651-37-4 HCAPLUS

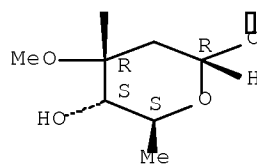
CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,14(1H,7H)-trione,  
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-4-ethyldecahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[[[4-methyl-2-phenyl-5-pyrimidinyl)methyl]amino]-10-[[3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,8S,9S,10R,11R,13R,15R,15aR)-  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

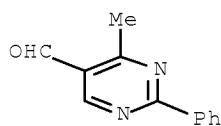


IT 342405-36-1

RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)  
(method of treating tuberculosis with macrolide and ketolide erythromycin derivs.)

RN 342405-36-1 HCAPLUS

CN 5-Pyrimidinecarboxaldehyde, 4-methyl-2-phenyl- (CA INDEX NAME)



L54 ANSWER 5 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:588951 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 143:115559

TITLE: Preparation of hydroxypyrimidinone derivatives as HIV integrase inhibitors

INVENTOR(S): Mikamiyama, Hidenori; Iwata, Minako; Taoda, Yoshiyuki

PATENT ASSIGNEE(S): Shionogi &amp; Co., Ltd., Japan

SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

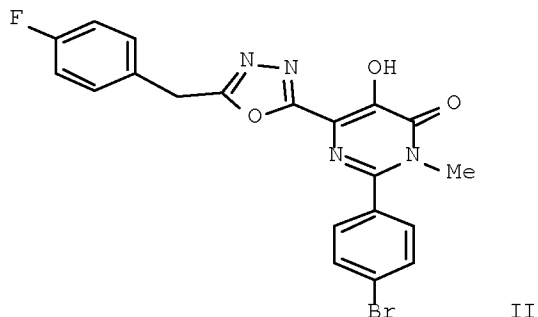
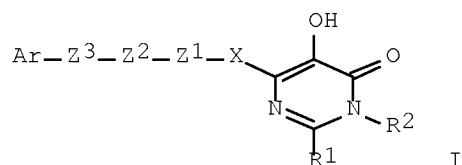
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1



## PATENT INFORMATION:

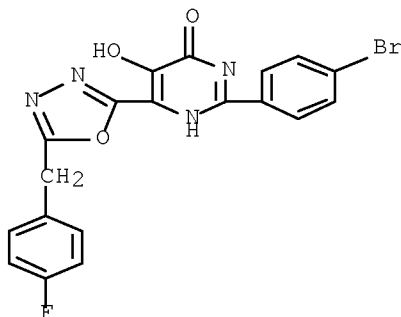
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061490	A1	20050707	WO 2004-JP19048	20041221 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1698628	A1	20060906	EP 2004-807405	20041221 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
US 20070149556	A1	20070628	US 2006-583796	20060621 <--
PRIORITY APPLN. INFO.:			JP 2003-423947	A 20031222 <--
			WO 2004-JP19048	W 20041221
OTHER SOURCE(S): MARPAT 143:115559				
ED Entered STN: 08 Jul 2005				
GI				



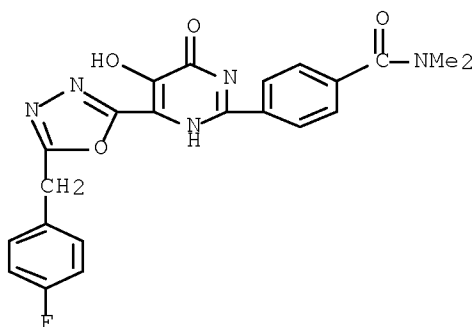
AB The title compds. I [X represents NR<sub>10</sub>CO, etc.; R<sub>10</sub> represents hydrogen, etc.; Z<sub>1</sub> and Z<sub>3</sub> each represents a single bond, etc.; Z<sub>2</sub> represents a single bond, etc.; Ar represents optionally substituted aryl, etc.; R<sub>1</sub> represents lower alkyl, etc., and R<sub>2</sub> represents hydrogen, etc., provided that R<sub>1</sub> and R<sub>2</sub> may together with the adjacent atoms form an optionally substituted heterocycle] are prepared. Thus, the title compound II was prepared in a multistep process from 4-bromobenzonitrile. In an assay for integrase inhibiting activity,

compds. of this invention showed IC50 values of 1.8 ng/mL to 57 ng/mL.  
Formulations are given.

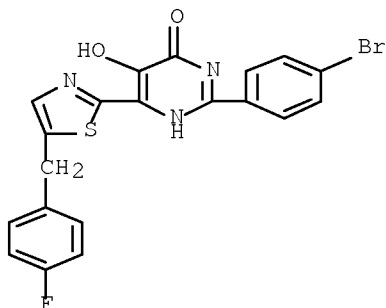
IT 857664-08-5P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of hydroxypyrimidinone derivs. as HIV integrase inhibitors)  
RN 857664-08-5 HCAPLUS  
CN 4(3H)-Pyrimidinone, 2-(4-bromophenyl)-6-[5-[(4-fluorophenyl)methyl]-1,3,4-oxadiazol-2-yl]-5-hydroxy- (CA INDEX NAME)



IT 857664-10-9P 857664-39-2P 857664-40-5P  
857664-41-6P 857664-42-7P 857664-43-8P  
857664-44-9P 857664-45-0P 857664-78-9P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of hydroxypyrimidinone derivs. as HIV integrase inhibitors)  
RN 857664-10-9 HCAPLUS  
CN Benzamide, 4-[4-[5-[(4-fluorophenyl)methyl]-1,3,4-oxadiazol-2-yl]-1,6-dihydro-5-hydroxy-6-oxo-2-pyrimidinyl]-N,N-dimethyl- (CA INDEX NAME)

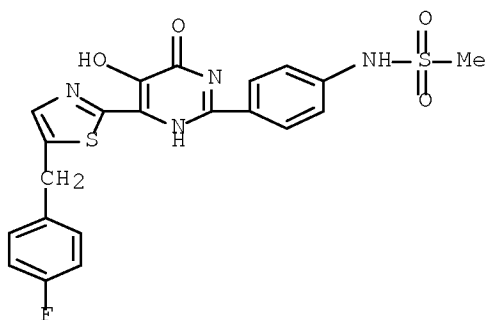


RN 857664-39-2 HCAPLUS  
CN 4(3H)-Pyrimidinone, 2-(4-bromophenyl)-6-[5-[(4-fluorophenyl)methyl]-2-thiazolyl]-5-hydroxy- (CA INDEX NAME)



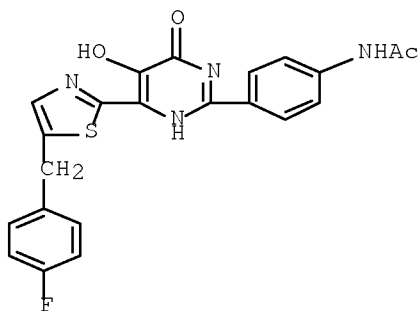
RN 857664-40-5 HCAPLUS

CN Methanesulfonamide, N-[4-[4-[5-[(4-fluorophenyl)methyl]-2-thiazolyl]-1,6-dihydro-5-hydroxy-6-oxo-2-pyrimidinyl]phenyl]- (CA INDEX NAME)



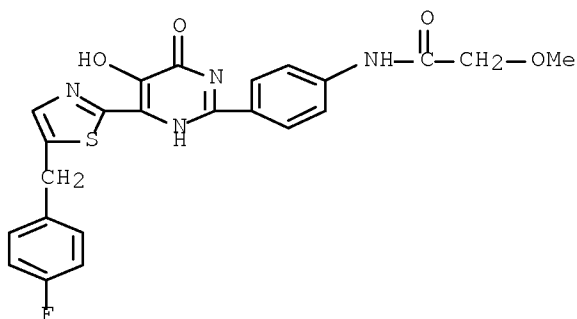
RN 857664-41-6 HCAPLUS

CN Acetamide, N-[4-[4-[5-[(4-fluorophenyl)methyl]-2-thiazolyl]-1,6-dihydro-5-hydroxy-6-oxo-2-pyrimidinyl]phenyl]- (CA INDEX NAME)



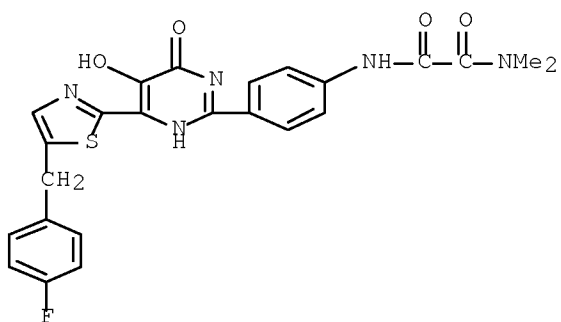
RN 857664-42-7 HCAPLUS

CN Acetamide, N-[4-[4-[5-[(4-fluorophenyl)methyl]-2-thiazolyl]-1,6-dihydro-5-hydroxy-6-oxo-2-pyrimidinyl]phenyl]-2-methoxy- (CA INDEX NAME)



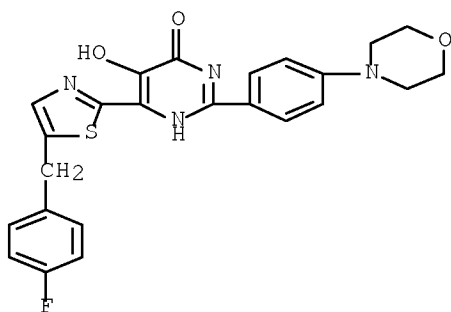
RN 857664-43-8 HCAPLUS

CN Ethanedi-1-amine, N2-[4-[4-[5-[(4-fluorophenyl)methyl]-2-thiazolyl]-1,6-dihydro-5-hydroxy-6-oxo-2-pyrimidinyl]phenyl]-N1,N1-dimethyl- (CA INDEX NAME)



RN 857664-44-9 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[5-[4-[5-[(4-fluorophenyl)methyl]-2-thiazolyl]-5-hydroxy-2-[4-(4-morpholinyl)phenyl]-4(3H)-pyrimidin-6(1H)-one]phenyl]- (CA INDEX NAME)

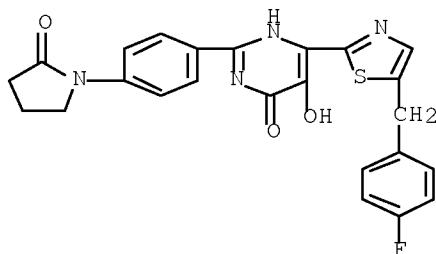


RN 857664-45-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[5-[4-[5-[(4-fluorophenyl)methyl]-2-thiazolyl]-5-hydroxy-2-[4-(4-morpholinyl)phenyl]-4(3H)-pyrimidin-6(1H)-one]phenyl]- (CA INDEX NAME)

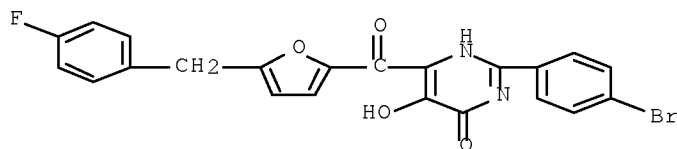
Serial No.:10/595,734

[4-(2-oxo-1-pyrrolidinyl)phenyl]- (CA INDEX NAME)



RN 857664-78-9 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-bromophenyl)-6-[[5-[(4-fluorophenyl)methyl]-2-furanyl]carbonyl]-5-hydroxy- (CA INDEX NAME)



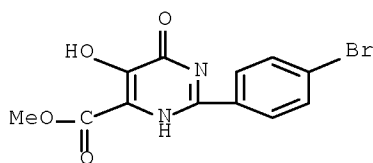
IT 857665-06-6P 857665-24-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxypyrimidinone derivs. as HIV integrase inhibitors)

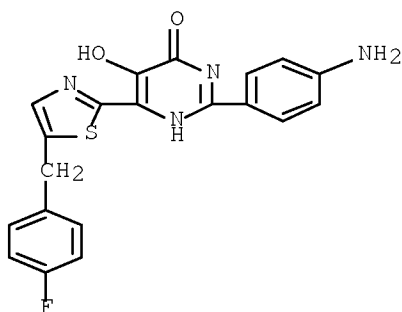
RN 857665-06-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(4-bromophenyl)-1,6-dihydro-5-hydroxy-6-oxo-, methyl ester (CA INDEX NAME)



RN 857665-24-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-aminophenyl)-6-[5-[(4-fluorophenyl)methyl]-2-thiazolyl]-5-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 6 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:588514 HCAPLUS Full-text  
 DOCUMENT NUMBER: 143:115554  
 TITLE: A preparation of pyrimidinylimidazopyridine derivatives, useful as anticoccidial agents  
 INVENTOR(S): Biftu, Tesfaye; Fisher, Michael H.; Wyvratt, Matthew J.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060571	A2	20050707	WO 2004-US40617	20041206 <--
WO 2005060571	A3	20051215		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20060293303	A1	20061228	US 2006-573363	20060324 <--
US 7429590	B2	20080930		
PRIORITY APPLN. INFO.:			US 2003-528570P	P 20031210 <--
			WO 2004-US40617	W 20041206
OTHER SOURCE(S): CASREACT 143:115554; MARPAT 143:115554				
ED Entered STN: 08 Jul 2005				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to a preparation of pyrimidinylimidazopyridine derivs. of formula I [wherein: R1 is H, alkyl, or halogen; R2 is H, (cyclo)alkyl, CF3, or (hetero)aryl; R3 is N-containing heterocycle; R4 is H or halogen], useful as anticoccidial agents (no biol. data). The compds. are useful for the treatment and prevention of protozoal diseases in mammals and birds. A method for controlling coccidiosis in poultry comprises administering an effective amount of the compound alone, or in combination with one or more anticoccidial agent(s). The invention also relates to methods for the treatment and prevention of mammalian protozoal diseases, such as, for example, toxoplasmosis, malaria. For instance, pyrimidinylimidazopyridine derivative II was prepared via heterocyclization of propenoylimidazopyridine derivative III with acetamidine, N-cleavage, and subsequent N-methylation (the yield of heterocyclization was 89%).

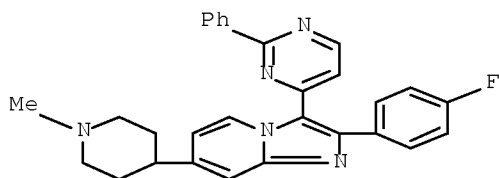
IT 857434-62-9F

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidinylimidazopyridine derivs. useful as anticoccidial agents)

RN 857434-62-9 HCAPLUS

CN Imidazo[1,2-a]pyridine, 2-(4-fluorophenyl)-7-(1-methyl-4-piperidinyl)-3-(2-phenyl-4-pyrimidinyl)- (CA INDEX NAME)



L54 ANSWER 7 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:572592 HCAPLUS Full-text

DOCUMENT NUMBER: 143:97378

TITLE: Preparation of azabicyclic heterocycles as cannabinoid receptor modulators

INVENTOR(S): Yu, Guixue; Ewing, William R.; Mikkilineni, Amarendra B.; Pendri, Annapurna; Sher, Philip M.; Gerritz, Samuel; Ellsworth, Bruce A.; Wu, Gang; Huang, Yanting; Sun, Chongqing; Murugesan, Natesan; Gu, Zhengxiang; Wang, Ying; Sitkoff, Doree; Johnson, Stephen R.; Wu, Ximao

PATENT ASSIGNEE(S): Bristol-Myers Squibb Co, USA

SOURCE: U.S. Pat. Appl. Publ., 196 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

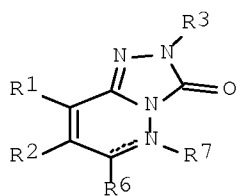
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050143381	A1	20050630	US 2004-16135	20041217 <--
US 7378418	B2	20080527		

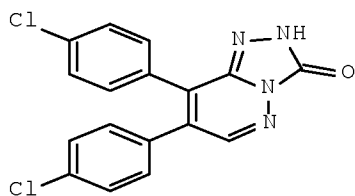
## Serial No.:10/595,734

AU 2004309365	A1	20050714	AU 2004-309365	20041217 <--
CA 2550435	A1	20050714	CA 2004-2550435	20041217 <--
WO 2005063761	A1	20050714	WO 2004-US42820	20041217 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050192278	A1	20050901	US 2004-15876	20041217 <--
US 7037910	B2	20060502		
EP 1697370	A1	20060906	EP 2004-814952	20041217 <--
EP 1697370	B1	20070425		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
CN 1918165	A	20070221	CN 2004-80041920	20041217 <--
BR 2004017771	A	20070417	BR 2004-17771	20041217 <--
AT 360630	T	20070515	AT 2004-814952	20041217 <--
JP 2007514768	T	20070607	JP 2006-545558	20041217 <--
ES 2282927	T3	20071016	ES 2004-814952	20041217 <--
WO 2005061509	A1	20050707	WO 2004-US42542	20041220 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1699796	A1	20060913	EP 2004-814691	20041220 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
JP 2007514756	T	20070607	JP 2006-545502	20041220 <--
IN 2006DN03135	A	20070824	IN 2006-DN3135	20060601 <--
MX 2006PA06473	A	20060728	MX 2006-PA6473	20060607 <--
NO 2006002704	A	20060905	NO 2006-2704	20060612 <--
NO 2006002689	A	20060912	NO 2006-2689	20060612 <--
HK 1095139	A1	20070803	HK 2007-101919	20070216 <--
PRIORITY APPLN. INFO.:				
			US 2003-531451P	P 20031219 <--
			US 2004-16135	A 20041217
			WO 2004-US42820	W 20041217
			WO 2004-US42542	W 20041220
OTHER SOURCE(S): CASREACT 143:97378; MARPAT 143:97378				
ED Entered STN: 01 Jul 2005				
GI				





I



II

AB The present application describes compds. I [R1, R2 = halo, CN, alkyl, etc.; R3 = alkyl, alkenyl, cycloalkyl, etc.; R6 = H, alkyl, cycloalkyl, etc.; R7 is absent when double bond; or R7 = H, alkyl, cycloalkyl, etc.], pharmaceutical compns. comprising at least one compound I and optionally one or more addnl. therapeutic agents and methods of treatment using the compds. I both alone and in combination with one or more addnl. therapeutic agents. Over 400 compds. I were prepared E.g., a multi-step synthesis of II, starting from dibromopyridazinone, was given. Representative compds. I showed the CB-1 receptor binding  $K_i$  values in the range of 0.01 nM to 10000 nM.

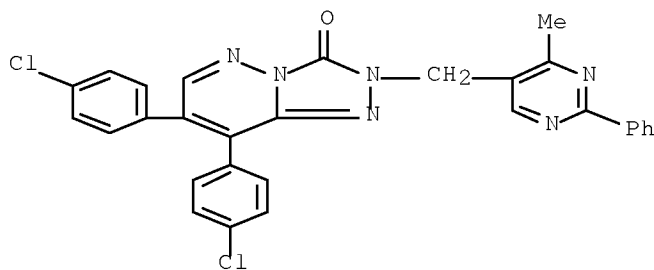
IT 856247-34-2F

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azabicyclic heterocycles as cannabinoid receptor modulators)

RN 856247-34-2 HCAPLUS

CN 1,2,4-Triazolo[4,3-b]pyridazin-3(2H)-one, 7,8-bis(4-chlorophenyl)-2-[(4-methyl-2-phenyl-5-pyrimidinyl)methyl]- (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 8 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:451383 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:482041

TITLE: A preparation of bicyclic pyrazolone derivatives, useful as cytokine inhibitors

INVENTOR(S): Clark, Michael Philip; Laughlin, Steven Karl; Golebiowski, Adam; Brugel, Todd Andrew; Sabat, Mark

PATENT ASSIGNEE(S): The Procter & Gamble Company, USA

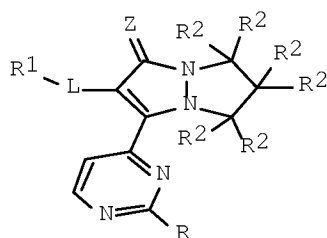
SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

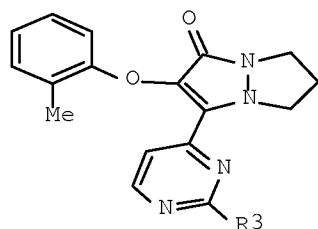
DOCUMENT TYPE: Patent

LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

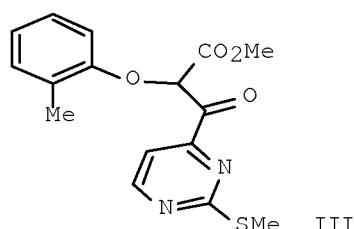
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047287	A2	20050526	WO 2004-US37264	20041109 <--
WO 2005047287	A3	20050728		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004289691	A1	20050526	AU 2004-289691	20041109 <--
CA 2545781	A1	20050526	CA 2004-2545781	20041109 <--
EP 1682551	A2	20060726	EP 2004-810572	20041109 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS			
CN 1878772	A	20061213	CN 2004-80032839	20041109 <--
JP 2007510739	T	20070426	JP 2006-539725	20041109 <--
BR 2004016358	A	20070508	BR 2004-16358	20041109 <--
IN 2006DN02569	A	20070810	IN 2006-DN2569	20060508 <--
KR 835152	B1	20080609	KR 2006-708849	20060508 <--
MX 2006PA05209	A	20060720	MX 2006-PA5209	20060509 <--
NO 2006002639	A	20060608	NO 2006-2639	20060608 <--
PRIORITY APPLN. INFO.:			US 2003-518886P	P 20031110 <--
			WO 2004-US37264	W 20041109
OTHER SOURCE(S):	CASREACT 142:482041; MARPAT 142:482041			
ED	Entered STN: 27 May 2005			
GI				



I



II



III

AB The invention relates to a preparation of 6,7-dihydro-5H-pyrazolo[1,2-a]pyrazol-1-one derivs. of formula I [wherein: R is O(CH<sub>2</sub>)<sub>0-5</sub>-alkyl, NH<sub>2</sub>, or is O(CH<sub>2</sub>)<sub>0-5</sub>-aryl, etc.; R<sub>1</sub> is (hetero)aryl; L is (CH<sub>2</sub>)<sub>0-2</sub>, (CH<sub>2</sub>)<sub>0-2</sub>-NH-(CH<sub>2</sub>)<sub>0-2</sub>, or (CH<sub>2</sub>)<sub>0-2</sub>-O-(CH<sub>2</sub>)<sub>0-2</sub>, etc.; R<sub>2</sub> is H, (CH<sub>2</sub>)<sub>0-5</sub>-O-(CH<sub>2</sub>)<sub>0-5</sub>H, (CH<sub>2</sub>)<sub>0-5</sub>-NH<sub>2</sub>, or (CH<sub>2</sub>)<sub>0-5</sub>-CO<sub>2</sub>H, etc.; Z is O, S, NH, or N(alkyl), etc.] which inhibit the extracellular release of inflammatory cytokines. For instance, pyrazolone derivative II [R<sub>3</sub> = NHCH(Me)CH<sub>2</sub>OMe] was prepared via heterocyclization of ketoester III with pyrazolidine dihydrochloride, S-oxidation of the obtained pyrazolopyrazole derivative II (R<sub>3</sub> = SMe), and subsequent amination of the obtained methanesulfonylpyrimidine derivative II (R<sub>3</sub> = SO<sub>2</sub>Me) by (S)-1-methoxy-2-propylamine (the yield of the heterocyclization step was 10%). The preferred invention compds. exhibited activities (IC<sub>50</sub>) at a level below 1 μM.

IT 1044957-86-9 1044957-90-5 1044957-92-7  
 1044957-95-0 1044957-99-4 1044958-53-3  
 1044958-74-8 1044958-78-2 1044958-81-7  
 1044958-84-0 1044958-88-4 1044958-93-1

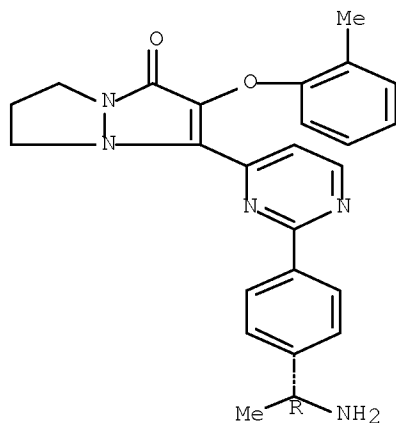
RL: PRPH (Prophetic)

(A preparation of bicyclic pyrazolone derivatives, useful as cytokine inhibitors)

RN 1044957-86-9 HCAPLUS

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 3-[2-[4-[(1R)-1-aminoethyl]phenyl]-4-pyrimidinyl]-6,7-dihydro-2-(2-methylphenoxy)- (CA INDEX NAME)

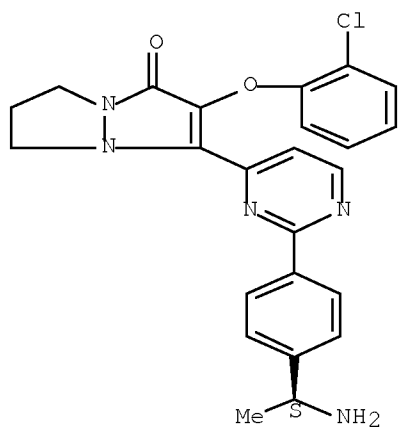
Absolute stereochemistry.



RN 1044957-90-5 HCAPLUS

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 3-[2-[4-[(1S)-1-aminoethyl]phenyl]-4-pyrimidinyl]-2-(2-chlorophenoxy)-6,7-dihydro- (CA INDEX NAME)

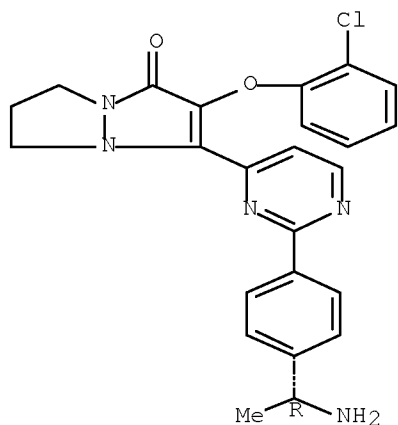
Absolute stereochemistry.



RN 1044957-92-7 HCAPLUS

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 3-[2-[4-[(1R)-1-aminoethyl]phenyl]-4-pyrimidinyl]-2-(2-chlorophenoxy)-6,7-dihydro- (CA INDEX NAME)

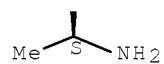
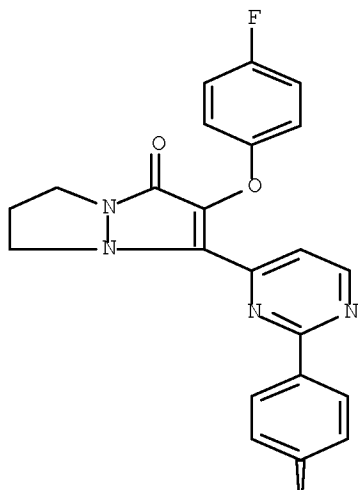
Absolute stereochemistry.



RN 1044957-95-0 HCAPLUS

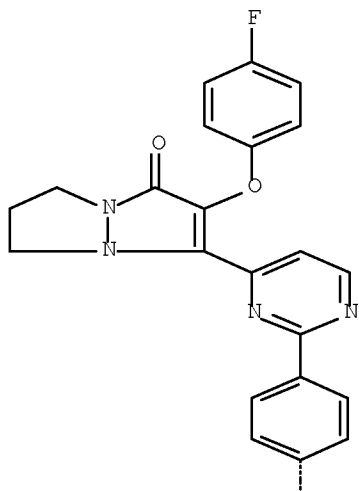
CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 3-[2-[4-[(1S)-1-aminoethyl]phenyl]-4-pyrimidinyl]-2-(4-fluorophenoxy)-6,7-dihydro- (CA INDEX NAME)

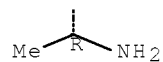
Absolute stereochemistry.



RN 1044957-99-4 HCAPLUS  
 CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 3-[2-[4-[(1R)-1-aminoethyl]phenyl]-4-pyrimidinyl]-2-(4-fluorophenoxy)-6,7-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

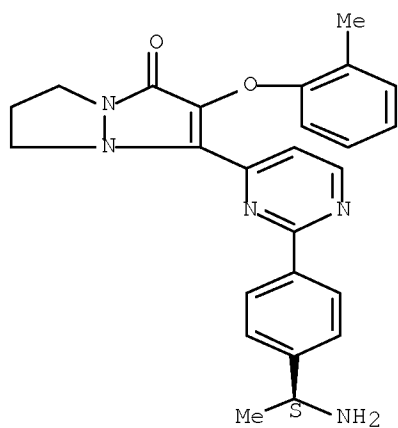




RN 1044958-53-3 HCAPLUS

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 3-[2-[4-[(1S)-1-aminoethyl]phenyl]-4-pyrimidinyl]-6,7-dihydro-2-(2-methylphenoxy)- (CA INDEX NAME)

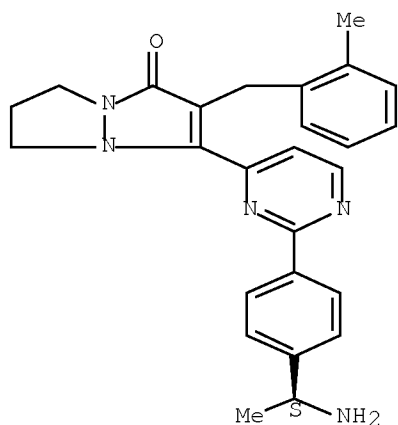
Absolute stereochemistry.



RN 1044958-74-8 HCAPLUS

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 3-[2-[4-[(1S)-1-aminoethyl]phenyl]-4-pyrimidinyl]-6,7-dihydro-2-[(2-methylphenyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry.

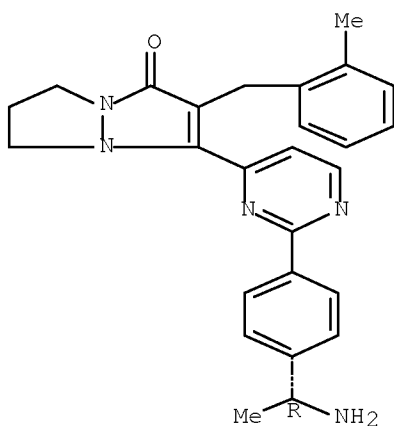


Serial No.:10/595,734

RN 1044958-78-2 HCAPLUS

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 3-[2-[4-[(1R)-1-aminoethyl]phenyl]-4-pyrimidinyl]-6,7-dihydro-2-[(2-methylphenyl)methyl]- (CA INDEX NAME)

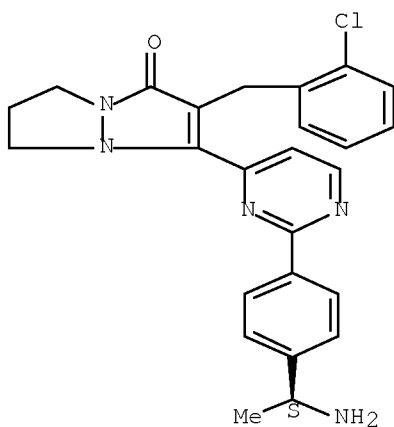
Absolute stereochemistry.



RN 1044958-81-7 HCAPLUS

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 3-[2-[4-[(1S)-1-aminoethyl]phenyl]-4-pyrimidinyl]-2-[(2-chlorophenyl)methyl]-6,7-dihydro- (CA INDEX NAME)

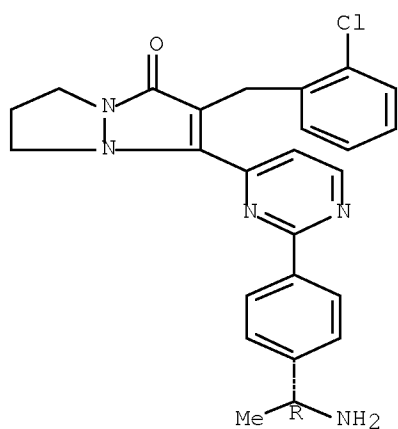
Absolute stereochemistry.



RN 1044958-84-0 HCAPLUS

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 3-[2-[4-[(1R)-1-aminoethyl]phenyl]-4-pyrimidinyl]-2-[(2-chlorophenyl)methyl]-6,7-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

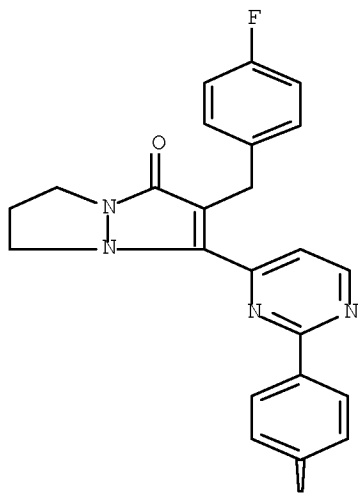


RN 1044958-88-4 HCAPLUS

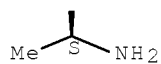
CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 3-[2-[4-[(1S)-1-aminoethyl]phenyl]-4-pyrimidinyl]-2-[(4-fluorophenyl)methyl]-6,7-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



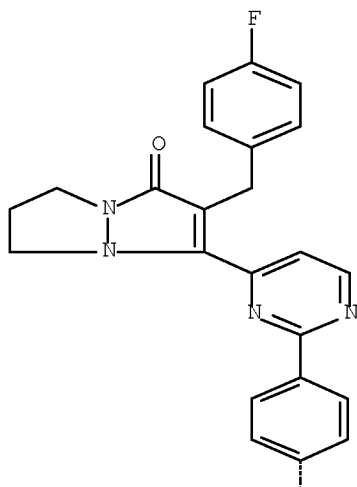
RN 1044958-93-1 HCAPLUS

CN 1H,5H-Pyrazolo[1,2-a]pyrazol-1-one, 3-[2-[4-[(1R)-1-aminoethyl]phenyl]-4-pyrimidinyl]-2-[(4-fluorophenyl)methyl]-6,7-dihydro- (CA INDEX NAME)

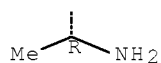


Absolute stereochemistry.

PAGE 1-A

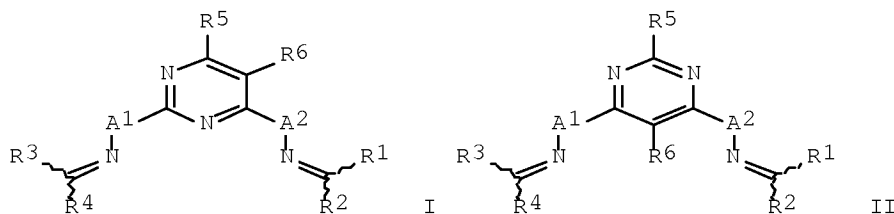


PAGE 2-A



L54 ANSWER 9 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:402793 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:447232  
 TITLE: Preparation of pyrimidine derivatives as mixed  
 lymphocyte reaction (MLR) inhibitors  
 INVENTOR(S): Tsuruoka, Hiroyuki; Kanno, Yuichi; Tatsuta, Toru  
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 216 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005120046	A	20050512	JP 2003-358632	20031020 <--
PRIORITY APPLN. INFO.:			JP 2003-358632	20031020 <--
OTHER SOURCE(S):	MARPAT 142:447232			
ED Entered STN: 12 May 2005				
GI				



AB     Pyrimidines derivs. such as dihydrazinopyrimidine having the general formula (I) and (II) [wherein R1, R3 = H, lower alkyl, halo-lower alkyl, lower alkoxy-lower alkyl, mono- or di(lower alkyl)amino-lower alkyl, (un)substituted aryl; R2, R4 = each (un)substituted aryl or heterocyclyl; or CR2R1 or CR4R3 together forms an (un)substituted saturated carbocyclic or heterocyclic ring; A1, A2 = NR7, O (wherein R7 = lower alkyl); R5 lower alkylthio, each (un)substituted cycloalkyl, aryl, or heterocyclyl, a group having the formula -D-R8 or CH2-E-R8 (wherein D = NH, O, S; E = O, S, a single bond; R8 = each optionally substituted cycloalkyl, aryl, or heterocyclyl, etc.); R6 = H, lower alkyl, lower alkoxy, lower alkoxy-lower alkyl, mono- or di(lower alkyl)amino-lower alkyl, aralkyl, anilino], pharmaceutically acceptable salts, esters, or other derivs. thereof. are prepared These pyrimidine derivs. exhibit excellent MLR inhibiting action and are useful for inhibiting allograft rejection in bone marrow or organ transplant or for the treatment and/or prevention of inflammation, organ-specific or organ-nonspecific autoimmune diseases, or allergy, in particular chronic articular rheumatism, multiple sclerosis, inflammatory enteric disease, diabetes, glomerulonephritis, idiopathic biliary cirrhosis, active chronic hepatitis, pernicious anemia, Hashimoto thyroiditis, atrophic gastritis, myasthenia gravis, psoriasis, Sjogren's syndrome, systemic lupus erythematosus, rhinitis, asthma, or atopic dermatitis. They are also useful for inhibiting cancer cells, in particular cancerous lymphocyte. Thus, 480 mg N-(2,6-dichloropyrimidin-4-yl)phenylamine was stirred with 3 mL hydrazine monohydrate at 90° for 1 h, cooled to room temperature, treated with H2O, followed by filtering the precipitated crystals, washing them with water, Et acetate, and drying under reduced pressure to give crude N-(2,6-dihydrazinopyrimidin-4-yl)phenylamine. The latter compound was dissolved in 5 mL dioxane, treated with 1.7 mL 4-acetylpyridine, refluxed for 15 h, distilled to remove the solvent, and suspended in a mixture of ether and Et acetate, followed by pulverizing the precipitated solid, filtration, and washing with a mixture of ether and Et acetate to give 1-(4-pyridinyl)-1-ethanone N-[4-anilino-6-[2-[1-(4-pyridinyl)ethylidene]hydrazino]-2-pyrimidinyl]hydrazone (III). In an MLR inhibition assay, III and 1-(4-pyridinyl)-1-ethanone N-[2-anilino-6-[2-[1-(4-pyridinyl)ethylidene]hydrazino]-4-pyrimidinyl]hydrazone in vitro inhibited the uptake of [3H]thymidine in human peripheral lymphocyte with IC50 of 6.9 and 1.0 nM, resp.

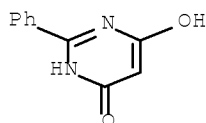
IT     13566-71-7P, 2-Phenyl-4,6-dihydroxypyrimidine 620984-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

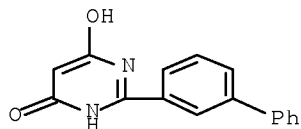
(preparation of pyrimidine derivs. as mixed lymphocyte reaction inhibitors for treatment of cancer or allograft rejection and for treatment and/or prevention of inflammation, organ-(non)specific autoimmune diseases, or allergy)

RN     13566-71-7 HCAPLUS

CN     4(3H)-Pyrimidinone, 6-hydroxy-2-phenyl- (CA INDEX NAME)



RN 620984-93-2 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 2-[1,1'-biphenyl]-3-yl-6-hydroxy- (CA INDEX NAME)



L54 ANSWER 10 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:395446 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:406543  
 TITLE: TAO kinase inhibitors for pharmaceutical use and for screening for kinase modulators  
 INVENTOR(S): Xu, Wei; Zheng, Wentao; Baly, Deborah Lynn; Galan, Adam Antoni; Ibrahim, Mohamed Abdulkader; Jaeger, Christopher; Kearney, Patrick; Leahy, James William; Lewis, Gary Lee; McMillan, Kirk; Noguchi, Robin Tammie; Nuss, John M.; Parks, Jason Jevious; Schnepp, Kevin Luke; Shi, Xian; Williams, Matthew Alan  
 PATENT ASSIGNEE(S): Exelixis, Inc., USA  
 SOURCE: PCT Int. Appl., 109 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040355	A2	20050506	WO 2004-US35469	20041022 <--
WO 2005040355	A3	20050804		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004283313	A1	20050506	AU 2004-283313	20041022 <--
CA 2542064	A1	20050506	CA 2004-2542064	20041022 <--

Serial No.:10/595,734

EP 1678121 A2 20060712 EP 2004-796442 20041022 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR  
 JP 2007527412 T 20070927 JP 2006-536928 20041022 <--  
 US 20070208166 A1 20070906 US 2006-576932 20061019 <--  
 PRIORITY APPLN. INFO.: US 2003-514377P P 20031024 <--  
 WO 2004-US35469 W 20041022

OTHER SOURCE(S): MARPAT 142:406543

ED Entered STN: 09 May 2005

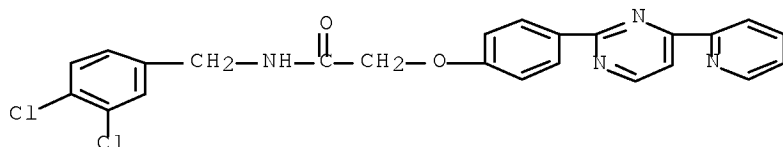
AB The invention provides compds. and methods for inhibition of kinases, such as those of the TAO family, more specifically KIAA1361, TAO, and JIK kinases. The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration, and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinase receptor signal transduction pathways related to the changes in cellular activities as mentioned above, and the invention includes compns. which contain these compds., and methods of using them to treat kinase-dependent diseases and conditions. Thus, N-(2,3-dihydro-1,4-benzodioxin-2-ylmethyl)-11-oxo-10,11-dihydro-5H-dibenzo[b,d][1,4]diazepine-3-carboxamide was synthesized. This compound exhibited an IC50 with JIK kinase of <50 nM and an IC50 with TAO kinase of between 50 and 500 nM.

IT 478039-89-3

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (TAO kinase inhibitors for pharmaceutical use and for screening for kinase modulators)

RN 478039-89-3 HCAPLUS

CN Acetamide, N-[(3,4-dichlorophenyl)methyl]-2-[4-[4-(2-pyridinyl)-2-pyrimidinyl]phenoxy]- (CA INDEX NAME)



L54 ANSWER 11 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:394833 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:447114

TITLE: A preparation of (indol-1-yl)acetate derivatives, useful as PPAR activators

INVENTOR(S): Ackermann, Jean; Aebi, Johannes; Binggeli, Alfred; Grether, Uwe; Hirth, Georges; Kuhn, Bernd; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Wright, Matthew Blake

PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 39 pp.  
 CODEN: USXXCO

DOCUMENT TYPE: Patent

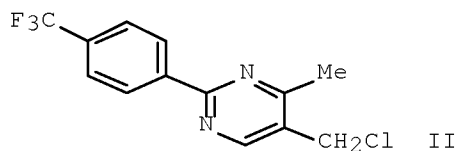
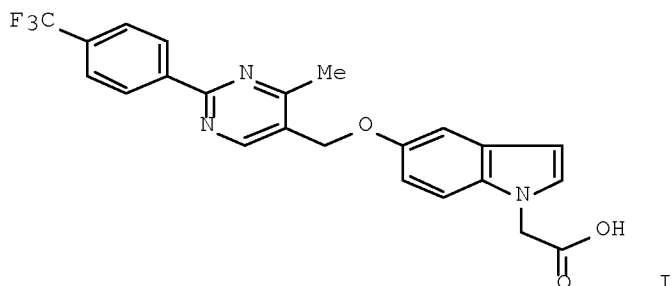
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

US 20050096353	A1	20050505	US 2004-978144	20041029 <--
US 6995263	B2	20060207		
AU 2004291259	A1	20050602	AU 2004-291259	20041028 <--
CA 2543239	A1	20050602	CA 2004-2543239	20041028 <--
WO 2005049606	A1	20050602	WO 2004-EP12197	20041028 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1682535	A1	20060726	EP 2004-790967	20041028 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1878768	A	20061213	CN 2004-80032871	20041028 <--
BR 2004016238	A	20070102	BR 2004-16238	20041028 <--
JP 2007509996	T	20070419	JP 2006-538705	20041028 <--
MX 2006PA04642	A	20060627	MX 2006-PA4642	20060426 <--
KR 761615	B1	20071004	KR 2006-708796	20060504 <--
IN 2006DN02934	A	20070803	IN 2006-DN2934	20060522 <--
PRIORITY APPLN. INFO.:			EP 2003-104083	A 20031105 <--
			WO 2004-EP12197	W 20041028
OTHER SOURCE(S): CASREACT 142:447114; MARPAT 142:447114				
ED Entered STN: 09 May 2005				
GI				



AB The invention relates to a preparation of (indol-1-yl)acetate derivs. R1OC(O)CH(R2)(R3)R4 [wherein: R1, R2, and R3 are independently selected from H or alkyl; R4 is a derivative of indol-1-yl], useful as PPAR activators. For instance, (indol-1-yl)acetate I [IC50 (μmol/L): PPARα - 1.32, PPARγ - >10, PPARδ - 0.083] was prepared via etherification of Et (5-hydroxyindol-1-

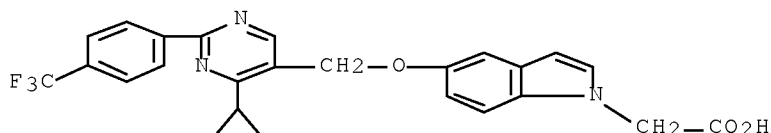
yl)acetate by (chloromethyl)pyrimidine derivative II and subsequent hydrolysis.

IT 851069-65-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of (indol-1-yl)acetate derivs. useful as PPAR activators)

RN 851069-65-3 HCAPLUS

CN 1H-Indole-1-acetic acid, 5-[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]- (CA INDEX NAME)

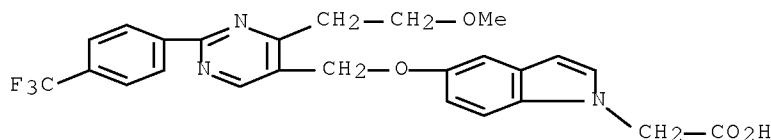


IT 851069-54-0P, [5-[4-(2-Methoxyethyl)-2-(4-trifluoromethylphenyl)pyrimidin-5-ylmethoxy]indol-1-yl]acetic acid  
851069-60-8P, [5-[4-Methyl-2-(4-trifluoromethylphenyl)pyrimidin-5-ylmethoxy]indol-1-yl]acetic acid 851069-70-0P,  
(5-[Methyl-[4-methyl-2-(4-trifluoromethylphenyl)pyrimidin-5-ylmethyl]amino]indol-1-yl)acetic acid 851069-86-8P,  
[6-[4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-ylmethoxy]indol-1-yl]acetic acid 851070-44-5P, (6-[2-[4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-yl]ethoxy]indol-1-yl)acetic acid  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (indol-1-yl)acetate derivs. useful as PPAR activators)

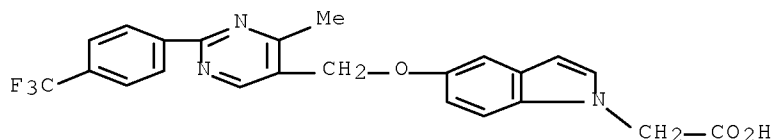
RN 851069-54-0 HCAPLUS

CN 1H-Indole-1-acetic acid, 5-[[4-(2-methoxyethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]- (CA INDEX NAME)



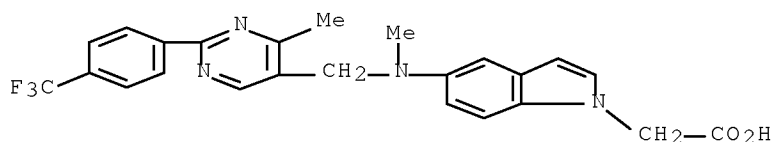
RN 851069-60-8 HCAPLUS

CN 1H-Indole-1-acetic acid, 5-[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]- (CA INDEX NAME)



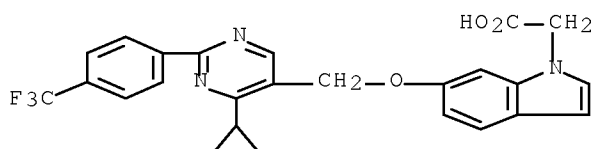
RN 851069-70-0 HCAPLUS

CN 1H-Indole-1-acetic acid, 5-[methyl[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methyl]amino]- (CA INDEX NAME)



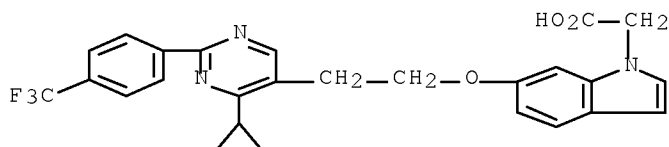
RN 851069-86-8 HCAPLUS

CN 1H-Indole-1-acetic acid, 6-[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]- (CA INDEX NAME)



RN 851070-44-5 HCAPLUS

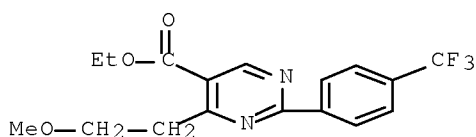
CN 1H-Indole-1-acetic acid, 6-[2-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]ethoxy]- (CA INDEX NAME)



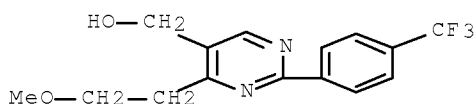
IT 851069-56-2P, 4-(2-Methoxyethyl)-2-(4-trifluoromethylphenyl)pyrimidine-5-carboxylic acid ethyl ester  
 851069-57-3P, [4-(2-Methoxyethyl)-2-(4-trifluoromethylphenyl)pyrimidin-5-yl]methanol 851069-58-4P,  
 5-Chloromethyl-4-(2-methoxyethyl)-2-(4-trifluoromethylphenyl)pyrimidine  
 851069-59-5P, [5-[4-(2-Methoxyethyl)-2-(4-trifluoromethylphenyl)pyrimidin-5-ylmethoxy]indol-1-yl]acetic acid ethyl ester  
 851069-61-9P, 4-Methyl-2-(4-trifluoromethylphenyl)pyrimidine-5-carboxylic acid ethyl ester 851069-62-0P,  
 [4-Methyl-2-(4-trifluoromethylphenyl)pyrimidin-5-yl]methanol  
 851069-63-1P, [5-Chloromethyl-4-methyl-2-(4-trifluoromethylphenyl)pyrimidine 851069-64-2P,  
 [5-[4-Methyl-2-(4-trifluoromethylphenyl)pyrimidin-5-ylmethoxy]indol-1-yl]acetic acid ethyl ester 851069-67-5P, 4-Cyclopropyl-2-(4-

Serial No.:10/595,734

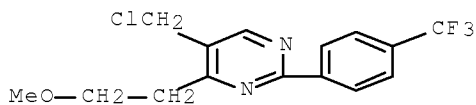
trifluoromethylphenyl)pyrimidine-5-carboxylic acid ethyl ester 851069-68-6P, [4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-yl]methanol 851069-69-7P, [5-Chloromethyl-4-cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidine 851069-76-6P, (5-[Methyl-[4-methyl-2-(4-trifluoromethylphenyl)pyrimidin-5-ylmethyl]amino]indol-1-yl)acetic acid methyl ester 851069-87-9P, [6-[4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-ylmethoxy]indol-1-yl]acetic acid ethyl ester 851070-45-6P, [4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-yl]acetonitrile 851070-46-7P, [4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-yl]acetic acid 851070-47-8P, [4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-yl]acetic acid methyl ester 851070-48-9P, 2-[4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-yl]ethanol 851070-49-0P, (6-[2-[4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-yl]ethoxy]indol-1-yl)acetic acid ethyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of (indol-1-yl)acetate derivs. useful as PPAR activators)  
 RN 851069-56-2 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 4-(2-methoxyethyl)-2-[4-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)



RN 851069-57-3 HCAPLUS  
 CN 5-Pyrimidinemethanol, 4-(2-methoxyethyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 851069-58-4 HCAPLUS  
 CN Pyrimidine, 5-(chloromethyl)-4-(2-methoxyethyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

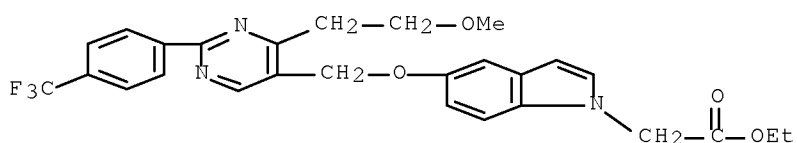


RN 851069-59-5 HCAPLUS



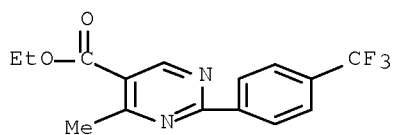
Serial No.:10/595,734

CN 1H-Indole-1-acetic acid, 5-[[4-(2-methoxyethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-, ethyl ester (CA INDEX NAME)



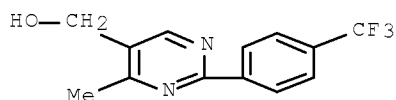
RN 851069-61-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-2-[4-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)



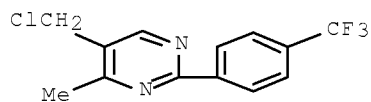
RN 851069-62-0 HCAPLUS

CN 5-Pyrimidinemethanol, 4-methyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



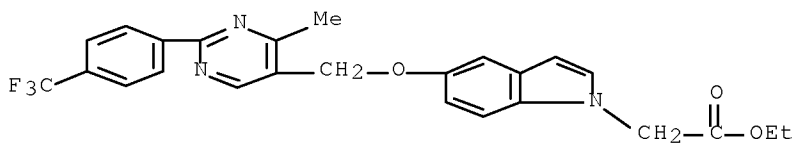
RN 851069-63-1 HCAPLUS

CN Pyrimidine, 5-(chloromethyl)-4-methyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



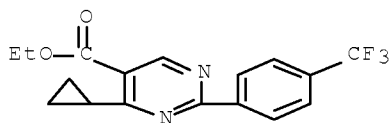
RN 851069-64-2 HCAPLUS

CN 1H-Indole-1-acetic acid, 5-[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-, ethyl ester (CA INDEX NAME)



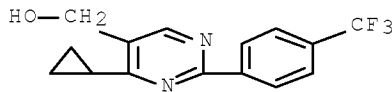
RN 851069-67-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-,  
ethyl ester (CA INDEX NAME)



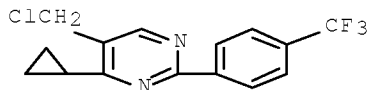
RN 851069-68-6 HCAPLUS

CN 5-Pyrimidinemethanol, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]- (CA  
INDEX NAME)



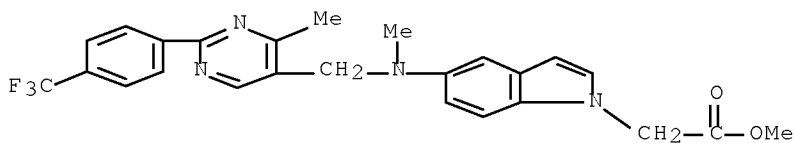
RN 851069-69-7 HCAPLUS

CN     Pyrimidine, 5-(chloromethyl)-4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-  
          (CA INDEX NAME)



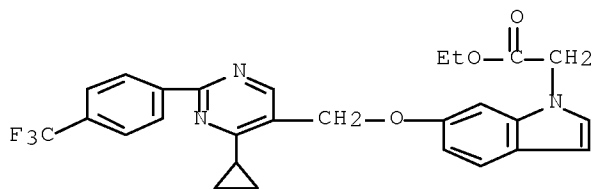
RN 851069-76-6 HCAPLUS

CN 1H-Indole-1-acetic acid, 5-[methyl[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methyl]amino]-, methyl ester (CA INDEX NAME)



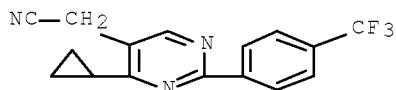
RN 851069-87-9 HCAPLUS

CN 1H-Indole-1-acetic acid, 6-[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-, ethyl ester (CA INDEX NAME)



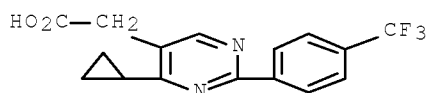
RN 851070-45-6 HCAPLUS

CN 5-Pyrimidineacetonitrile, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



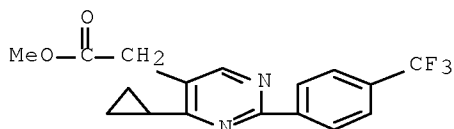
RN 851070-46-7 HCAPLUS

CN 5-Pyrimidineacetic acid, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



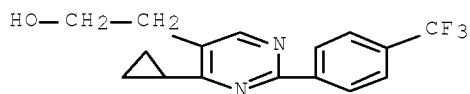
RN 851070-47-8 HCAPLUS

CN 5-Pyrimidineacetic acid, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-, methyl ester (CA INDEX NAME)

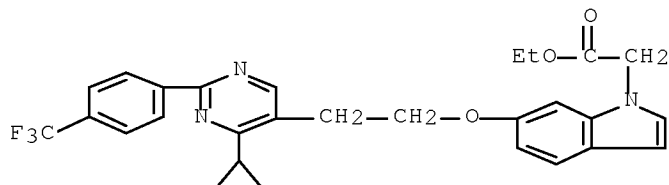


RN 851070-48-9 HCAPLUS

CN 5-Pyrimidineethanol, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 851070-49-0 HCAPLUS  
 CN 1H-Indole-1-acetic acid, 6-[2-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]ethoxy]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 12 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:394829 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 142:463605  
 TITLE: Preparation aryloxyacetic acids and related compounds as PPAR $\delta$  and PPAR $\alpha$  agonists  
 INVENTOR(S): Ackermann, Jean; Aebi, Johannes; Binggeli, Alfred; Grether, Uwe; Hirth, Georges; Kuhn, Bernd; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Wright, Matthew Blake  
 PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 89 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050096337	A1	20050505	US 2004-978155	20041029 <--
US 7115611	B2	20061003		
AU 2004291262	A1	20050602	AU 2004-291262	20041028 <--
CA 2543249	A1	20050602	CA 2004-2543249	20041028 <--
WO 2005049573	A1	20050602	WO 2004-EP12217	20041028 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

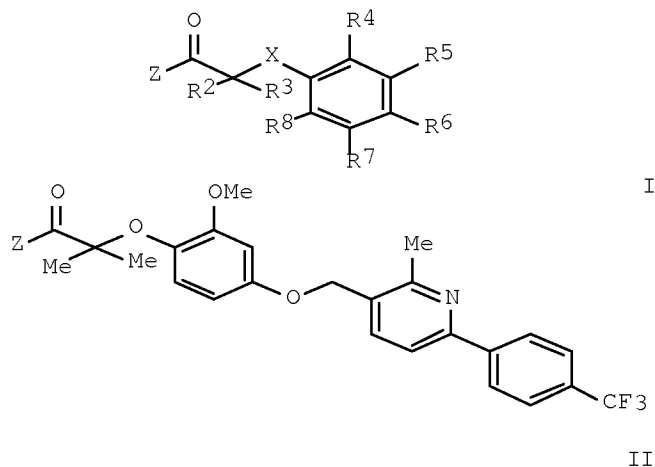
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,

Serial No.:10/595,734

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

EP 1682508	A1	20060726	EP 2004-790987	20041028 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1875002	A	20061206	CN 2004-80032273	20041028 <--
BR 2004016283	A	20070123	BR 2004-16283	20041028 <--
JP 2007509999	T	20070419	JP 2006-538711	20041028 <--
TW 259179	B	20060801	TW 2004-93133654	20041104 <--
MX 2006PA04641	A	20060627	MX 2006-PA4641	20060426 <--
KR 847976	B1	20080722	KR 2006-708742	20060504 <--
NO 2006002135	A	20060524	NO 2006-2135	20060512 <--
KR 2008042188	A	20080514	KR 2008-710674	20080502 <--
PRIORITY APPLN. INFO.:			EP 2003-104081	A 20031105 <--
			EP 2004-100759	A 20040226
			WO 2004-EP12217	W 20041028
			KR 2006-708742	A3 20060504

OTHER SOURCE(S): MARPAT 142:463605  
ED Entered STN: 09 May 2005  
GI



AB Title compds. I [X = O, S, CH<sub>2</sub>; R<sub>1</sub> = H, alkyl; R<sub>2</sub> = H, alkyl with provisos; R<sub>3</sub> = H, alkyl; R<sub>4</sub>, R<sub>8</sub> = H, alkyl, cycloalkyl, etc.; R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub> = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, saponification of Et ester II (Z = OEt), afforded acid II (Z = OH) as a light yellow solid. In PPAR $\alpha$  receptor binding assays, 3-examples of compds. I exhibited IC<sub>50</sub> values ranging from 0.013-0.289  $\mu$ mmol/l. Compds. I are claimed to be useful for the treatment of diseases modulated by PPAR $\delta$  and PPAR $\alpha$  agonist.

IT 851506-16-6P 851506-17-7P 851506-18-8P  
851506-19-9P 851506-20-2P 851506-21-3P  
851506-31-5P 851506-42-8P 851506-46-2P  
851506-47-3P 851506-49-5P 851506-50-8P  
851506-53-1P 851506-54-2P 851506-55-3P  
851506-57-5P 851506-64-4P 851506-66-6P

Serial No.:10/595,734

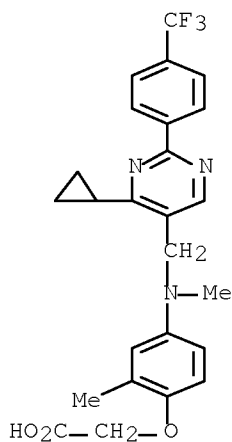
851506-68-8P 851506-70-2P 851506-74-6P  
 851506-76-8P 851506-83-7P 851506-84-8P  
 851506-87-1P 851506-88-2P 851506-89-3P  
 851506-93-9P 851506-95-1P 851506-96-2P  
 851506-99-5P 851507-00-1P 851507-04-5P  
 851507-05-6P 851507-06-7P 851507-08-9P  
 851507-10-3P 851507-11-4P 851507-16-9P  
 851507-17-0P 851507-18-1P 851507-19-2P  
 851507-22-7P 851507-24-9P 851507-29-4P  
 851507-30-7P 851507-31-8P 851507-33-0P  
 851507-39-6P 851507-44-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation aryloxyacetic acids and related compds. as PPAR $\delta$  and PPAR $\alpha$  agonists)

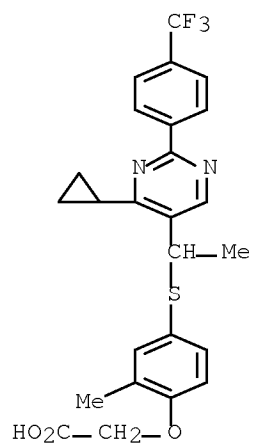
RN 851506-16-6 HCAPLUS

CN Acetic acid, 2-[4-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methyl]methylamino]-2-methylphenoxy]- (CA INDEX NAME)



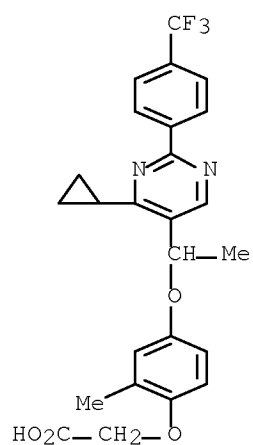
RN 851506-17-7 HCAPLUS

CN Acetic acid, 2-[4-[[[1-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



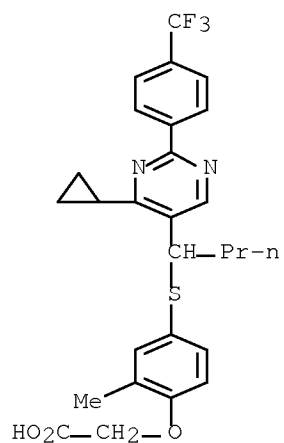
RN 851506-18-8 HCAPLUS

CN Acetic acid, 2-[4-[1-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)



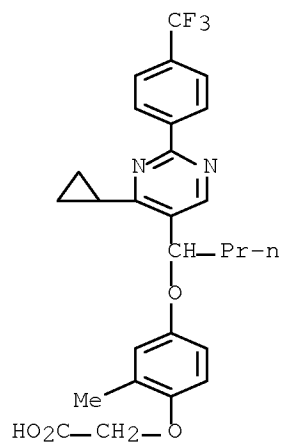
RN 851506-19-9 HCAPLUS

CN Acetic acid, 2-[4-[[1-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]butyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



RN 851506-20-2 HCAPLUS

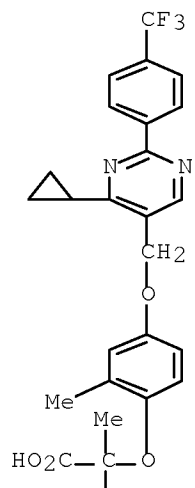
CN Acetic acid, 2-[4-[1-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]butoxy]-2-methylphenoxy]- (CA INDEX NAME)



RN 851506-21-3 HCAPLUS

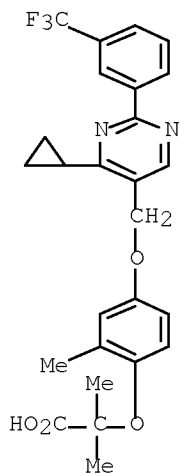
CN Propanoic acid, 2-[4-[1-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)





RN 851506-31-5 HCAPLUS

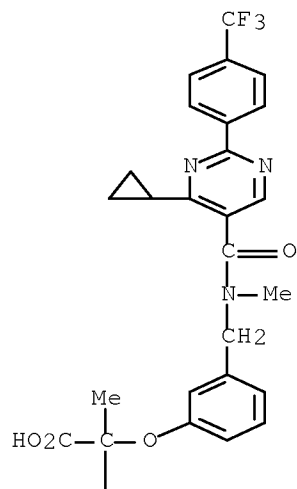
CN Propanoic acid, 2-[4-[[[4-cyclopropyl-2-[3-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



RN 851506-42-8 HCAPLUS

CN Propanoic acid, 2-[3-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]methylamino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)

PAGE 1-A

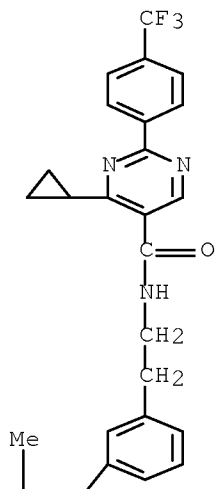


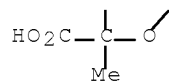
PAGE 2-A



RN 851506-46-2 HCAPLUS  
 CN Propanoic acid, 2-[3-[2-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)

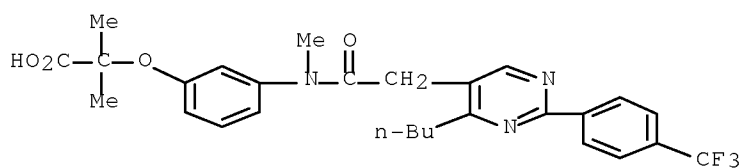
PAGE 1-A





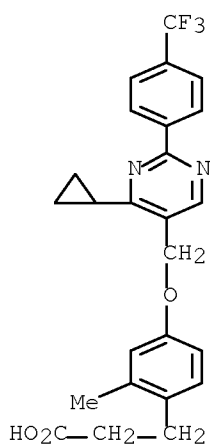
RN 851506-47-3 HCAPLUS

CN Propanoic acid, 2-[3-[[2-[4-butyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]acetyl]methylamino]phenoxy]-2-methyl- (CA INDEX NAME)



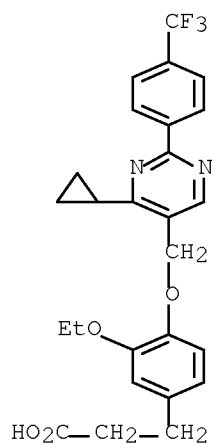
RN 851506-49-5 HCAPLUS

CN Benzenepropanoic acid, 4-[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methyl- (CA INDEX NAME)



RN 851506-50-8 HCAPLUS

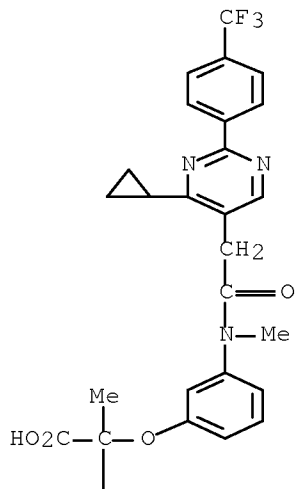
CN Benzenepropanoic acid, 4-[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-3-ethoxy- (CA INDEX NAME)



RN 851506-53-1 HCAPLUS

CN Propanoic acid, 2-[3-[[2-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]acetyl]methoxymethyl]phenoxy]-2-methyl- (CA INDEX NAME)

PAGE 1-A

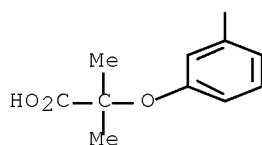
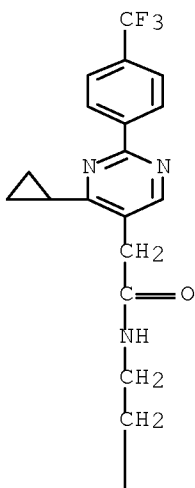


PAGE 2-A

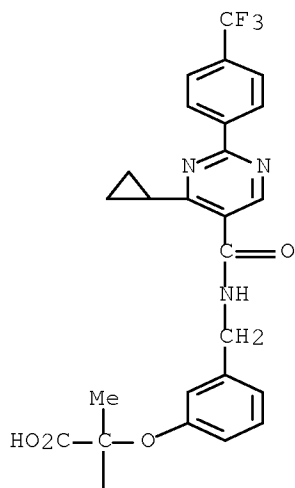


RN 851506-54-2 HCAPLUS

CN Propanoic acid, 2-[3-[[2-[2-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]acetyl]aminoethyl]phenoxy]-2-methyl- (CA INDEX NAME)



RN 851506-55-3 HCAPLUS  
 CN Propanoic acid, 2-[3-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)

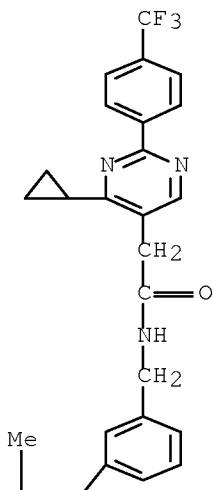


PAGE 2-A

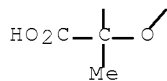


RN 851506-57-5 HCAPLUS  
 CN Propanoic acid, 2-[3-[[[2-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]acetyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)

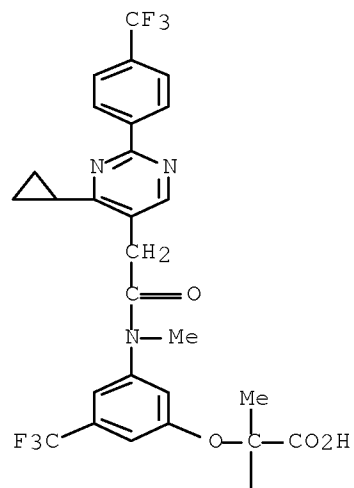
PAGE 1-A



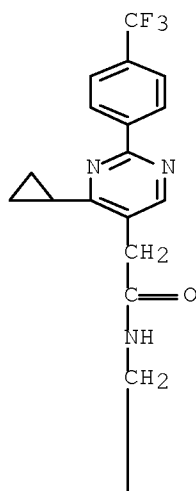
PAGE 2-A

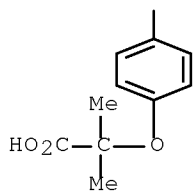


RN 851506-64-4 HCAPLUS  
 CN Propanoic acid, 2-[3-[[[2-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]acetyl]methylamino]-5-(trifluoromethyl)phenoxy]-2-methyl- (CA INDEX NAME)

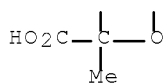
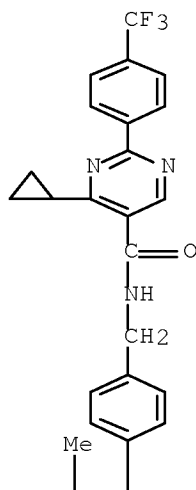


RN 851506-66-6 HCAPLUS  
 CN Propanoic acid, 2-[4-[[[2-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]acetyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)



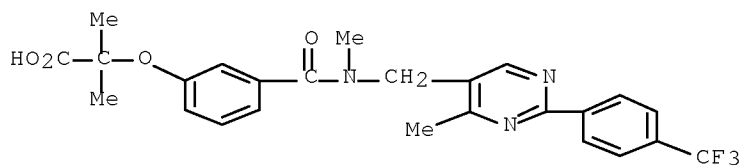


RN 851506-68-8 HCAPLUS  
 CN Propanoic acid, 2-[4-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)



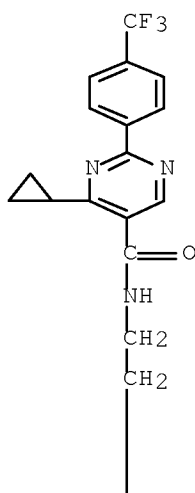
RN 851506-70-2 HCAPLUS  
 CN Propanoic acid, 2-methyl-2-[3-[[methyl[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methyl]amino]carbonyl]phenoxy]- (CA INDEX NAME)



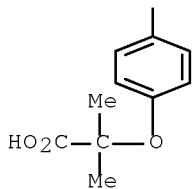


RN 851506-74-6 HCAPLUS  
 CN Propanoic acid, 2-[4-[2-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)

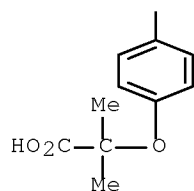
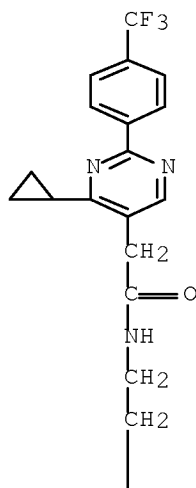
PAGE 1-A



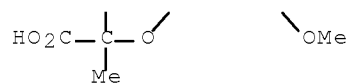
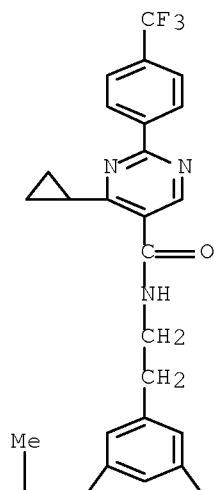
PAGE 2-A



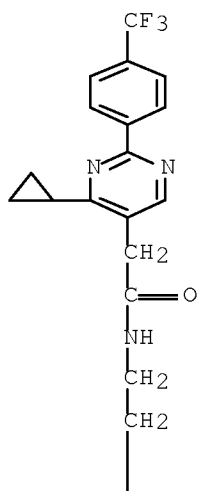
RN 851506-76-8 HCAPLUS  
 CN Propanoic acid, 2-[4-[2-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]acetyl]amino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



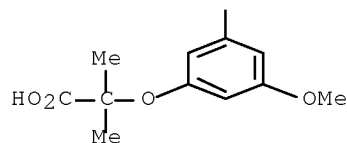
RN 851506-83-7 HCAPLUS  
 CN Propanoic acid, 2-[3-[2-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]ethyl]-5-methoxyphenoxy]-2-methyl- (CA INDEX NAME)



RN 851506-84-8 HCAPLUS  
 CN Propanoic acid, 2-[3-[2-[[2-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]acetyl]amino]ethyl]-5-methoxyphenoxy]-2-methyl- (CA INDEX NAME)

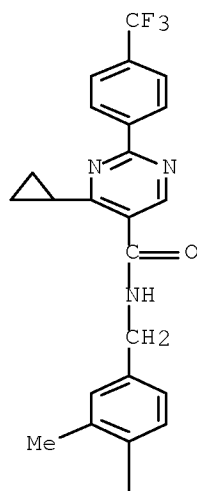


PAGE 2-A

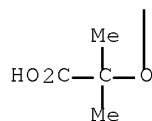


RN 851506-87-1 HCAPLUS  
 CN Propanoic acid, 2-[4-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

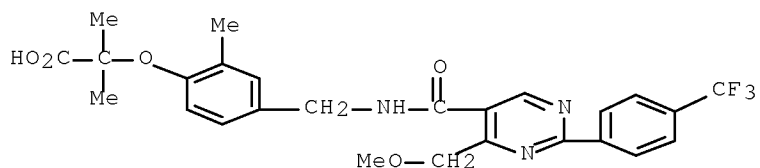
PAGE 1-A



PAGE 2-A

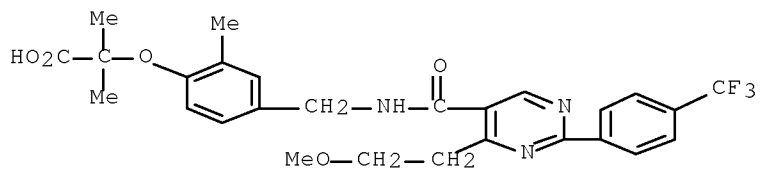


RN 851506-88-2 HCAPLUS  
 CN Propanoic acid, 2-[4-[[[4-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



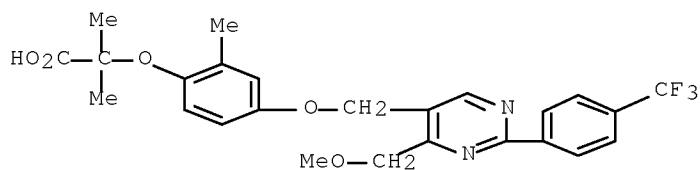
RN 851506-89-3 HCAPLUS

CN Propanoic acid, 2-[4-[[[4-(2-methoxyethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



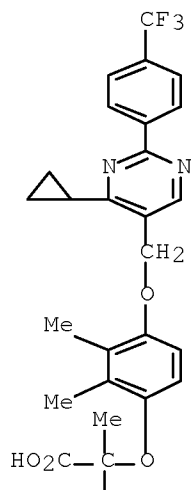
RN 851506-93-9 HCAPLUS

CN Propanoic acid, 2-[4-[[[4-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



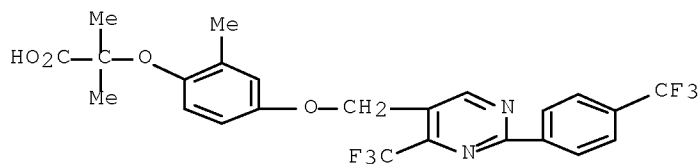
RN 851506-95-1 HCAPLUS

CN Propanoic acid, 2-[4-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2,3-dimethylphenoxy]-2-methyl- (CA INDEX NAME)



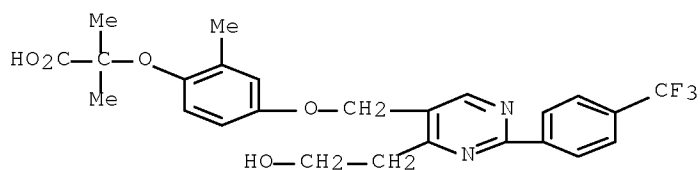
RN 851506-96-2 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[4-(trifluoromethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]phenoxy]- (CA INDEX NAME)



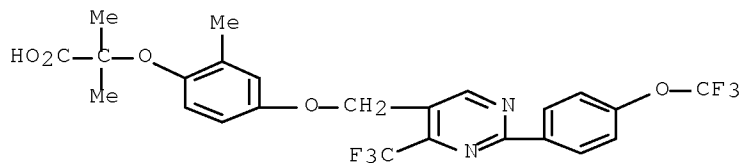
RN 851506-99-5 HCAPLUS

CN Propanoic acid, 2-[4-[[4-(2-hydroxyethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



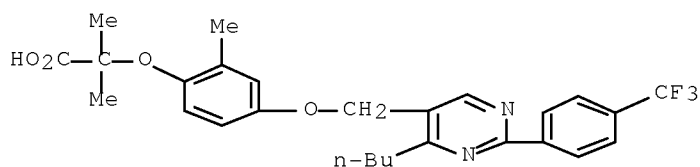
RN 851507-00-1 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[2-[4-(trifluoromethoxy)phenyl]-4-(trifluoromethyl)-5-pyrimidinyl]methoxy]phenoxy]- (CA INDEX NAME)



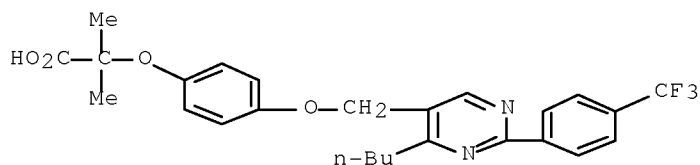
RN 851507-04-5 HCAPLUS

CN Propanoic acid, 2-[4-[[4-butyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



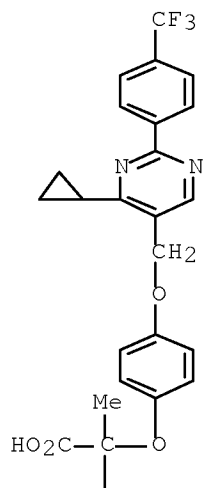
RN 851507-05-6 HCAPLUS

CN Propanoic acid, 2-[4-[[4-butyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]phenoxy]-2-methyl- (CA INDEX NAME)



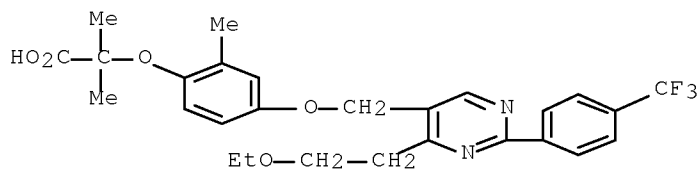
RN 851507-06-7 HCAPLUS

CN Propanoic acid, 2-[4-[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]phenoxy]-2-methyl- (CA INDEX NAME)



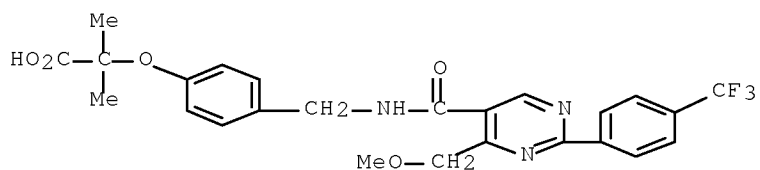
RN 851507-08-9 HCAPLUS

CN Propanoic acid, 2-[4-[[4-(2-ethoxyethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



RN 851507-10-3 HCAPLUS

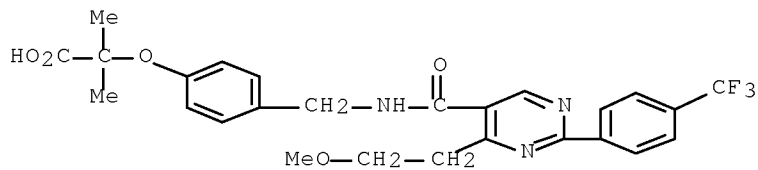
CN Propanoic acid, 2-[4-[[[4-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)





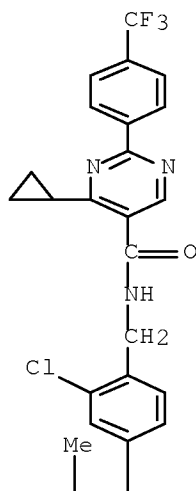
RN 851507-11-4 HCAPLUS

CN Propanoic acid, 2-[4-[[[4-(2-methoxyethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)

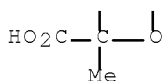


RN 851507-16-9 HCAPLUS

CN Propanoic acid, 2-[3-chloro-4-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)



PAGE 1-A

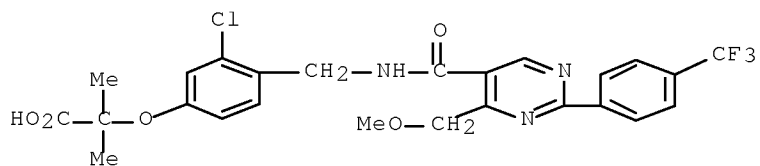


PAGE 2-A

RN 851507-17-0 HCAPLUS

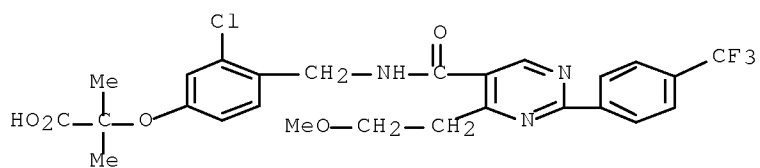
CN Propanoic acid, 2-[3-chloro-4-[[[4-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]methyl]phenoxy]-2-methyl-

methyl- (CA INDEX NAME)



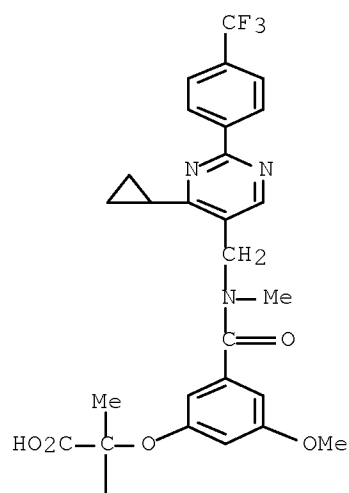
RN 851507-18-1 HCAPLUS

CN Propanoic acid, 2-[3-chloro-4-[[[4-(2-methoxyethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)



RN 851507-19-2 HCAPLUS

CN Propanoic acid, 2-[3-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methyl]methylamino]carbonyl]-5-methoxyphenoxy]-2-methyl- (CA INDEX NAME)

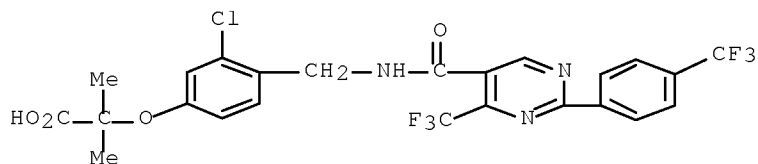


PAGE 1-A



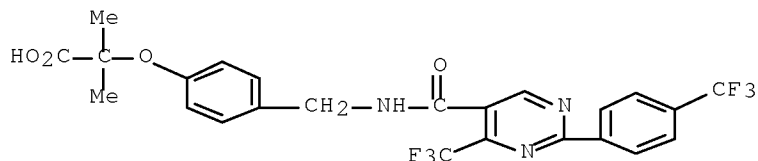
RN 851507-22-7 HCAPLUS

CN Propanoic acid, 2-[3-chloro-4-[[[4-(trifluoromethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)



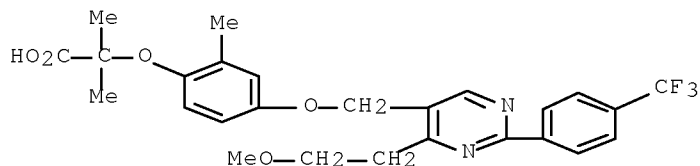
RN 851507-24-9 HCAPLUS

CN Propanoic acid, 2-methyl-2-[4-[[[4-(trifluoromethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)



RN 851507-29-4 HCAPLUS

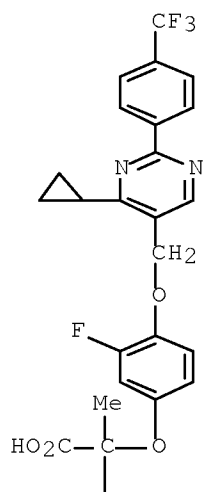
CN Propanoic acid, 2-[4-[4-(2-methoxyethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



RN 851507-30-7 HCAPLUS

CN Propanoic acid, 2-[4-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-3-fluorophenoxy]-2-methyl- (CA INDEX NAME)

PAGE 1-A

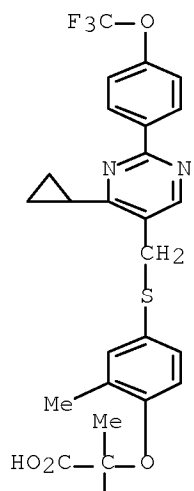


PAGE 2-A



RN 851507-31-8 HCAPLUS  
 CN Propanoic acid, 2-[4-[[[4-cyclopropyl-2-[4-(trifluoromethoxy)phenyl]-5-pyrimidinyl]methyl]thio]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

PAGE 1-A

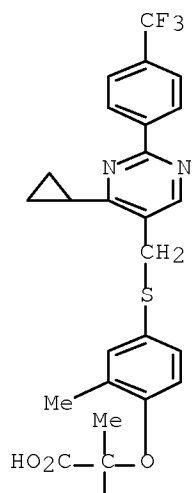


PAGE 2-A



RN 851507-33-0 HCAPLUS  
 CN Propanoic acid, 2-[4-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methyl]thio]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

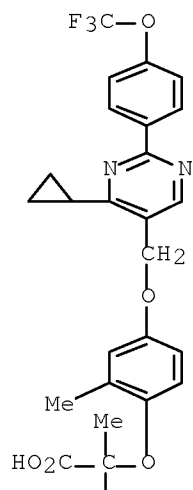
PAGE 1-A



PAGE 2-A

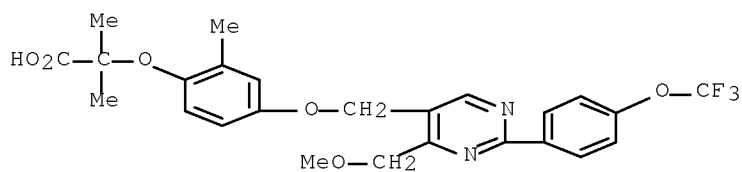


RN 851507-39-6 HCAPLUS  
 CN Propanoic acid, 2-[4-[[[4-cyclopropyl-2-[4-(trifluoromethoxy)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



RN 851507-44-3 HCAPLUS

CN Propanoic acid, 2-[4-[[4-(methoxymethyl)-2-[4-(trifluoromethoxy)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



IT 851069-58-4P 851069-67-5P 851069-68-6P  
 851069-69-7P 851507-63-6P 851507-66-9P  
 851507-67-0P 851507-68-1P 851507-69-2P  
 851507-70-5P 851507-71-6P 851507-72-7P  
 851507-73-8P 851507-74-9P 851507-75-0P  
 851507-91-0P 851507-92-1P 851507-93-2P  
 851507-94-3P 851508-10-6P 851508-29-7P  
 851508-30-0P 851508-31-1P 851508-33-3P  
 851508-34-4P 851508-35-5P 851508-36-6P  
 851508-37-7P 851508-38-8P 851508-48-0P  
 851508-49-1P 851508-50-4P 851508-51-5P  
 851508-54-8P 851508-62-8P 851508-63-9P  
 851508-70-8P 851508-75-3P 851508-86-6P

Serial No.:10/595,734

851508-87-7P 851508-88-8P 851508-94-6P

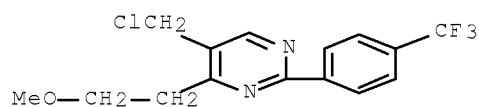
851508-96-8P 851508-97-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation aryloxyacetic acids and related compds. as PPAR $\delta$  and PPAR $\alpha$  agonists)

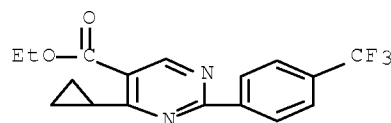
RN 851069-58-4 HCAPLUS

CN Pyrimidine, 5-(chloromethyl)-4-(2-methoxyethyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



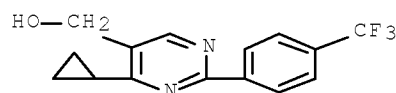
RN 851069-67-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)



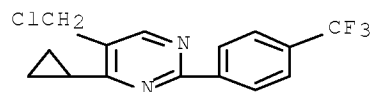
RN 851069-68-6 HCAPLUS

CN 5-Pyrimidinemethanol, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 851069-69-7 HCAPLUS

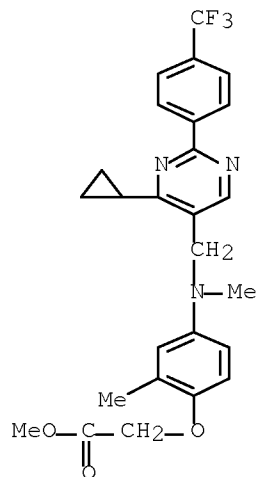
CN Pyrimidine, 5-(chloromethyl)-4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 851507-63-6 HCAPLUS

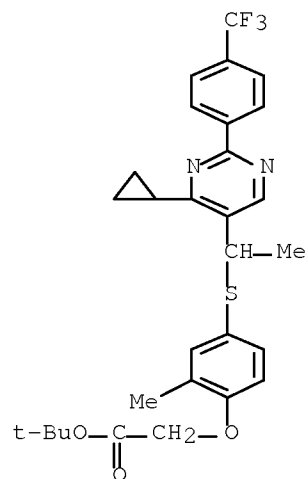
CN Acetic acid, 2-[4-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methyl]methylamino]-2-methylphenoxy]-, methyl ester (CA INDEX NAME)

NAME)



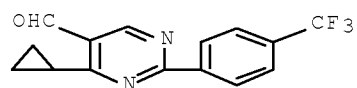
RN 851507-66-9 HCAPLUS

CN Acetic acid, 2-[4-[[1-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]ethyl]thio]-2-methylphenoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 851507-67-0 HCAPLUS

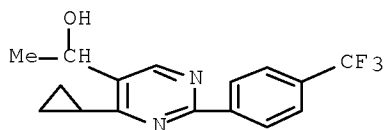
CN 5-Pyrimidinecarboxaldehyde, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)





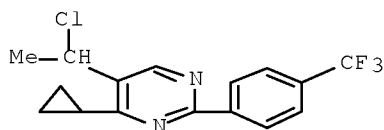
RN 851507-68-1 HCAPLUS

CN 5-Pyrimidinemethanol, 4-cyclopropyl- $\alpha$ -methyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



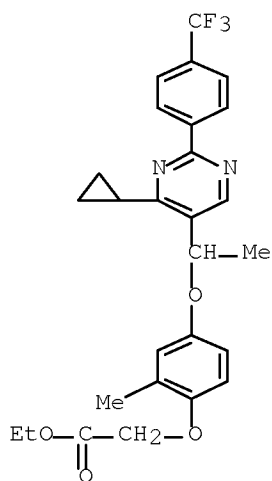
RN 851507-69-2 HCAPLUS

CN Pyrimidine, 5-(1-chloroethyl)-4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 851507-70-5 HCAPLUS

CN Acetic acid, 2-[4-[1-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]ethoxy]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

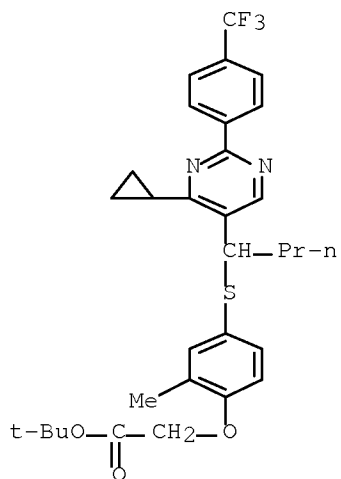


RN 851507-71-6 HCAPLUS

CN Acetic acid, 2-[4-[1-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-

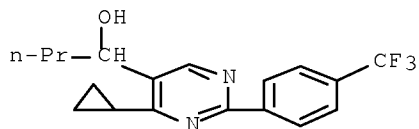
Serial No.:10/595,734

pyrimidinyl]butyl]thio]-2-methylphenoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



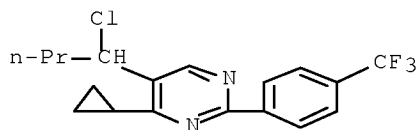
RN 851507-72-7 HCAPLUS

CN 5-Pyrimidinemethanol, 4-cyclopropyl- $\alpha$ -propyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



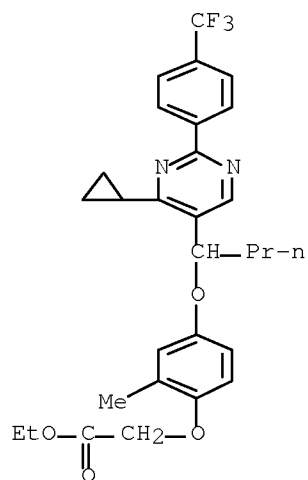
RN 851507-73-8 HCAPLUS

CN Pyrimidine, 5-(1-chlorobutyl)-4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



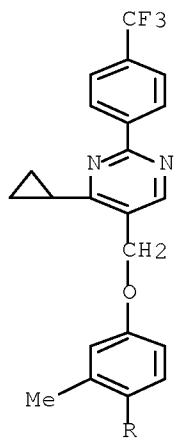
RN 851507-74-9 HCAPLUS

CN Acetic acid, 2-[4-[1-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]butoxy]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

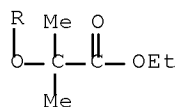


RN 851507-75-0 HCAPLUS  
 CN Propanoic acid, 2-[4-[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

PAGE 1-A



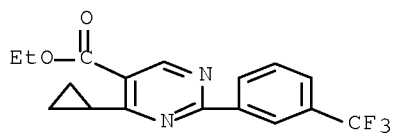
PAGE 2-A



RN 851507-91-0 HCAPLUS

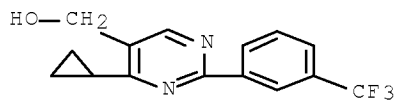
Serial No.:10/595,734

CN 5-Pyrimidinecarboxylic acid, 4-cyclopropyl-2-[3-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)



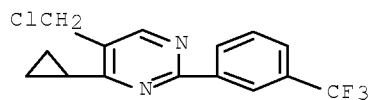
RN 851507-92-1 HCAPLUS

CN 5-Pyrimidinemethanol, 4-cyclopropyl-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



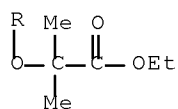
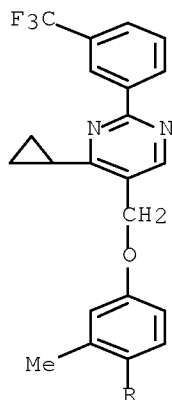
RN 851507-93-2 HCAPLUS

CN Pyrimidine, 5-(chloromethyl)-4-cyclopropyl-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



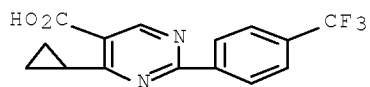
RN 851507-94-3 HCAPLUS

CN Propanoic acid, 2-[4-[[4-cyclopropyl-2-[3-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



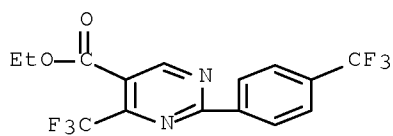
RN 851508-10-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-  
(CA INDEX NAME)



RN 851508-29-7 HCAPLUS

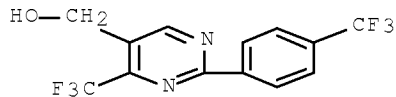
CN 5-Pyrimidinecarboxylic acid, 4-(trifluoromethyl)-2-[4-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)



RN 851508-30-0 HCAPLUS

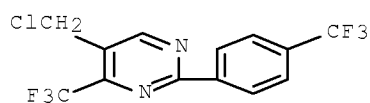
CN 5-Pyrimidinemethanol, 4-(trifluoromethyl)-2-[4-(trifluoromethyl)phenyl]-

(CA INDEX NAME)



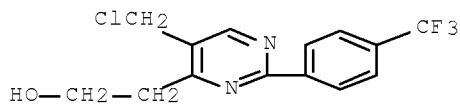
RN 851508-31-1 HCAPLUS

CN Pyrimidine, 5-(chloromethyl)-4-(trifluoromethyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



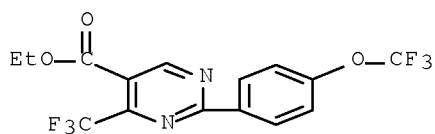
RN 851508-33-3 HCAPLUS

CN 4-Pyrimidineethanol, 5-(chloromethyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



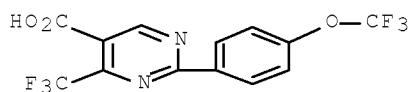
RN 851508-34-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[4-(trifluoromethoxy)phenyl]-4-(trifluoromethyl)-, ethyl ester (CA INDEX NAME)



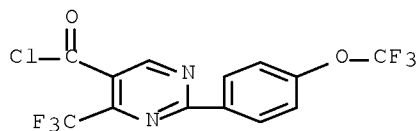
RN 851508-35-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[4-(trifluoromethoxy)phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



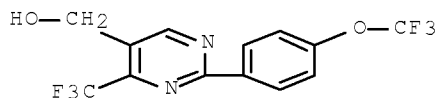
RN 851508-36-6 HCAPLUS

CN 5-Pyrimidinecarbonyl chloride, 2-[4-(trifluoromethoxy)phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



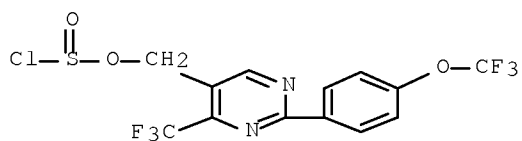
RN 851508-37-7 HCAPLUS

CN 5-Pyrimidinemethanol, 2-[4-(trifluoromethoxy)phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



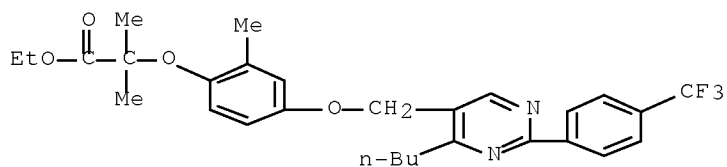
RN 851508-38-8 HCAPLUS

CN Chlorosulfurous acid, [2-[4-(trifluoromethoxy)phenyl]-4-(trifluoromethyl)-5-pyrimidinyl]methyl ester (CA INDEX NAME)



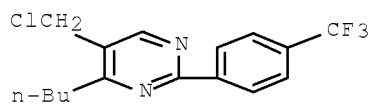
RN 851508-48-0 HCAPLUS

CN Propanoic acid, 2-[4-[[4-butyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



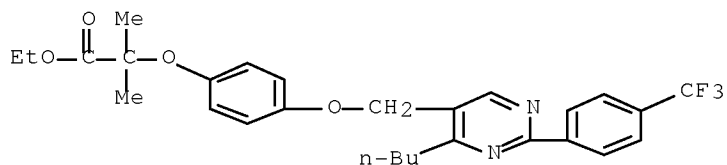
RN 851508-49-1 HCAPLUS

CN Pyrimidine, 4-butyl-5-(chloromethyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 851508-50-4 HCAPLUS

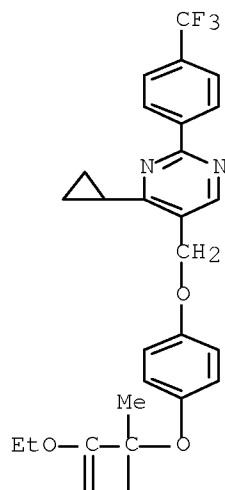
CN Propanoic acid, 2-[4-[[4-butyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



RN 851508-51-5 HCAPLUS

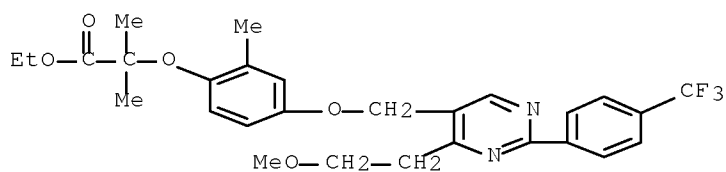
CN Propanoic acid, 2-[4-[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)





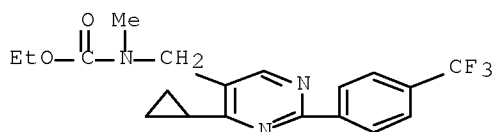
RN 851508-54-8 HCAPLUS

CN Propanoic acid, 2-[4-[[4-(2-methoxyethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



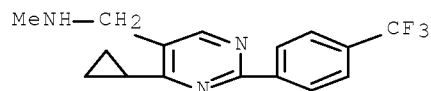
RN 851508-62-8 HCAPLUS

CN Carbamic acid, [[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)



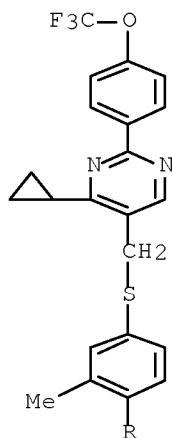
RN 851508-63-9 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-cyclopropyl-N-methyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

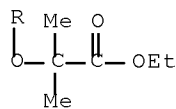


RN 851508-70-8 HCAPLUS

CN Propanoic acid, 2-[4-[[[4-cyclopropyl-2-[4-(trifluoromethoxy)phenyl]-5-pyrimidinyl]methyl]thio]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



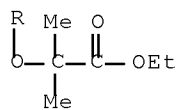
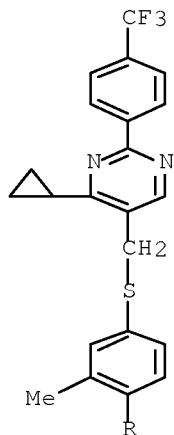
PAGE 1-A



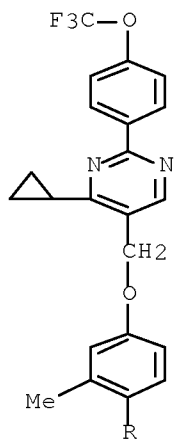
PAGE 2-A

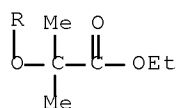
RN 851508-75-3 HCAPLUS

CN Propanoic acid, 2-[4-[[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methyl]thio]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



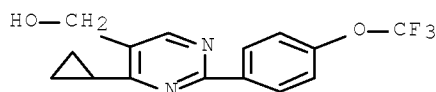
RN 851508-86-6 HCAPLUS  
 CN Propanoic acid, 2-[4-[[4-cyclopropyl-2-[4-(trifluoromethoxy)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)





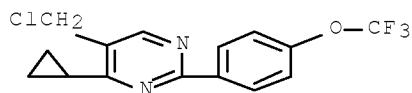
RN 851508-87-7 HCAPLUS

CN 5-Pyrimidinemethanol, 4-cyclopropyl-2-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



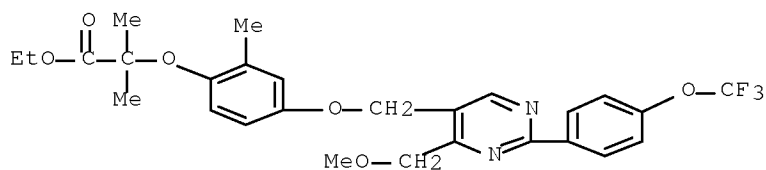
RN 851508-88-8 HCAPLUS

CN Pyrimidine, 5-(chloromethyl)-4-cyclopropyl-2-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



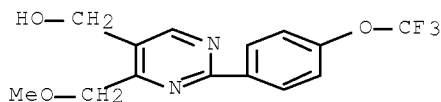
RN 851508-94-6 HCAPLUS

CN Propanoic acid, 2-[4-[[4-(methoxymethyl)-2-[4-(trifluoromethoxy)phenyl]-5-pyrimidinyl]methoxy]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

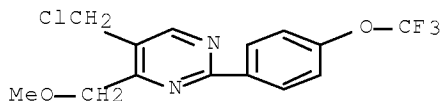


RN 851508-96-8 HCAPLUS

CN 5-Pyrimidinemethanol, 4-(methoxymethyl)-2-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



RN 851508-97-9 HCAPLUS  
 CN Pyrimidine, 5-(chloromethyl)-4-(methoxymethyl)-2-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 13 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:394828 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:447226  
 TITLE: A preparation of acetate derivatives, useful as PPAR activators  
 INVENTOR(S): Ackermann, Jean; Aebi, Johannes; Binggeli, Alfred; Grether, Uwe; Hirth, Georges; Kuhn, Bernd; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Wright, Matthew Blake  
 PATENT ASSIGNEE(S): Switz.  
 SOURCE: U.S. Pat. Appl. Publ., 36 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050096336	A1	20050505	US 2004-977651	20041029 <--
AU 2004291260	A1	20050602	AU 2004-291260	20041028 <--
CA 2543247	A1	20050602	CA 2004-2543247	20041028 <--
WO 2005049572	A1	20050602	WO 2004-EP12199	20041028 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1682507	A1	20060726	EP 2004-790969	20041028 <--

Serial No.:10/595,734

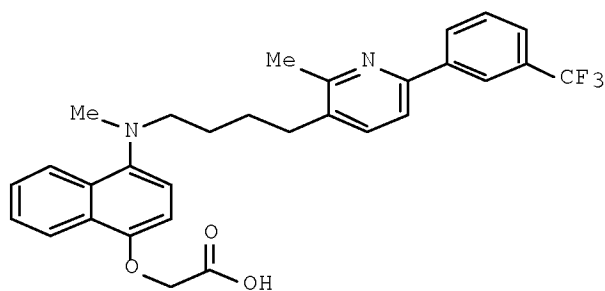
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

CN 1875001	A	20061206	CN 2004-80031722	20041028	<--
BR 2004016284	A	20070123	BR 2004-16284	20041028	<--
JP 2007509998	T	20070419	JP 2006-538707	20041028	<--
MX 2006PA04546	A	20060623	MX 2006-PA4546	20060424	<--
KR 849352	B1	20080729	KR 2006-708801	20060504	<--
IN 2006DN02617	A	20070824	IN 2006-DN2617	20060510	<--
PRIORITY APPLN. INFO.:			EP 2003-104082	A	20031105 <--
			WO 2004-EP12199	W	20041028

OTHER SOURCE(S): CASREACT 142:447226; MARPAT 142:447226

ED Entered STN: 09 May 2005

GI



I

AB The invention relates to a preparation of acetate derivs. of formula R1OC(O)CH(R2)(R3)-X-R4 [wherein: X is S, O, or CH2; R1, R2, and R3 are independently selected from H or alkyl; R4 is a Ph derivative], useful as PPAR activators. For instance, naphthalenyloxyacetic acid I [IC50 (μmol/L): PPARα - 3.58, PPARγ - >10, PPARδ - 0.065] was prepared via amination of 3-(1-chlorobutyl)-2-methyl-6-(3-trifluoromethylphenyl)pyridine by Et (4-methylaminonaphthalen-1-yloxy)acetate.

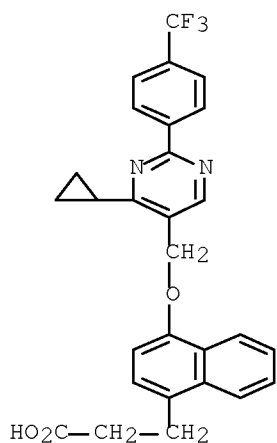
IT 851077-15-1P, 3-[4-[4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-ylmethoxy]naphthalen-1-yl]propionic acid  
851077-16-2P, 3-[4-[4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-ylmethoxy]-5,6,7,8-tetrahydro-naphthalen-1-yl]propionic acid  
851077-29-7P, 2-(3-[2-[4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-yl]ethoxy]naphthalen-1-yloxy)-2-methylpropionic acid  
851077-30-0P, 2-[4-[(4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-yl)methoxy]naphthalen-2-yloxy]-2-methylpropionic acid  
851077-34-4P, 2-(4-[2-[4-Cyclopropyl-2-(4-trifluoromethylphenyl)pyrimidin-5-yl]ethoxy]naphthalen-2-yloxy)-2-methylpropionic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acetate derivs. useful as PPAR activators)

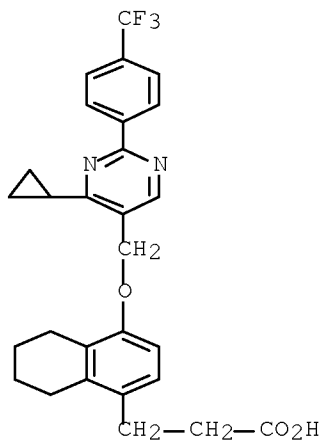
RN 851077-15-1 HCAPLUS

CN 1-Naphthalenepropanoic acid, 4-[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]- (CA INDEX NAME)



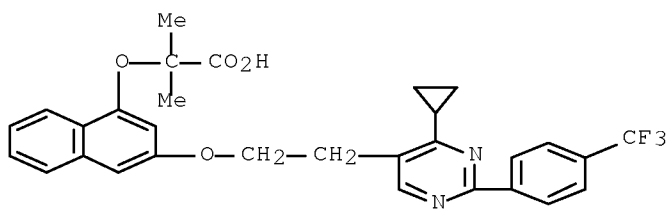
RN 851077-16-2 HCAPLUS

CN 1-Naphthalenepropanoic acid, 4-[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-5,6,7,8-tetrahydro- (CA INDEX NAME)



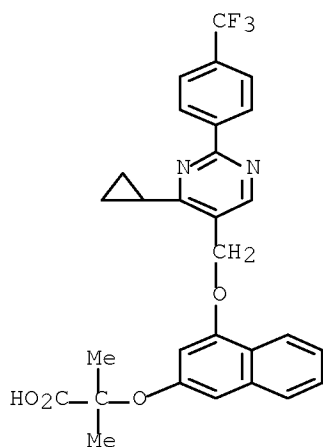
RN 851077-29-7 HCAPLUS

CN Propanoic acid, 2-[[3-[2-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]ethoxy]-1-naphthalenyl]oxy]-2-methyl- (CA INDEX NAME)



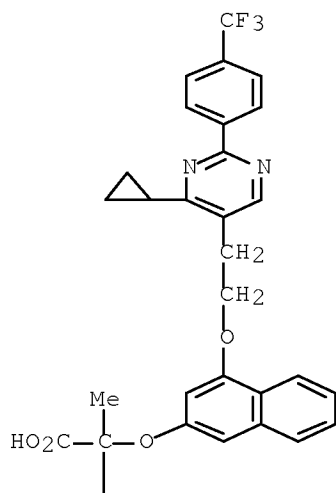
RN 851077-30-0 HCAPLUS

CN Propanoic acid, 2-[[4-[[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]-2-naphthalenyl]oxy]-2-methyl- (CA INDEX NAME)



RN 851077-34-4 HCAPLUS

CN Propanoic acid, 2-[[4-[2-[4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]ethoxy]-2-naphthalenyl]oxy]-2-methyl- (CA INDEX NAME)



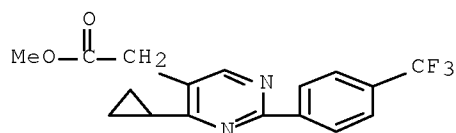
PAGE 1-A

Me

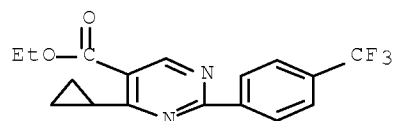
PAGE 2-A



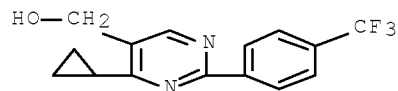
IT 851070-47-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of acetate derivs. useful as PPAR activators)  
 RN 851070-47-8 HCAPLUS  
 CN 5-Pyrimidineacetic acid, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-,  
 methyl ester (CA INDEX NAME)



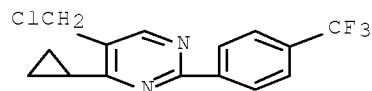
IT 851069-67-5P 851069-68-6P 851069-69-7P  
 851070-48-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of acetate derivs. useful as PPAR activators)  
 RN 851069-67-5 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-,  
 ethyl ester (CA INDEX NAME)



RN 851069-68-6 HCAPLUS  
 CN 5-Pyrimidinemethanol, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]- (CA  
 INDEX NAME)

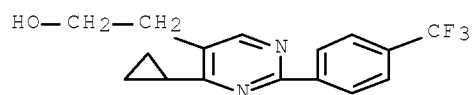


RN 851069-69-7 HCAPLUS  
 CN Pyrimidine, 5-(chloromethyl)-4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]-  
 (CA INDEX NAME)



RN 851070-48-9 HCAPLUS

CN 5-Pyrimidineethanol, 4-cyclopropyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L54 ANSWER 14 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:371230 HCAPLUS Full-text

DOCUMENT NUMBER: 142:430289

TITLE: Preparation of pyrimidine compounds as mixed lymphocyte reaction (MLR) inhibitors

INVENTOR(S): Tsuruoka, Hiroyuki; Matsuda, Akihisa; Sugano, Yuichi; Tatsuta, Toru

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 350 pp.

CODEN: PIXXD2

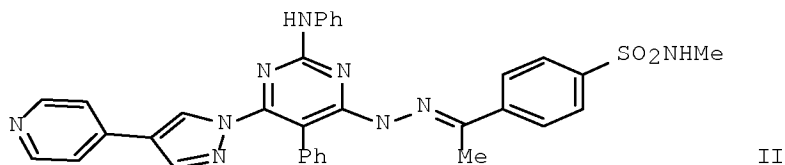
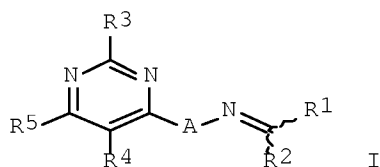
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037801	A1	20050428	WO 2004-JP15955	20041021 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2005145956	A	20050609	JP 2004-302344	20041018 <--
PRIORITY APPLN. INFO.:			JP 2003-360967	A 20031021 <--
OTHER SOURCE(S):			MARPAT 142:430289	
ED Entered STN: 29 Apr 2005				
GI				



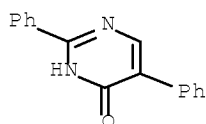
AB Disclosed is a pyrimidine derivative with excellent MLR inhibitory effect or a pharmacol. acceptable salt thereof. Pyrimidine derivs. represented by the general formula (I) or pharmacol. acceptable salts thereof [R1 = lower alkyl; R2 = each (un)substituted aryl or heterocyclyl; A = NH, O; R3 = H, lower alkyl, heterocyclyl, aryl, heterocyclyl, -NHR6 (wherein R6 = lower alkyl, cycloalkyl-lower alkyl, aralkyl, each (un)substituted cycloalkyl, aryl, or heterocyclyl); R4 = H, lower alkyl, lower alkoxy, cycloalkyl-lower alkyl, aralkyl, each (un)substituted aryl or heterocyclyl; provided that R3 = R4 ≠ H; R5 = H, halo, lower alkyl, cycloalkyl, (un)substituted heterocyclyl, NR7R8, OR7 (wherein R7, R8 = H, cycloalkyl, (un)substituted aryl or lower alkyl)] are prepared These compds. exhibit excellent MLR inhibitory effect and are useful as inhibitors of allograft rejection in bone marrow and organ transplant or for the prevention and/or treatment of inflammatory diseases, organ-specific or organ-nonspecific autoimmune diseases, allergic diseases, chronic rheumatism, multiple sclerosis, inflammatory bowel disease, diabetes, glomerulonephritis, primary biliary liver cirrhosis, chronic active hepatitis, pernicious anemia, chronic thyroiditis, atrophic gastritis, myasthenia gravis, psoriasis, Sjogren's syndrome, systemic lupus erythematosus, rhinitis, asthma, or atopic dermatitis. Thus, 0.1 mmol 4-hydrazino-2,6-bis(2-methoxyphenylamino)pyrimidine was dissolved in 1 mL ethanol, treated with 0.1 mmol 4-acetylpyridine, and stirred for 18 h to give 4-[N'-[1-(pyridin-4-yl)ethylidene]hydrazino]-2,6-bis(2-methoxyphenylamino)pyrimidine. N-methyl-4-[1-[[5-phenyl-2-phenylamino-6-[4-(pyridin-4-yl)pyrazol-1-yl]pyrimidin-4-yl]hydrazono]ethyl]benzenesulfon amide (II) inhibited MLR in human peripheral hemolymphocyte offered from two healthy people with IC50 of 1.0 ng/mL.

IT 29134-22-3P 33643-94-6P

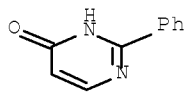
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of pyrimidine compds. as mixed lymphocyte reaction (MLR) inhibitors)

RN 29134-22-3 HCAPLUS

CN 4(1H)-Pyrimidinone, 2,5-diphenyl- (9CI) (CA INDEX NAME)



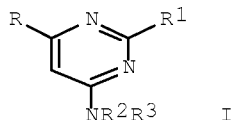
RN 33643-94-6 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 2-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 15 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:324142 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:392429  
 TITLE: A preparation of pyrimidine derivatives, useful as adenosine receptors ligands  
 INVENTOR(S): Chang, Lisa C. W.; Ijzerman, Adriaan P.; Brussee, Johannes  
 PATENT ASSIGNEE(S): Universiteit Leiden, Neth.  
 SOURCE: PCT Int. Appl., 49 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

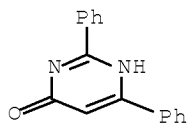
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005033084	A1	20050414	WO 2004-NL682	20041001 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1667985	A1	20060614	EP 2004-774983	20041001 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 20070032510	A1	20070208	US 2006-574436	20060403 <--
PRIORITY APPLN. INFO.:			GB 2003-23137	A 20031003 <--
			WO 2004-NL682	W 20041001
OTHER SOURCE(S): CASREACT 142:392429; MARPAT 142:392429				
ED Entered STN: 15 Apr 2005				
GI				



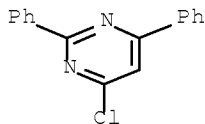
AB The invention relates to a preparation of pyrimidine derivs. of formula I [wherein: R1 and R4 are independently selected from H, alkyl, or alk(en/yn)yl, etc.; R2 and R3 are independently selected from H, acyl, thioacyl, alkyl, or alk(en/yn)yl, etc.; or R2 and R3 together can form heterocyclic ring(s)], useful as ligands for adenosine receptors. For instance, N-pyrimidinylbenzamide derivative II was prepared via amidation of benzoic acid by 2-amino-4,6-diphenylpyrimidine with a yield of 48%. The invention compds. were shown to be generally selective for the adenosine A1 receptor (radioligand binding assay, II,  $K_i = 671$  nM).

IT 15969-46-7P 29509-91-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyrimidine derivs. useful as adenosine receptors ligands)

RN 15969-46-7 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 2,6-diphenyl- (CA INDEX NAME)



RN 29509-91-9 HCAPLUS  
 CN Pyrimidine, 4-chloro-2,6-diphenyl- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 16 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:260051 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:309945  
 TITLE: Dihydropyrimidinyl and other heterocyclic compound dipeptidyl peptidase IV (DPPIV) inhibitors  
 INVENTOR(S): Cao, Sheldon X.; Feng, Jun; Gwaltney, Stephen L.; Kaldor, Stephen W.; Stafford, Jeffrey A.; Wallace, Michael B.; Xiao, Xiao-Yi; Zhang, Zhiyuan  
 PATENT ASSIGNEE(S): Syrrx, Inc., USA  
 SOURCE: PCT Int. Appl., 161 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026148	A1	20050324	WO 2004-US28968	20040902 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050065145	A1	20050324	US 2004-934326	20040902 <--
EP 1699777	A1	20060913	EP 2004-783269	20040902 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007505121	T	20070308	JP 2006-526217	20040902 <--
PRIORITY APPLN. INFO.:			US 2003-501458P	P 20030908 <--
			WO 2004-US28968	W 20040902

OTHER SOURCE(S): MARPAT 142:309945

ED Entered STN: 25 Mar 2005

AB Dihydropyrimidinyl and other heterocyclic compds. (Markush included), pharmaceuticals, kits, and methods are provided for use as DPPIV inhibitors.

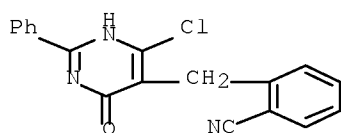
IT 848186-07-2 848186-17-4 848186-17-4D, stereoisomers

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(dihydropyrimidinyl and other heterocyclic compound dipeptidyl peptidase IV inhibitors)

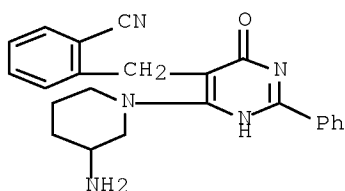
RN 848186-07-2 HCAPLUS

CN Benzonitrile, 2-[(6-chloro-1,4-dihydro-4-oxo-2-phenyl-5-pyrimidinyl)methyl]- (CA INDEX NAME)

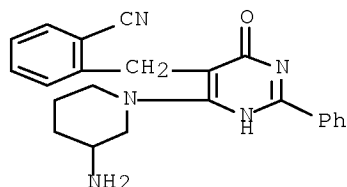


RN 848186-17-4 HCAPLUS

CN Benzonitrile, 2-[[4-(3-amino-1-piperidinyl)-1,6-dihydro-6-oxo-2-phenyl-5-pyrimidinyl)methyl]- (CA INDEX NAME)



RN 848186-17-4 HCAPLUS  
 CN Benzonitrile, 2-[[4-(3-amino-1-piperidiny1)-1,6-dihydro-6-oxo-2-phenyl-5-pyrimidinyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 17 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:216611 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:291340  
 TITLE: Formulations, conjugates, and combinations of drugs for the treatment of neoplasms  
 INVENTOR(S): Nichols, James M.; Foley, Michael A.; Keith, Curtis; Padval, Mahesh; Elliott, Peter  
 PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA  
 SOURCE: PCT Int. Appl., 92 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

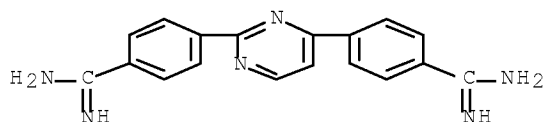
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020913	A2	20050310	WO 2004-US27695	20040825 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050080075	A1	20050414	US 2004-925835	20040825 <--
PRIORITY APPLN. INFO.:			US 2003-497617P	P 20030825 <--
OTHER SOURCE(S): MARPAT 142:291340				
ED Entered STN: 11 Mar 2005				
AB The invention provides formulations and structural modifications for phenothiazine compds. which result in altered biodistribution, thereby reducing the occurrence of adverse reactions associated with this class of drug.				

IT 160522-87-2 160522-88-3 160522-89-4  
847545-11-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(formulations and conjugates and combinations of drugs such as  
phenothiazines for treatment of neoplasms)

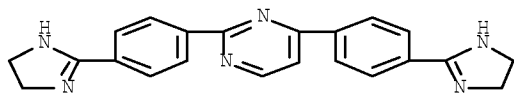
RN 160522-87-2 HCAPLUS

CN Benzenecarboximidamide, 4,4'-(2,4-pyrimidinediyl)bis- (9CI) (CA INDEX  
NAME)



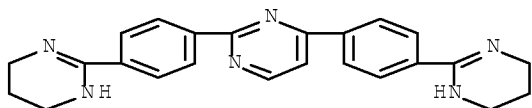
RN 160522-88-3 HCAPLUS

CN Pyrimidine, 2,4-bis[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]- (CA INDEX  
NAME)



RN 160522-89-4 HCAPLUS

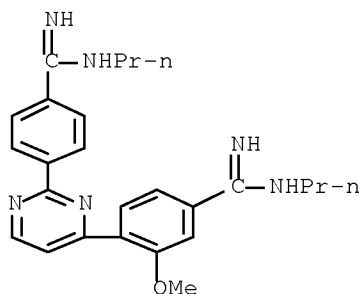
CN Pyrimidine, 2,4-bis[4-(1,4,5,6-tetrahydro-2-pyrimidinyl)phenyl]- (CA  
INDEX NAME)



RN 847545-11-3 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[4-[imino(propylamino)methyl]phenyl]-4-  
pyrimidinyl]-3-methoxy-N-propyl- (CA INDEX NAME)





L54 ANSWER 18 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:120654 HCAPLUS Full-text

DOCUMENT NUMBER: 142:191226

TITLE: Combination of pentamidine or analog and  
antiproliferative agent drugs for the treatment of  
neoplasmsINVENTOR(S): Nichols, James M.; Lee, Margaret S.; Keith, Curtis T.;  
Zhang, Yanzhen; Gaw, Debra A.

PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005011572	A2	20050210	WO 2004-US23524	20040722 <--
WO 2005011572	A3	20050310		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050054708	A1	20050310	US 2004-895561	20040721 <--
AU 2004261148	A1	20050210	AU 2004-261148	20040722 <--
CA 2529521	A1	20050210	CA 2004-2529521	20040722 <--
EP 1651211	A2	20060503	EP 2004-778848	20040722 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1829509	A	20060906	CN 2004-80022015	20040722 <--
JP 2007500698	T	20070118	JP 2006-521916	20040722 <--
PRIORITY APPLN. INFO.:			US 2003-490759P	P 20030728 <--
			WO 2004-US23524	W 20040722

OTHER SOURCE(S): MARPAT 142:191226

ED Entered STN: 11 Feb 2005

Serial No.:10/595,734

AB The invention features a method for treating a patient having a cancer or other neoplasm by administering to the patient pentamidine or a pentamidine analog and an antiproliferative agent simultaneously or within 14 days of each other in amts. sufficient to treat the patient. The combination of pentamidine and vinblastine provided improved antiproliferative activity against human non-small cell lung carcinoma A549 cells.

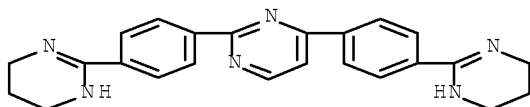
IT 160522-89-4 648415-54-7 648415-55-8

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination of pentamidine or analog and antiproliferative agent drugs for treatment of neoplasms)

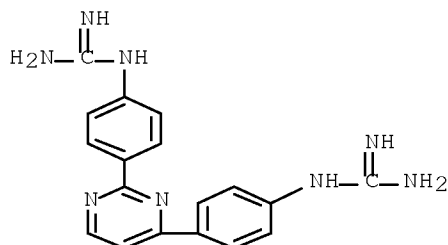
RN 160522-89-4 HCAPLUS

CN Pyrimidine, 2,4-bis[4-(1,4,5,6-tetrahydro-2-pyrimidinyl)phenyl]- (CA INDEX NAME)



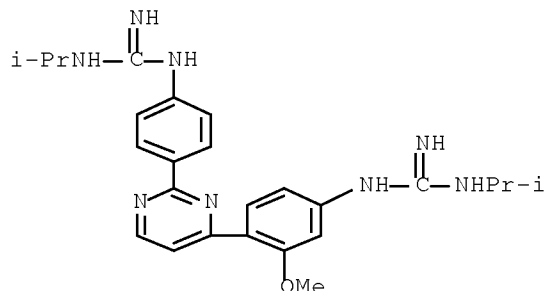
RN 648415-54-7 HCAPLUS

CN Guanidine, N,N'''-(2,4-pyrimidinediyl-di-4,1-phenylene)bis- (9CI) (CA INDEX NAME)



RN 648415-55-8 HCAPLUS

CN Guanidine, N-[4-[4-[4-[[imino[(1-methylethyl)amino]methyl]amino]-2-methoxyphenyl]-2-pyrimidinyl]phenyl]-N'-(1-methylethyl)- (CA INDEX NAME)



L54 ANSWER 19 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:99357 HCAPLUS Full-text

DOCUMENT NUMBER: 142:198088

TITLE: Preparation of pyrimidinecarboxamides,  
pyrimidinylcarbamates and related compounds as  
inhibitors of T cell activation for the treatment of  
inflammatory diseasesINVENTOR(S): Nunes, Joseph J.; Zhu, Xiaotian; Amouzegh, Patricia;  
Ghiron, Chiara; Johnston, David N.; Power, Eoin  
Christopher

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 462 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

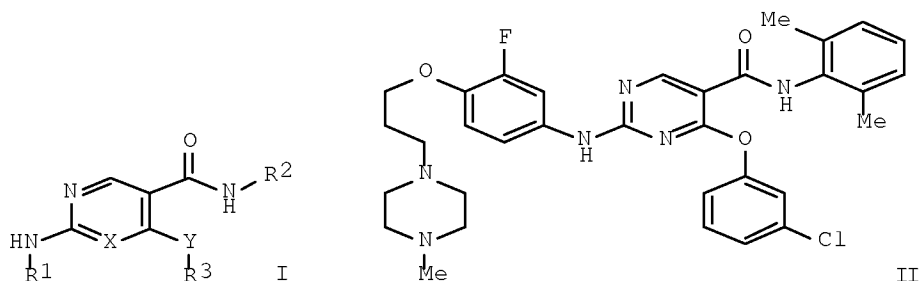
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009443	A1	20050203	WO 2004-US20243	20040624 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050209221	A1	20050922	US 2004-875896	20040623 <--
AU 2004258862	A1	20050203	AU 2004-258862	20040624 <--
CA 2529734	A1	20050203	CA 2004-2529734	20040624 <--
EP 1648464	A1	20060426	EP 2004-777011	20040624 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
PRIORITY APPLN. INFO.:			US 2003-482375P	P 20030624 <--
			US 2004-875896	A 20040623
			WO 2004-US20243	W 20040624

OTHER SOURCE(S): MARPAT 142:198088

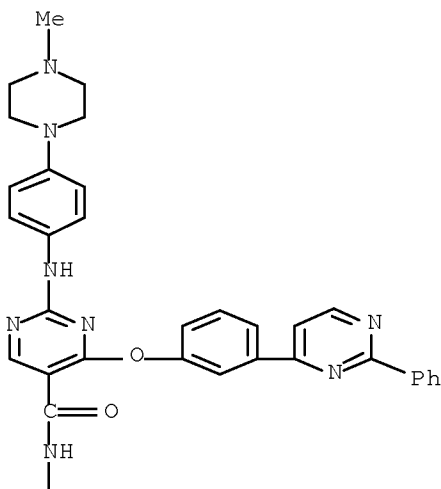
ED Entered STN: 04 Feb 2005

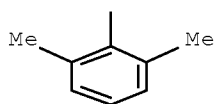
GI



- AB Pyrimidine and pyridine carboxamides I [wherein X = N or CH; Y = NH, O or S; R1 - R3 = certain (un)substituted monocyclic or bicyclic ring; or pharmaceutically acceptable salts thereof] as well as pyrimidinylcarbamates were prepared as inhibitors of T cell activation. For example, 2,4-dichloropyrimidine-5-carbonyl chloride, obtained by globally chlorination of uracil-5-carboxylic acid monohydrate with PCl<sub>5</sub> in POCl<sub>3</sub>, underwent amidation with 2,6-dimethylaniline, followed by etherification with 3-chlorophenol and subsequent amination with 3-fluoro-4-(3-(4-methyl-1-piperazinyl)propoxy)aniline to give pyrimidinecarboxamide II. Representative compds. I exhibited inhibition with IC<sub>50</sub> values of <10 μM in the LCK-homogeneous time resolved fluorescent kinase assay. Therefore, I and pharmaceutical compns. thereof are useful in the treatment of many diseases such as inflammation.
- IT 835640-12-5P, N-(2,6-Dimethylphenyl)-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-4-[[3-(2-phenyl-4-pyrimidinyl)phenyl]oxy]-5-pyrimidinecarboxamide 835640-13-6P, N-(2,6-Dimethylphenyl)-2-[[3-fluoro-4-[[3-(1-piperidinyl)propyl]oxy]phenyl]amino]-4-[[3-(2-phenyl-4-pyrimidinyl)phenyl]oxy]-5-pyrimidinecarboxamide 835640-14-7P, N-(2,6-Dimethylphenyl)-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-4-[[3-(2-phenyl-4-pyrimidinyl)phenyl]oxy]-5-pyrimidinecarboxamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (inhibitor; preparation of pyrimidinecarboxamides and pyrimidinylcarbamates as inhibitors of T cell activation for treatment of inflammatory diseases)
- RN 835640-12-5 HCAPLUS
- CN 5-Pyrimidinecarboxamide, N-(2,6-dimethylphenyl)-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-4-[3-(2-phenyl-4-pyrimidinyl)phenoxy]- (CA INDEX NAME)

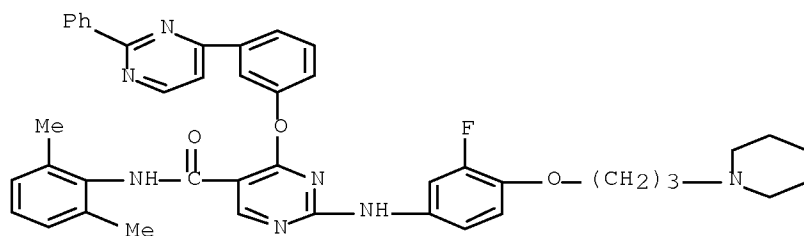
PAGE 1-A





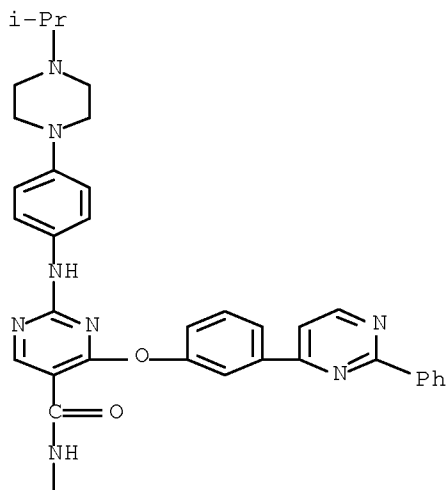
RN 835640-13-6 HCAPLUS

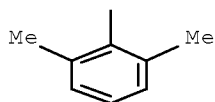
CN 5-Pyrimidinecarboxamide, N-(2,6-dimethylphenyl)-2-[[3-fluoro-4-[3-(1-piperidinyl)propoxy]phenyl]amino]-4-[3-(2-phenyl-4-pyrimidinyl)phenoxy]-(CA INDEX NAME)



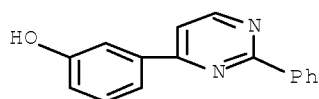
RN 835640-14-7 HCAPLUS

CN 5-Pyrimidinecarboxamide, N-(2,6-dimethylphenyl)-2-[[4-[4-(1-methylethyl)-1-piperazinyl]phenyl]amino]-4-[3-(2-phenyl-4-pyrimidinyl)phenoxy]-(CA INDEX NAME)





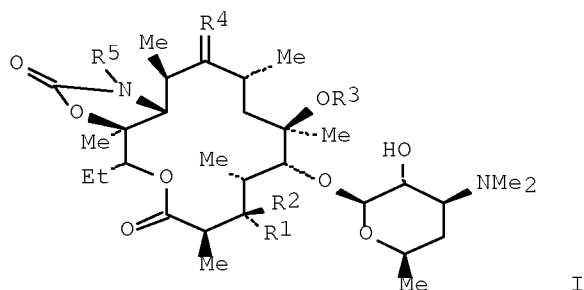
IT 1058628-44-6  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of pyrimidinecarboxamides and pyrimidinylcarbamates as  
inhibitors of T cell activation for treatment of inflammatory diseases)  
RN 1058628-44-6 HCAPLUS  
CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 20 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2005:59967 HCAPLUS Full-text  
DOCUMENT NUMBER: 142:127557  
TITLE: Method of treating tuberculosis with macrolide and  
ketolide erythromycin derivatives  
INVENTOR(S): Falzari, Kanakeshwari; Franzblau, Scott G.; Zhu,  
Zhaohai  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 42 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
US 20050014706	A1	20050120	US 2004-889346	20040712 <--
PRIORITY APPLN. INFO.:			US 2003-486979P	P 20030714 <--
OTHER SOURCE(S):	MARPAT	142:127557		
ED Entered STN:	21 Jan 2005			
GI				



AB Macrolide and ketolide erythromycin derivs. I, wherein R1R2 are O; R1 is sugar residue, R2 is H; R3 is alkyl, alkylheteroaryl; R4 is substituted imine; R5 is heteroarylalkylamine; useful in the treatment of tuberculosis are disclosed. Methods of treating tuberculosis using the macrolides and ketolides, and compns. containing the same, also are disclosed. Thus, I [R1R2 = R4 = O, R3 = Me, R5 = (CH<sub>2</sub>)<sub>5</sub>Ph] was tested for treating tuberculosis. Accordingly, one aspect of the present invention is to provide a method of treating tuberculosis in a mammal, including human. More particularly, the present invention is directed to a method of treating latent, active, and multidrug-resistant by administering a therapeutically effective amount of a macrolide, a ketolide, or mixts. thereof, to a mammal in need thereof.

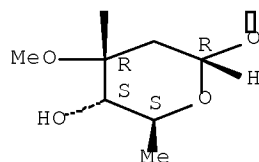
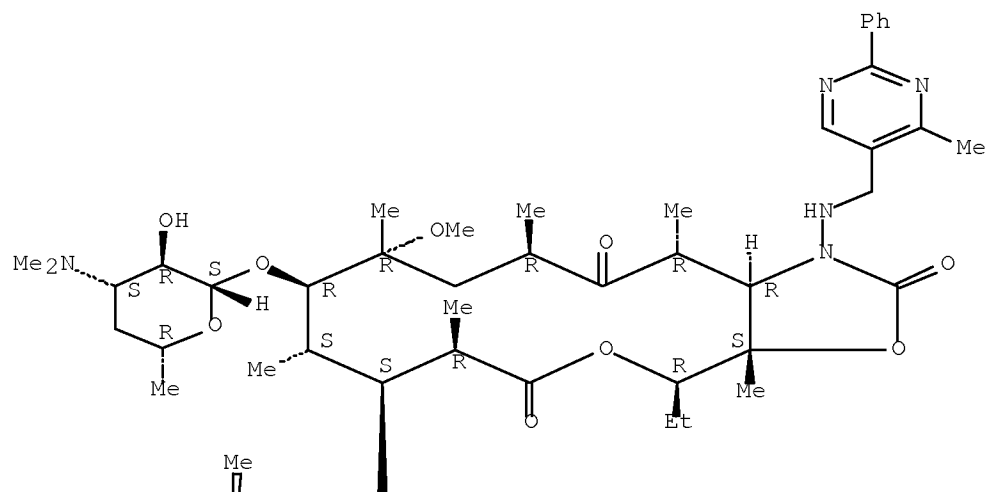
IT 825651-37-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(method of treating tuberculosis with macrolide and ketolide erythromycin derivs.)

RN 825651-37-4 HCAPLUS

CN 2H-Oxacyclotetradecino[4,3-d]oxazole-2,6,14(1H,7H)-trione,  
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-4-ethyldecahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-1-[[[4-methyl-2-phenyl-5-pyrimidinyl)methyl]amino]-10-[[3,4,6-trideoxy-3-(dimethylamino)- $\beta$ -D-xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,8S,9S,10R,11R,13R,15R,15aR)-  
(CA INDEX NAME)

Absolute stereochemistry.



1 ANSWERS ARE AVAILABLE. SPECIFIED ANSWER NUMBER EXCEEDS ANSWER SET SIZE  
The answer numbers requested are not in the answer set.  
ENTER ANSWER NUMBER OR RANGE (1):END

1 ANSWERS ARE AVAILABLE. SPECIFIED ANSWER NUMBER EXCEEDS ANSWER SET SIZE  
The answer numbers requested are not in the answer set.  
ENTER ANSWER NUMBER OR RANGE (1):END

=> D IBIB ED ABS HITSTR L54 120-140; D IBIB ED ABS HITSTR L54 228-248

L54 ANSWER 120 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:372157 HCAPLUS Full-text

DOCUMENT NUMBER: 134:366894

TITLE: Preparation of 2-(4-trifluoromethylphenyl)-4-aminopyrimidines as remedies for autoimmune inflammatory diseases

INVENTOR(S): Murata, Akiya; Kondo, Masanori; Ohno, Kazunori; Tanaka, Masayasu; Ito, Masato

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

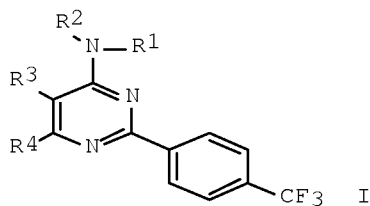
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.



# Serial No.:10/595,734

DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001139560	A	20010522	JP 1999-326299	19991117 <--
PRIORITY APPLN. INFO.:			JP 1999-326299	19991117 <--
OTHER SOURCE(S):	MARPAT	134:366894		
ED Entered STN:	24 May	2001		
GI				

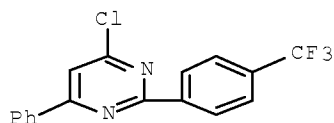


AB The title compds. I [R1 = H, alkyl, etc.; R2 = alkyl, etc.; further detail on R1 and R2 is given; R3 = halo, etc.; R4 = alkyl, (un)substituted Ph, etc.] are prepared I [NR1R2 = NHCH2CH(OH)Me; R3 = Cl; R4 = phenyl] at 3 mg/kg/day orally (5 days/wk; for 7.4 wk) gave 98.2 % inhibition of collagen-induced arthritis in mice. Formulations are given.

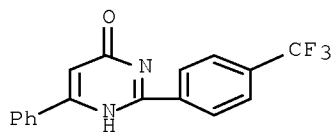
IT 340008-58-4P 340011-60-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) as remedies for autoimmune inflammatory diseases)

RN 340008-58-4 HCAPLUS  
 (preparation of aminopyrimidines)

CN Pyrimidine, 4-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

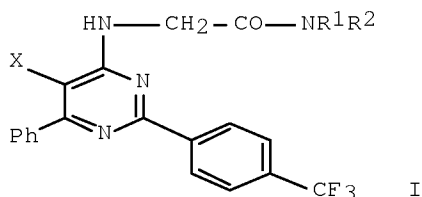


RN 340011-60-1 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L54 ANSWER 121 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:369711 HCAPLUS Full-text  
 DOCUMENT NUMBER: 134:366892  
 TITLE: Preparation of 5-halogeno-6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamides and compositions for treatment of immune inflammation  
 INVENTOR(S): Murata, Akiya; Ohno, Kazunori; Tanaka, Masayasu; Ito, Mari  
 PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001139559	A	20010522	JP 1999-326295	19991117 <--
PRIORITY APPLN. INFO.:			JP 1999-326295	19991117 <--
OTHER SOURCE(S): MARPAT 134:366892				
ED Entered STN: 23 May 2001				
GI				



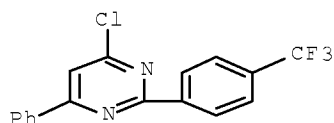
AB Title compds. I [R1 = Me, Et; R2 = Me, Et, iso-Pr, cyclopropyl; X = Cl, Br; (R1, R2, X) ≠ (Me, Me, Cl), (Me, cyclopropyl, Cl)], useful for treatment of rheumatoid arthritis, Behcet's disease, myelitis, multiple sclerosis, systemic lupus erythematosus, Sjogren's syndrome, are prepared N,N-dimethyl-2-[6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamide (1.1 g) was reacted with N-bromosuccinimide in AcOH at 90° for 1 h to give 1 g 2-[5-bromo-6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]-N,N-dimethylacetamide showing 96.0% inhibitory activity against arthritis in mouse.

IT 340008-58-4P 340011-60-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of  
halophenyl(trifluoromethylphenyl)pyrimidinylamino]acetamides  
and comps. for treatment of immune inflammation)

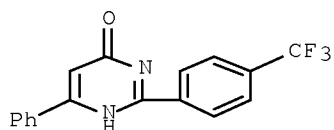
RN 340008-58-4 HCAPLUS

CN Pyrimidine, 4-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX  
NAME)



RN 340011-60-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX  
NAME)



L54 ANSWER 122 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:366094 HCAPLUS Full-text

DOCUMENT NUMBER: 134:366890

TITLE: Preparation of [2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamides for treatment of immune inflammation

INVENTOR(S): Murata, Akiya; Kondo, Masanori; Ohno, Kazunori; Tanaka, Masayasu; Ito, Mari

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

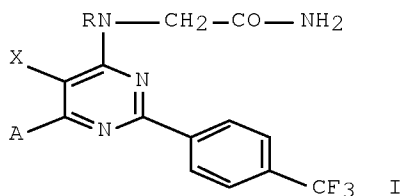
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
JP 2001139558	A	20010522	JP 1999-324719	19991115 <--
PRIORITY APPLN. INFO.:			JP 1999-324719	19991115 <--
OTHER SOURCE(S):	MARPAT	134:366890		
ED Entered STN:	22 May	2001		
GI				



AB Title compds. I (A = H, lower alkyl, cycloalkyl, F3C, halo, etc.; X = H, halo, lower alkyl, HOCH2, lower alkoxyethyl, NO2, etc.; R = H, lower alkyl), useful for treatment of rheumatoid arthritis, Behcet's disease, myelitis, multiple sclerosis, systemic lupus erythematosus, Sjogren's syndrome, are prepared Et 2-[5,6-dimethyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetate (1.1 g) was treated with aqueous NH3 in at room temperature for 48 h to give 0.8 g 2-[5,6-dimethyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamide showing 100% inhibitory activity against arthritis in mouse.

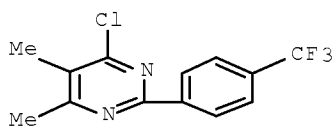
IT 180606-84-2 340008-58-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of [(trifluoromethylphenyl)pyrimidinylamino]acetamides for treatment of immune inflammation)

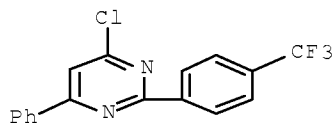
RN 180606-84-2 HCAPLUS

CN Pyrimidine, 4-chloro-5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 340008-58-4 HCAPLUS

CN Pyrimidine, 4-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L54 ANSWER 123 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:338336 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 134:348244

TITLE: Methods and formulations using heterocyclic compounds for the treatment of infectious bursal disease in avian subjects

INVENTOR(S): Dykstra, Christine C.; Hudson, James C.; Tidwell, Richard R.; Boykin, David; Ewald, Sandra

# Serial No.:10/595,734

PATENT ASSIGNEE(S): The University of North Carolina at Chapel Hill, USA;  
Auburn University; Georgia State University Research  
Foundation, Inc.  
SOURCE: PCT Int. Appl., 58 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032159	A2	20010510	WO 2000-US30066	20001101 <--
WO 2001032159	A3	20020711		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6774144	B1	20040810	US 2000-703804	20001101 <--
PRIORITY APPLN. INFO.:			US 1999-162877P	P 19991101 <--
OTHER SOURCE(S): MARPAT 134:348244				
ED Entered STN: 11 May 2001				
GI				

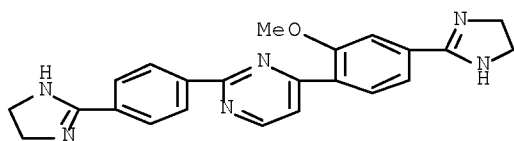
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB A method is provided for treating infectious bursal disease (IBD) in an avian subject in need of such treatment. The method comprises administering to the subject a compound of formulas I-IV [p = 1-8; A = O, S, NR (R = H, lower alkyl); X1, X2 = H, lower alkyl, lower alkoxy; R1, R2, X', X'', X3-X6 = lower alkyl, lower alkoxy, aryl, halo, etc.], or a pharmaceutically acceptable salt thereof, in an amount sufficient to treat IBD. In another aspect, the invention provides a method of producing active immunity against infectious bursal virus disease (IBD) in an avian subject. The method comprises administering to a subject an immunogenic-amount of an IBDV vaccine and a compound selected from compds. I-IV. A compound represented by I-IV is administered in an amount sufficient to induce an immune response in the avian subject.

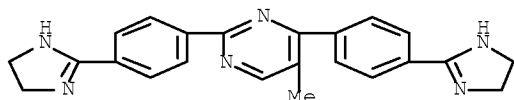
IT 160522-92-9, DB 203 160522-95-2, DB 197  
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(heterocyclic compds. for treatment of infectious bursal disease in avians)

RN 160522-92-9 HCAPLUS

CN Pyrimidine, 4-[4-(4,5-dihydro-1H-imidazol-2-yl)-2-methoxyphenyl]-2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]- (CA INDEX NAME)



RN 160522-95-2 HCAPLUS  
 CN Pyrimidine, 2,4-bis[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]-5-methyl- (CA INDEX NAME)



L54 ANSWER 124 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:319713 HCAPLUS Full-text  
 DOCUMENT NUMBER: 134:320862  
 TITLE: Tissue factor antagonists and therapeutic use  
 INVENTOR(S): Jiao, Jin-An; Leupschen, Lawrence K.; Nieves, Esperanza L.; Wong, Hing C.; Taylor, Dean P.  
 PATENT ASSIGNEE(S): Sunol Molecular Corporation, USA  
 SOURCE: PCT Int. Appl., 50 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030333	A2	20010503	WO 2000-US29725	20001027 <--
WO 2001030333	A3	20020207		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2387857	A1	20010503	CA 2000-2387857	20001027 <--
AU 2001012403	A	20010508	AU 2001-12403	20001027 <--
AU 784426	B2	20060330		
EP 1223921	A2	20020724	EP 2000-973964	20001027 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003512423	T	20030402	JP 2001-532753	20001027 <--
US 6608066	B1	20030819	US 2000-698673	20001027 <--
EP 1829535	A2	20070905	EP 2007-10521	20001027 <--

## Serial No.:10/595,734

EP 1829535 A3 20071024  
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC,  
NL, PT, SE  
US 20030207895 A1 20031106 US 2003-445205 20030523 <--  
US 6849617 B2 20050201  
AU 2006200377 A1 20060223 AU 2006-200377 20060127 <--  
KR 2007049251 A 20070510 KR 2007-709414 20070425 <--  
PRIORITY APPLN. INFO.: US 1999-161855P P 19991027 <--  
AU 2001-12403 A3 20001027 <--  
EP 2000-973964 A3 20001027 <--  
US 2000-698673 A1 20001027 <--  
WO 2000-US29725 W 20001027 <--  
KR 2002-705209 A3 20020423 <--

OTHER SOURCE(S): MARPAT 134:320862

ED Entered STN: 04 May 2001

AB The invention includes pharmaceutically active tissue factor antagonist  
compds. and methods of treatment and pharmaceutical compns. that use or  
comprise one or more such compds. The compds. are particularly useful for  
treating or prophylaxis of undesired thrombosis.

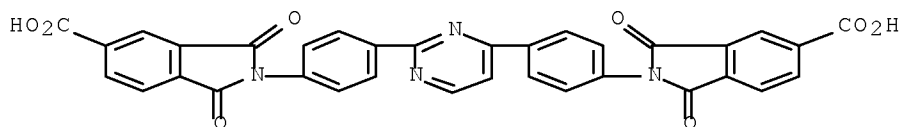
IT 328265-49-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)

(tissue factor antagonists and therapeutic use)

RN 328265-49-2 HCAPLUS

CN 1H-Isoindole-5-carboxylic acid, 2-[4-[2-[4-(5-carboxy-1,3-dihydro-1,3-  
dioxo-2H-isoindol-2-yl)phenyl]-4-pyrimidinyl]phenyl]-2,3-dihydro-1,3-dioxo-  
(CA INDEX NAME)



L54 ANSWER 125 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:283949 HCAPLUS Full-text

DOCUMENT NUMBER: 134:311218

TITLE: Synthesis and use of heterocyclic sodium/proton  
exchange inhibitors

INVENTOR(S): Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu,  
Khehyong; Atwal, Karnail S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 221 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027107	A2	20010419	WO 2000-US27461	20001002 <--
WO 2001027107	A3	20020124		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

Serial No.:10/595,734

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6887870	B1	20050503	US 2000-669298	20000925 <--
CA 2388813	A1	20010419	CA 2000-2388813	20001002 <--
EP 1224183	A2	20020724	EP 2000-968723	20001002 <--
EP 1224183	B1	20051228		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL

BR 2000014725	A	20030617	BR 2000-14725	20001002 <--
HU 2003000195	A2	20030728	HU 2003-195	20001002 <--
HU 2003000195	A3	20030929		
JP 2003527331	T	20030916	JP 2001-530325	20001002 <--
NZ 517668	A	20040924	NZ 2000-517668	20001002 <--
AT 314364	T	20060115	AT 2000-968723	20001002 <--
ES 2254236	T3	20060616	ES 2000-968723	20001002 <--
IN 2002MN00354	A	20050318	IN 2002-MN354	20020322 <--
ZA 2002002479	A	20040727	ZA 2002-2479	20020327 <--
MX 2002PA03626	A	20030922	MX 2002-PA3626	20020410 <--
NO 2002001717	A	20020610	NO 2002-1717	20020411 <--
US 20050137216	A1	20050623	US 2005-46993	20050131 <--
US 7326705	B2	20080205		

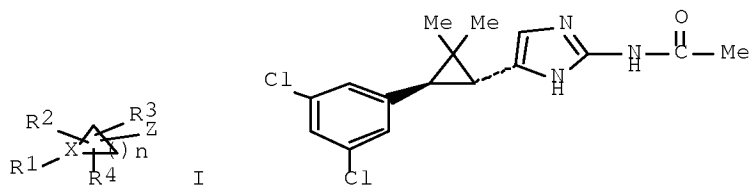
PRIORITY APPLN. INFO.:

US 1999-158755P	P	19991012 <--
US 2000-669298	A3	20000925 <--
WO 2000-US27461	W	20001002 <--

OTHER SOURCE(S): MARPAT 134:311218

ED Entered STN: 20 Apr 2001

GI



AB Compds. of formula I [wherein; n is 1-5; X is N or CR<sup>5</sup>, where R<sup>5</sup> is H, halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R<sup>1</sup> is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl)<sub>3</sub>Si, cycloalk(en)yl, (aryl)amino, aryl(alkyl), cycloheteroaryl, etc.; R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are any of the groups set out for R<sup>1</sup> and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R<sup>1</sup> is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butyl-diethylphosphonoacetate. The intermediate tert-Bu ester is converted to the corresponding  $\alpha$ -chloroketone and reacted with acetyl guanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain



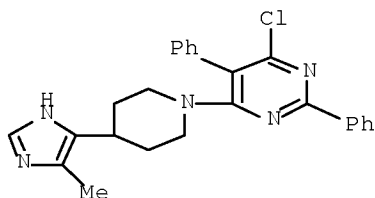
antihypertensive agents,  $\beta$ -adrenergic agonists, hypolipidemic agents, antidiabetic agents, antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia.

IT 335063-13-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(synthesis and use of heterocyclic sodium/proton exchange inhibitors)

RN 335063-13-3 HCAPLUS

CN Pyrimidine, 4-chloro-6-[4-(4-methyl-1H-imidazol-5-yl)-1-piperidinyl]-2,5-diphenyl- (CA INDEX NAME)



L54 ANSWER 126 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:247333 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 134:266475

TITLE: Preparation of quinuclidine compounds and drugs containing the same as the active ingredient of squalene synthase inhibitors

INVENTOR(S): Okada, Toshimi; Kurusu, Nobuyuki; Tanaka, Keigo; Miyazaki, Kazuki; Shinmyo, Daisuke; Sugumi, Hiroyuki; Ikuta, Hironori; Hiyoshi, Hironobu; Saeki, Takao; Yanagimachi, Mamoru; Ito, Masashi

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan; et al.

SOURCE: PCT Int. Appl., 267 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023383	A1	20010405	WO 2000-JP6665	20000927 <--
W: AU, BR, CA, CN, HU, IL, JP, KR, MX, NO, NZ, RU, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2385995	A1	20010405	CA 2000-2385995	20000927 <--
AU 2000074464	A	20010430	AU 2000-74464	20000927 <--
AU 782114	B2	20050707		
EP 1217001	A1	20020626	EP 2000-962889	20000927 <--
EP 1217001	B1	20051207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
HU 2002003514	A2	20030328	HU 2002-3514	20000927 <--

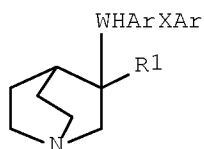
Serial No.:10/595,734

HU 2002003514	A3	20040128		
BR 2000014331	A	20030610	BR 2000-14331	20000927 <--
NZ 517788	A	20031128	NZ 2000-517788	20000927 <--
AT 312100	T	20051215	AT 2000-962889	20000927 <--
RU 2266905	C2	20051227	RU 2002-111344	20000927 <--
ES 2252063	T3	20060516	ES 2000-962889	20000927 <--
TW 282794	B	20070621	TW 2000-89119958	20000927 <--
CN 100334085	C	20070829	CN 2000-813541	20000927 <--
ZA 2002002034	A	20030312	ZA 2002-2034	20020312 <--
US 6599917	B1	20030729	US 2002-88554	20020319 <--
NO 2002001528	A	20020528	NO 2002-1528	20020326 <--
MX 2002PA03167	A	20031006	MX 2002-PA3167	20020326 <--
PRIORITY APPLN. INFO.:			JP 1999-273905	A 19990928 <--
			JP 2000-179352	A 20000615 <--
			WO 2000-JP6665	W 20000927 <--

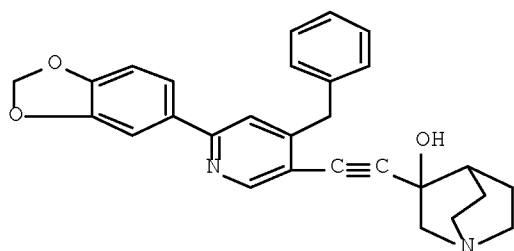
OTHER SOURCE(S): MARPAT 134:266475

ED Entered STN: 06 Apr 2001

GI



I



II

AB Title compds. [I; wherein R1 is hydrogen or hydroxyl; HAr is an optionally substituted aromatic heterocycle; Ar is an optionally substituted aromatic ring; W is a CH<sub>2</sub>CH<sub>2</sub> group which may be substituted, a CH:CH group which may be substituted, CC, NHCO, or the like; X is a single bond, optionally substituted C1-6 alkylene, Q ;wherein Q is oxygen, sulfur, CO, N(R<sub>2</sub>) ; wherein R<sub>2</sub> is C1-6 alkyl or C1-6 alkoxy, NHCO, or the like], salts thereof, or hydrates of both, are prepared and are useful as excellent squalene synthase inhibitors. Thus, the title compound II was prepared and tested.

IT 332131-52-9P

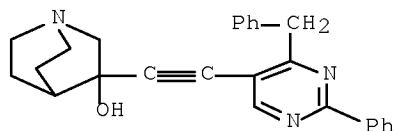
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinuclidine compds. and drugs containing the same as active

ingredient of squalene synthase inhibitors)

RN 332131-52-9 HCAPLUS

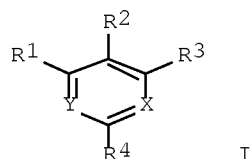
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[2-[2-phenyl-4-(phenylmethyl)-5-pyrimidinyl]ethynyl]- (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 127 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:235559 HCAPLUS Full-text  
 DOCUMENT NUMBER: 134:266319  
 TITLE: CD40 function inhibitors containing (hetero)aryl compounds and their preparation  
 INVENTOR(S): Saito, Shoichi; Akane, Katsura; Fujimoto, Katsumi; Shiraishi, Akio; Kurakata, Shinichi; Maeda, Hiroaki; Tatsuta, Toru  
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 139 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001089452	A	20010403	JP 1999-267909	19990922 <--
PRIORITY APPLN. INFO.:			JP 1999-267909	19990922 <--
OTHER SOURCE(S):	MARPAT	134:266319		
ED Entered STN:		04 Apr 2001		
GI				



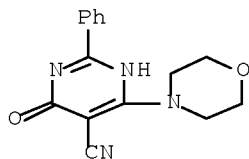
AB Title inhibitors, useful for prevention and treatment of allergy, rheumatoid, autoimmune disease, and arteriosclerosis, contain aromatic compds. I [R1, R3, R4 = H, OH, halo, C1-15 alkyl(oxy), C1-15 alkylthio, (un)substituted (hetero)aryl, etc.; R2 = NO2, nitrile, CO2H, C2-6 alkoxy carbonyl; R1CCR2 may form (un)substituted (hetero)aryl; X, Y = N, CH] or their salts as active ingredients. Thus, MeOCPh:C(CO2Et)2 was refluxed with benzamidine HCl salt and NaH in EtOH for 5 h, evaporated, neutralized, extracted with AcOEt, the organic phase concentrated, and treated with POCl3 and morpholine to give 52% I (R1 = R4 = Ph, R2 = CO2Et, R3 = 4-morpholino, X = Y = N), which at 25  $\mu$ M inhibited 88% formation of IL-12.

IT 332071-69-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of (hetero)aryl compds. as CD40 function inhibitors)

RN 332071-69-9 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-(4-morpholinyl)-6-oxo-2-phenyl-, sodium salt (1:1) (CA INDEX NAME)



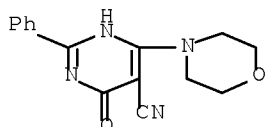
● Na

IT 102101-26-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of (hetero)aryl compds. as CD40 function inhibitors)

RN 102101-26-8 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-(4-morpholinyl)-6-oxo-2-phenyl-, (CA INDEX NAME)



L54 ANSWER 128 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:124174 HCAPLUS Full-text

DOCUMENT NUMBER: 134:173047

TITLE: Pharmaceuticals containing 2-aryl-8-oxodihydropurines for anxiolytics and antidepressants

INVENTOR(S): Murata, Akiya; Masumoto, Kaoru; Kondo, Masanori; Furukawa, Kiyoshi; Oka, Makoto

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
JP 2001048882	A	20010220	JP 2000-165263	20000602 <--
JP 3814125	B2	20060823		

PRIORITY APPLN. INFO.:

JP 1999-154830

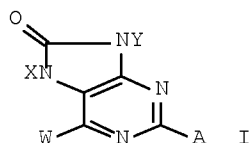
A 19990602 &lt;--

OTHER SOURCE(S):

MARPAT 134:173047

ED Entered STN: 20 Feb 2001

GI



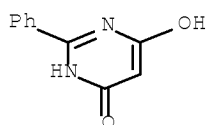
AB The pharmaceuticals contain dihydropurines I [W = H, lower alkyl, halo, lower alkoxy, amino, etc.; X = H, lower alkyl, (cycloalkyl)alkyl, phenylalkyl, CHR3CONR1R2, etc.; R1 = lower alkyl, alkenyl, cycloalkyl, etc.; R2 = lower alkyl, cycloalkyl, Ph, etc.; R3 = H, lower alkyl, hydroxyalkyl; Y = H, lower alkyl, cycloalkyl, (cycloalkyl)alkyl, lower alkenyl, CHR3CONR1R2, etc.; A = (un)substituted Ph, heteroaryl; ≥1 group selected from X, Y is CHR3CONR1R2] or pharmaceutically acceptable acid salts. 7,9-Dihydro-9-methyl-2-phenyl-8H-purin-8-one (7.0 g) was reacted with 8.3 g 2-bromo-N-ethyl-N-phenylacetamide in the presence of NaH in DMF at room temperature for 3 h to give 10.3 g N-ethyl-8,9-dihydro-9-methyl-8-oxo-2-phenyl-N-phenyl-7H-purine-7-acetamide showing good antidepressant activity in rats.

IT 13566-71-7P, 4,6-Dihydroxy-2-phenylpyrimidine 20954-85-2P  
55613-22-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(pharmaceuticals containing aryloxodihydropurines for anxiolytics and antidepressants)

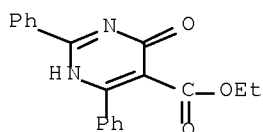
RN 13566-71-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-hydroxy-2-phenyl- (CA INDEX NAME)

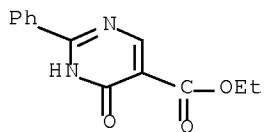


RN 20954-85-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2,6-diphenyl-, ethyl ester (9CI) (CA INDEX NAME)

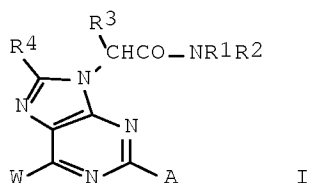


RN 55613-22-4 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-phenyl-, ethyl ester  
 (9CI) (CA INDEX NAME)



L54 ANSWER 129 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:861681 HCAPLUS Full-text  
 DOCUMENT NUMBER: 134:17498  
 TITLE: Preparation of 2-arylpyrimidine-9-acetamide derivatives  
 having selective action on peripheral benzodiazepine  
 receptor, process for the preparation thereof,  
 medicinal compositions containing the same and  
 intermediates of the derivatives  
 INVENTOR(S): Murata, Teruya; Kondo, Katsunori; Masumoto, Kaoru;  
 Kohayakawa, Hitoshi; Furukawa, Kiyoshi  
 PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 78 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073306	A1	20001207	WO 2000-JP3374	20000526 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG JP 2003146987 A 20030521 JP 1999-150878 19990531 <-- PRIORITY APPLN. INFO.: JP 1999-150878 A 19990531 <-- OTHER SOURCE(S): MARPAT 134:17498 ED Entered STN: 08 Dec 2000 GI				

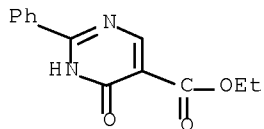


AB The title 2-arylpurine-9-acetamide derivs. represented by general formula (I; R1 is lower alkyl, cycloalkyl, cycloalkylated lower alkyl, or the like; R2 is lower alkyl, substituted or unsubstituted Ph, or the like; R3 is hydrogen or the like; R4 is hydrogen, lower alkyl, cycloalkyl, halogeno, lower alkoxy, or the like; A is substituted or unsubstituted Ph or the like; W is hydrogen, lower alkyl, halogeno, lower alkoxy, lower alkylthio, lower alkanoyl, or the like) or pharmaceutically acceptable acid addition salts thereof are prepared as well as pharmaceutical compns. containing them. These compds. selectively act on peripheral benzodiazepine receptor BZ $\omega$ 3 receptor and are useful as therapeutic and preventive drugs for central nervous system diseases such as anxiety-related diseases, depression and epilepsy. Thus, a mixture of 2-(5-amino-2-phenyl-4-pyrimidinylamino)-N-methyl-N-phenylacetamide and DMF was heated at 180° with stirring for 2 h to give N-methyl-N-phenyl-2-phenyl-9H-purine-9-acetamide (II). II and N-methyl-N-phenyl-8-chloro-2-phenyl-9H-purine-9-acetamide inhibited the binding of [3H]4'-chlorodiazepam to BZ $\omega$ 3 receptor prepared from rat kidney with IC50 of 0.88 and 0.23 nM, resp.

IT 55613-22-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 2-arylpurine-9-acetamide derivs. having selective action on peripheral benzodiazepine receptor as drugs)

RN 55613-22-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

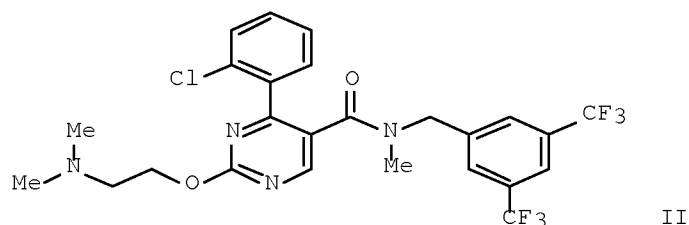
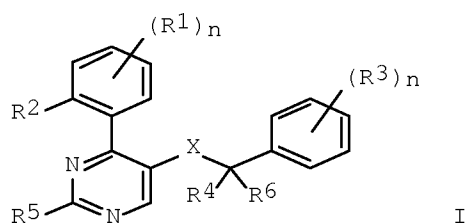
L54 ANSWER 130 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:861658 HCAPLUS Full-text  
 DOCUMENT NUMBER: 134:29425  
 TITLE: Novel 4-phenyl-pyrimidine derivatives as NK-1 receptor antagonists  
 INVENTOR(S): Boes, Michael; Galley, Guido; Godel, Thierry; Hoffmann, Torsten; Hunkeler, Walter; Schnider, Patrick; Stadler, Heinz  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
 SOURCE: PCT Int. Appl., 64 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----

# Serial No.:10/595,734

WO 2000073279	A1	20001207	WO 2000-EP4701	20000524 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,				
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,				
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,				
MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,				
TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,				
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6274588	B1	20010814	US 2000-575382	20000522 <--
TW 550258	B	20030901	TW 2000-89109829	20000522 <--
CA 2375671	A1	20001207	CA 2000-2375671	20000524 <--
BR 2000011127	A	20020219	BR 2000-11127	20000524 <--
EP 1187815	A1	20020320	EP 2000-927234	20000524 <--
EP 1187815	B1	20060208		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, CY				
TR 200103457	T2	20020422	TR 2001-3457	20000524 <--
HU 2002001315	A2	20021228	HU 2002-1315	20000524 <--
HU 2002001315	A3	20030228		
JP 2003500478	T	20030107	JP 2000-621345	20000524 <--
JP 3590592	B2	20041117		
AU 770786	B2	20040304	AU 2000-45677	20000524 <--
NZ 515407	A	20040326	NZ 2000-515407	20000524 <--
RU 2243221	C2	20041227	RU 2001-133458	20000524 <--
AT 317389	T	20060215	AT 2000-927234	20000524 <--
PT 1187815	T	20060630	PT 2000-927234	20000524 <--
ES 2257294	T3	20060801	ES 2000-927234	20000524 <--
ZA 2001009163	A	20030206	ZA 2001-9163	20011106 <--
NO 2001005700	A	20011122	NO 2001-5700	20011122 <--
NO 321354	B1	20060502		
HR 2001000871	A1	20030430	HR 2001-871	20011122 <--
MX 2001PA12089	A	20020604	MX 2001-PA12089	20011126 <--
HK 1046528	A1	20050225	HK 2002-107643	20021022 <--
PRIORITY APPLN. INFO.:			EP 1999-110483	A 19990531 <--
			WO 2000-EP4701	W 20000524 <--
OTHER SOURCE(S): MARPAT 134:29425				
ED Entered STN: 08 Dec 2000				
GI				





AB The invention discloses pyrimidine derivs. I [R1 = H or halo; R2 = H, halo, lower alkyl or lower alkoxy; R1 and R2 may be together with the two carbon atoms -CH=CH-CH=CH-; R3 = halo, CF3, lower alkyl or lower alkoxy; R4, R6 = (independently) H or lower alkyl; R5 = lower alkyl, lower alkoxy, amino, Ph, hydroxy-lower alkyl, cyano-lower alkyl, carbamoyl-lower alkyl, pyridyl, pyrimidyl, (un)substituted -(CH2)n-piperazinyl, which is optionally substituted by one or two lower alkyl groups or by hydroxy-lower alkyl, -(CH2)n-morpholinyl, -(CH2)n-piperidinyl, -(CH2)n+1-imidazolyl, lower alkyl-sulfanyl, lower alkyl-sulfonyl, benzylamino, -NH-(CH2)n+1N(R7)2, -(CH2)n+1N(R7)2, -O-(CH2)n+1-morpholinyl, -O-(CH2)n+1-piperidinyl or -O-(CH2)n+1N(R7)2, wherein R7 = H or lower alkyl; n = 0-2; X = -C(O)N(R7)- or -N(R7)C(O)-] and their pharmaceutically acceptable acid addition salts as NK-1 receptor antagonists. The preferred compds. exhibited pKi values for NK-1 receptor affinity in the range of 8.00-9.20, e.g., the pKi of II was 8.45. With demonstrated affinity to the NK-1 receptor, these compds. may prove useful for the treatment of medical conditions related to this receptor, e.g., inflammatory conditions such as arthritis, migraine, asthma, etc., and in particular CNS disorders such as depression or emesis.

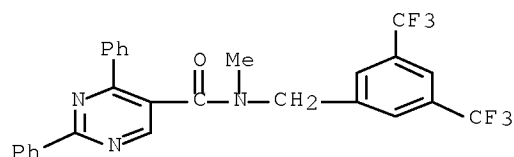
IT 311339-61-4P 311339-62-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

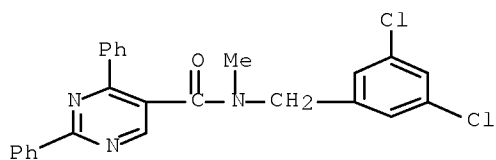
(prepn and biol. activity of phenylpyrimidine derivs. as NK-1 antagonists)

RN 311339-61-4 HCAPLUS

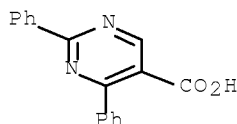
CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2,4-diphenyl- (CA INDEX NAME)



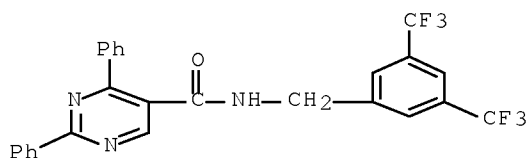
RN 311339-62-5 HCAPLUS  
 CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-N-methyl-2,4-diphenyl- (CA INDEX NAME)



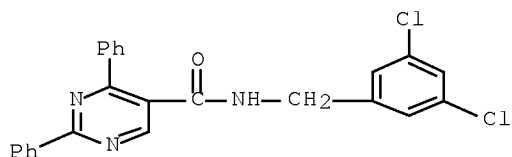
IT 25095-49-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn and biol. activity of phenylpyrimidine derivs. as NK-1 antagonists)  
 RN 25095-49-2 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 2,4-diphenyl- (CA INDEX NAME)



IT 311340-83-7P 311340-84-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn and biol. activity of phenylpyrimidine derivs. as NK-1 antagonists)  
 RN 311340-83-7 HCAPLUS  
 CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,4-diphenyl- (CA INDEX NAME)



RN 311340-84-8 HCAPLUS  
 CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-2,4-diphenyl- (CA INDEX NAME)



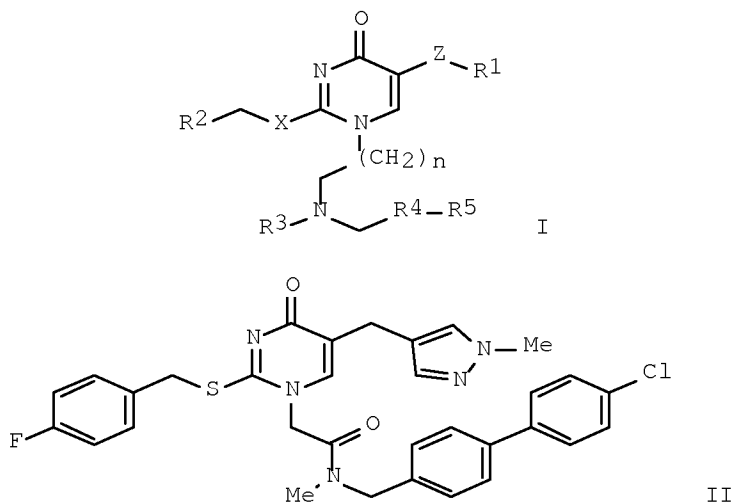
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 131 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:790485 HCAPLUS Full-text  
 DOCUMENT NUMBER: 133:335244  
 TITLE: Preparation of 1-acetamido-2-(arylalkylthio)-4-pyrimidinones as lipoprotein associated phospholipase A2 inhibitors  
 INVENTOR(S): Fenwick, Ashley Edward; Hickey, Deirdre Mary  
 Bernadette; Ife, Robert John; Leach, Colin Andrew;  
 Pinto, Ivan Leo; Smith, Stephen Allan  
 PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK  
 SOURCE: PCT Int. Appl., 74 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066567	A1	20001109	WO 2000-EP3727	20000425 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2371671	A1	20001109	CA 2000-2371671	20000425 <--
EP 1175408	A1	20020130	EP 2000-920741	20000425 <--
EP 1175408	B1	20041201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103216	T2	20020422	TR 2001-3216	20000425 <--
BR 2000010220	A	20020514	BR 2000-10220	20000425 <--
HU 2002001122	A2	20020828	HU 2002-1122	20000425 <--
HU 2002001122	A3	20031128		
JP 2002543190	T	20021217	JP 2000-615598	20000425 <--
AU 766003	B2	20031009	AU 2000-41203	20000425 <--
NZ 515137	A	20031031	NZ 2000-515137	20000425 <--
EP 1479671	A1	20041124	EP 2004-77397	20000425 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY				
AT 283845	T	20041215	AT 2000-920741	20000425 <--
PT 1175408	T	20050429	PT 2000-920741	20000425 <--
ES 2233361	T3	20050616	ES 2000-920741	20000425 <--
CN 1286822	C	20061129	CN 2000-809491	20000425 <--
NO 2001005329	A	20011031	NO 2001-5329	20011031 <--

Serial No.:10/595,734

ZA 2001008991	A	20021020	ZA 2001-8991	20011031 <--
IN 2001DN01005	A	20070406	IN 2001-DN1005	20011031 <--
MX 2001PA11186	A	20020812	MX 2001-PA11186	20011101 <--
US 6953803	B1	20051011	US 2002-30661	20020422 <--
HK 1044757	A1	20050708	HK 2002-104602	20020620 <--
US 20040167142	A1	20040826	US 2004-776876	20040211 <--
US 7115616	B2	20061003		
PRIORITY APPLN. INFO.:			GB 1999-10048	A 19990501 <--
			GB 2000-2096	A 20000128 <--
			EP 2000-920741	A3 20000425 <--
			WO 2000-EP3727	W 20000425 <--
			US 2002-30661	A3 20020422 <--
OTHER SOURCE(S): MARPAT 133:335244				
ED Entered STN: 10 Nov 2000				
GI				



AB The title compds. (I) [wherein R1, R2, and R4 = independently (un)substituted (hetero)aryl; R3 = H or (un)substituted alkyl; R5 = (un)substituted aryl; n = 1-4, preferably 1 or 3; X = O or S; Z = CR13R14; R13 and R14 = independently H or alkyl; or CR13R14 = cycloalkyl] were prepared as inhibitors of the phospholipase A2 enzyme Lp-PLA2 for the treatment of atherosclerosis. For example, II was formed by amidation of 1-(carboxymethyl)-2-(4-fluorobenzylthio)-5-((1-methylpyrazol-4-yl)methyl)pyrimidin-4-one with N-methyl-4-(4-chlorophenyl)benzylamine (preparation for both starting materials given). I inhibited recombinant Lp-PLA2 enzyme activity with IC50 values in the range of 0.001 to 0.00005  $\mu$ M.

IT 56406-33-8P

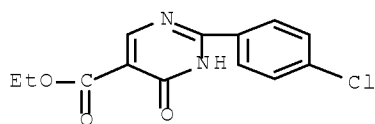
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 1-acetamido-2-(arylalkylthio)-4-pyrimidinone Lp-PLA2 inhibitors by amidation of 1-(carboxymethyl)-2-(arylalkylthio)-4-pyrimidinones with (hetero)arylalkylamines for the treatment of atherosclerosis)

RN 56406-33-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(4-chlorophenyl)-1,4-dihydro-4-oxo-, ethyl

ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 132 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:725471 HCAPLUS Full-text  
 DOCUMENT NUMBER: 133:281794  
 TITLE: Preparation of aminopyrimidines as sorbitol dehydrogenase inhibitors  
 INVENTOR(S): Chu-moyer, Margaret Yuhua; Murry, Jerry Anthony; Mylari, Banavara Lakshman; Zembrowski, William James  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: PCT Int. Appl., 328 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059510	A1	20001012	WO 2000-IB296	20000316 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2366858	A1	20001012	CA 2000-2366858	20000316 <--
CA 2484282	A1	20001012	CA 2000-2484282	20000316 <--
AU 2000031845	A	20001023	AU 2000-31845	20000316 <--
AU 768720	B2	20040108		
NZ 514144	A	20010928	NZ 2000-514144	20000316 <--
BR 2000009433	A	20020115	BR 2000-9433	20000316 <--
TR 200102810	T2	20020121	TR 2001-2810	20000316 <--
EP 1185275	A1	20020313	EP 2000-909565	20000316 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2002001011	A2	20020828	HU 2002-1011	20000316 <--
HU 2002001011	A3	20031128		
JP 2002541109	T	20021203	JP 2000-609073	20000316 <--
JP 3581103	B2	20041027		
EE 200100509	A	20021216	EE 2001-509	20000316 <--
IN 2000MU00276	A	20050304	IN 2000-MU276	20000328 <--
US 6414149	B1	20020702	US 2000-538039	20000329 <--
NO 2001004642	A	20011128	NO 2001-4642	20010925 <--
MX 2001PA09871	A	20020424	MX 2001-PA9871	20010928 <--
HR 2001000716	A1	20021231	HR 2001-716	20011001 <--

Serial No.:10/595,734

ZA 2001008039	A	20030722	ZA 2001-8039	20011001 <--
BG 106038	A	20020628	BG 2001-106038	20011023 <--
US 20030065179	A1	20030403	US 2002-87869	20020228 <--
US 6602875	B2	20030805		
US 6660740	B1	20031209	US 2003-384424	20030310 <--
US 20040077671	A1	20040422	US 2003-645401	20030821 <--
US 6869943	B2	20050322		
US 20050020578	A1	20050127	US 2004-918812	20040812 <--
US 6936600	B2	20050830		
PRIORITY APPLN. INFO.:			US 1999-127437P	P 19990401 <--
			CA 2000-2366858	A3 20000316 <--
			WO 2000-IB296	W 20000316 <--
			US 2000-538039	A3 20000329 <--
			US 2002-87869	A3 20020228 <--
			US 2003-384424	A3 20030310 <--
			US 2003-645401	A3 20030821 <--
OTHER SOURCE(S):			MARPAT 133:281794	
ED Entered STN:			13 Oct 2000	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

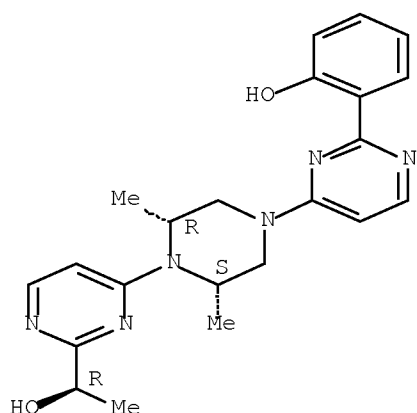
AB The title compds. [I; R1 = CHO, COMe; COCH<sub>2</sub>Me, etc.; R2 = H, alkyl, alkoxy; R3 = II-IV, etc.; R23 = CONR<sub>25</sub>R<sub>26</sub>, SO<sub>2</sub>NR<sub>25</sub>R<sub>26</sub> (wherein R<sub>25</sub> = H, alkyl, arylalkylenyl; R<sub>26</sub> = arylalkylenyl); R<sub>24</sub> = H, alkyl, alkoxycarbonyl, etc.; R<sub>27</sub> = H, alkyl; R<sub>28</sub>, R<sub>29</sub> = H, OH, halo, etc.], sorbitol dehydrogenase inhibitors (no data) which are useful in treating or preventing diabetic complications, particularly diabetic neuropathy, diabetic nephropathy, diabetic microangiopathy, diabetic macroangiopathy and diabetic cardiomyopathy, were prepared and formulated. E.g., a multi-step synthesis of the pyrimidine (R)-V, was given. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an aldose reductase inhibitor and to methods of treating or preventing diabetic complications therewith. This invention is also directed to pharmaceutical compns. comprising a combination of the compound I with an NHE-1 inhibitor and to methods of treating cardiomyopathy and other heart-related problems therewith.

IT 300550-97-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of aminopyrimidines as sorbitol dehydrogenase inhibitors)

RN 300550-97-4 HCAPLUS

CN 2-Pyrimidinemethanol, 4-[(2R,6S)-4-[2-(2-hydroxyphenyl)-4-pyrimidinyl]-2,6-dimethyl-1-piperazinyl]- $\alpha$ -methyl-, ( $\alpha$ R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

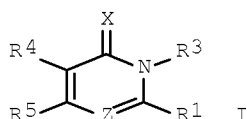
L54 ANSWER 133 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:531662 HCAPLUS Full-text  
 DOCUMENT NUMBER: 133:120343  
 TITLE: Preparation of arylpyrimidinones and analogs as drugs  
 INVENTOR(S): Spohr, Ulrike D.; Malone, Michael J.; Mantlo, Nathan B.  
 PATENT ASSIGNEE(S): Amgen Inc., USA  
 SOURCE: U.S., 92 pp., Cont.-in-part of U.S. Ser. No. 976,053, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6096753	A	20000801	US 1997-985346	19971204 <--
ZA 9710727	A	19980612	ZA 1997-10727	19971128 <--
CN 1246857	A	20000308	CN 1997-181558	19971204 <--
CN 1328277	C	20070725		
TW 520362	B	20030211	TW 1997-86118244	19971204 <--
EP 1314731	A2	20030528	EP 2002-27704	19971204 <--
EP 1314731	A3	20040102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, RO, MK, AL				
EP 1314732	A2	20030528	EP 2002-27705	19971204 <--
EP 1314732	A3	20040102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, AL				
ZA 9710911	A	19980605	ZA 1997-10911	19971205 <--
BG 65129	B1	20070330	BG 1999-103521	19990623 <--
US 6420385	B1	20020716	US 2000-504509	20000215 <--
US 6410729	B1	20020625	US 2000-598740	20000621 <--
US 20030069425	A1	20030410	US 2002-117552	20020403 <--
US 6610698	B2	20030826		
US 20030073704	A1	20030417	US 2002-128271	20020423 <--
US 6649604	B2	20031118		

## PRIORITY APPLN. INFO.:

US 1996-32128P	P 19961205 <--
US 1997-50950P	P 19970613 <--
US 1997-976053	B2 19971121 <--
US 1997-976054	A 19971121 <--
EP 1997-954778	A3 19971204 <--
US 1997-984774	B1 19971204 <--
US 1997-985346	A3 19971204 <--
US 2000-504509	A3 20000215 <--
US 2000-598740	A3 20000621 <--

OTHER SOURCE(S): MARPAT 133:120343  
 ED Entered STN: 03 Aug 2000  
 GI



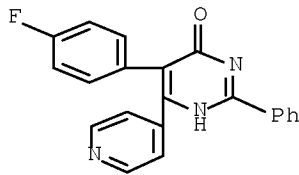
AB Title compds. [e.g., I; Z = N or CR<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = R or Z<sub>1</sub>R; R = H, halo, alkoxy(carbonyl), amino(carbonyl or sulfonyl), etc.; R<sub>3</sub> = Z<sub>1</sub>R; R<sub>4</sub>, R<sub>5</sub> = (un)substituted (hetero)aryl; X = O, S, (un)substituted imino; Z<sub>1</sub> = alkylene, heterocyclylene, (hetero)arylene, etc.] were prepared as agents for reduction of, e.g., TNF- $\alpha$  levels. Thus, 4-FC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CO<sub>2</sub>Et was acylated by Et isonicotinate and the product cyclocondensed with (H<sub>2</sub>N)<sub>2</sub>CS to give, after N-methylation, I (R<sub>3</sub> = Me, R<sub>4</sub> = C<sub>6</sub>H<sub>4</sub>F-4, R<sub>5</sub> = 4-pyridyl, X = O) (II; R<sub>1</sub> = SH) which was aminated by 2-FC<sub>6</sub>H<sub>4</sub>CH(NH<sub>2</sub>)CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> to give II [R<sub>1</sub> = NHCH<sub>2</sub>CH<sub>2</sub>CH(NH<sub>2</sub>)C<sub>6</sub>H<sub>4</sub>F-2]. Data for biol. activity of I were given.

IT 208652-77-1F

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of arylpyrimidinones and analogs as drugs)

RN 208652-77-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 5-(4-fluorophenyl)-2-phenyl-6-(4-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 134 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:493503 HCAPLUS Full-text

DOCUMENT NUMBER: 133:104791

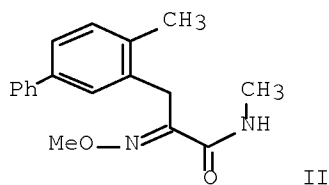
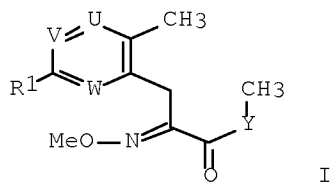
TITLE: Preparation and uses of methyloxime derivatives



Serial No.:10/595,734

INVENTOR(S): Kinoshita, Yoshiharu; Sakaguchi, Hiroshi; Manabe, Akio  
 PATENT ASSIGNEE(S): Sumitomo Chemical Company, Limited, Japan  
 SOURCE: PCT Int. Appl., 92 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000041999	A1	20000720	WO 2000-JP60	20000111 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001114737	A	20010424	JP 2000-468	20000105 <--
PRIORITY APPLN. INFO.:			JP 1999-5218	A 19990112 <--
			JP 1999-226308	A 19990810 <--
OTHER SOURCE(S): MARPAT 133:104791				
ED Entered STN: 21 Jul 2000				
GI				



AB Title compds. [I; R1 = C6H5, 2-CH3C6H4, 4-CH3C6H4, 4-ClC6H4, 3-FC6H4, 4-CF3C6H4, 2-CH3OC6H4, 3-ClC6H4, 2,4-(CH3)2C6H3, CH3CO, Br, I, Cl, NO2, 2-CH3C6H4O, TMS-CC, CH3ON:C(CH3), CH3CH2CH2ON:C(CH3), (CH3CH2)3SiCC, (CH3)2(CH3O)CCC, (CH3)3CSi(CH3)2CC, (CH3)2(CH3CH2)CCC, etc; one of U and V is CR2 and the other CH or N; W = CR3, N; R2 and R3 are independently H, halogeno, C1-C6 alkyl; Y = O, NH] are prepared and are having plant disease controlling effects, insecticidal, and acaricidal activities. Thus, the title compound II was prepared and tested.

IT 283599-15-5P 283599-16-6P 283599-17-7P

Serial No.:10/595,734

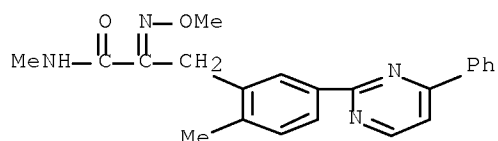
283599-18-8P 283599-19-9P 283599-37-1P

283599-38-2P 283599-39-3P 283599-40-6P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of methyloximes as insecticides)

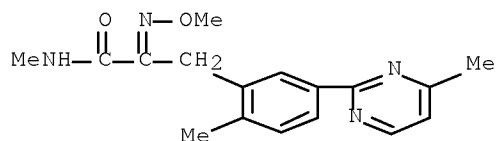
RN 283599-15-5 HCAPLUS

CN Benzenepropanamide,  $\alpha$ -(methoxyimino)-N,2-dimethyl-5-(4-phenyl-2-pyrimidinyl)- (CA INDEX NAME)



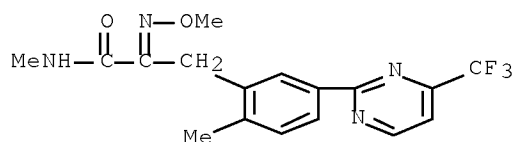
RN 283599-16-6 HCAPLUS

CN Benzenepropanamide,  $\alpha$ -(methoxyimino)-N,2-dimethyl-5-(4-methyl-2-pyrimidinyl)- (CA INDEX NAME)



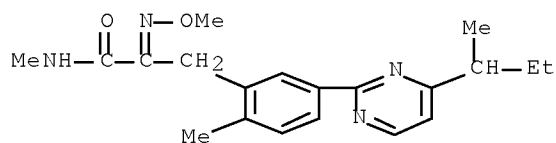
RN 283599-17-7 HCAPLUS

CN Benzenepropanamide,  $\alpha$ -(methoxyimino)-N,2-dimethyl-5-[4-(trifluoromethyl)-2-pyrimidinyl]- (CA INDEX NAME)



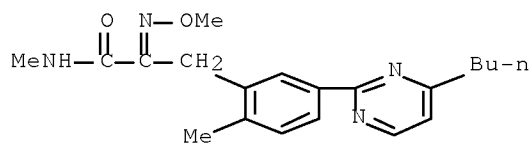
RN 283599-18-8 HCAPLUS

CN Benzenepropanamide,  $\alpha$ -(methoxyimino)-N,2-dimethyl-5-[4-(1-methylpropyl)-2-pyrimidinyl]- (CA INDEX NAME)



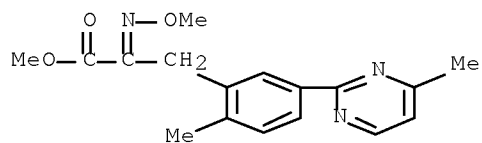
RN 283599-19-9 HCAPLUS

CN Benzenepropanamide, 5-(4-butyl-2-pyrimidinyl)-α-(methoxyimino)-N,2-dimethyl- (CA INDEX NAME)



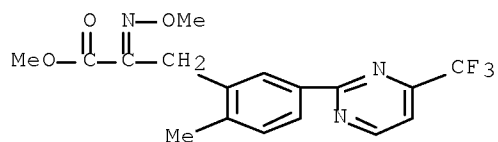
RN 283599-37-1 HCAPLUS

CN Benzenepropanoic acid, α-(methoxyimino)-2-methyl-5-(4-methyl-2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



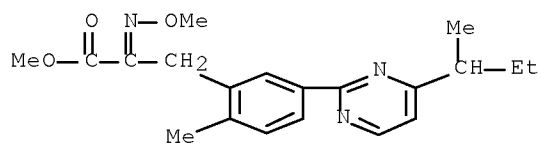
RN 283599-38-2 HCAPLUS

CN Benzenepropanoic acid, α-(methoxyimino)-2-methyl-5-[4-(trifluoromethyl)-2-pyrimidinyl]-, methyl ester (CA INDEX NAME)



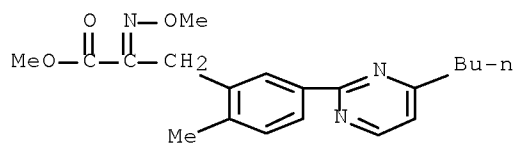
RN 283599-39-3 HCAPLUS

CN Benzenepropanoic acid, α-(methoxyimino)-2-methyl-5-[4-(1-methylpropyl)-2-pyrimidinyl]-, methyl ester (CA INDEX NAME)



RN 283599-40-6 HCAPLUS

CN Benzenepropanoic acid, 5-(4-butyl-2-pyrimidinyl)-α-(methoxyimino)-2-methyl-, methyl ester (CA INDEX NAME)

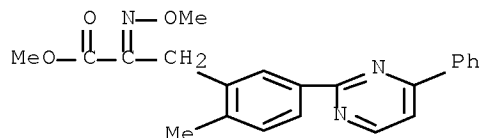


IT 283599-62-2P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of methyloximes as insecticides)

RN 283599-62-2 HCAPLUS

CN Benzenepropanoic acid, α-(methoxyimino)-2-methyl-5-(4-phenyl-2-pyrimidinyl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 135 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:401654 HCAPLUS Full-text

DOCUMENT NUMBER: 133:43533

TITLE: Preparation of aryl and heterocyclyl substituted pyrimidines as anti-coagulants

INVENTOR(S): Davey, David D.; Phillips, Gary B.

PATENT ASSIGNEE(S): Berlex Laboratories, Inc., USA

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

```

-----
WO 2000033844      A1      20000615      WO 1999-US28537      19991203 <--
  W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
      CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
      IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
      MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
      SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
  RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
      DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
      CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 6127376          A      20001003      US 1998-205498      19981204 <--
CA 2354040          A1     20000615      CA 1999-2354040    19991203 <--
BR 9915938          A      20010821      BR 1999-15938      19991203 <--
EP 1135131          A1     20010926      EP 1999-965087     19991203 <--
  R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
      IE, SI, LT, LV, FI, RO
SI 20637            A      20020228      SI 1999-20090      19991203 <--
HU 2001004508       A2     20020529      HU 2001-4508        19991203 <--
HU 2001004508       A3     20020729
JP 2002531506       T      20020924      JP 2000-586336      19991203 <--
EE 200100298        A      20021216      EE 2001-298         19991203 <--
AU 760370           B2     20030515      AU 2000-31075       19991203 <--
NZ 512104           A      20031031      NZ 1999-512104      19991203 <--
RO 120971           B1     20061030      RO 2001-606         19991203 <--
US 6372751          B1     20020416      US 2000-539812      20000330 <--
ZA 2001004235       A      20020823      ZA 2001-4235        20010523 <--
NO 2001002701       A      20010725      NO 2001-2701        20010601 <--
BG 105557           A      20011231      BG 2001-105557      20010601 <--
IN 2001MN00631      A      20050304      IN 2001-MN631       20010601 <--
MX 2001PA05656      A      20020424      MX 2001-PA5656      20010604 <--
LT 4912             B      20020425      LT 2001-61          20010612 <--
LV 12783            B      20021020      LV 2001-100         20010704 <--
HR 2001000499       A1     20030430      HR 2001-499         20010704 <--
PRIORITY APPLN. INFO.:      US 1998-205498      A 19981204 <--
                                WO 1999-US28537      W 19991203 <--

OTHER SOURCE(S):      MARPAT 133:43533
ED   Entered STN:    16 Jun 2000
GI

```

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

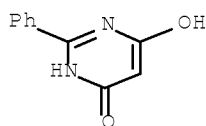
```

AB   The title compds. [I-III; Z1 = O, NR7, CH2O, SOn (n = 0-2); Z2 = O, NR7, OCH2,
      SOn (n = 0-2); R1, R4 = H, halo, alkyl, etc.; R2 = C(NH)NH2, C(NH)NHOR7,
      C(NH)NHCOR7, etc.; R3 = H, halo, alkyl, etc.; R5 = H, halo, alkyl, etc.; R6 =
      (un)substituted aryl, aralkyl, heterocyclyl, etc.] which inhibit the enzyme,
      factor Xa and therefore are useful as anti-coagulants, were prepared and
      formulated. E.g., a multi-step synthesis of I.F3CCO2H [Z1 = Z2 = O; R1 = 2-
      OH; R2 = 5-C(NH)NH2; R3 = 3-(1-methylimidazolin-2-yl); R4, R5 = H; R6 = Ph]
      was given. Compds. I demonstrated the selective ability to inhibit human
      factor Xa and human thrombin, and are effective in treating a 70 kg person at
      100-500 mg/day.
IT   13566-71-7F, 4,6-Dihydroxy-2-phenylpyrimidine 274673-44-8F
      RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
      (Reactant or reagent)
      (preparation of aryl and heterocyclyl substituted pyrimidines as
      anti-coagulants)
RN   13566-71-7 HCAPLUS

```

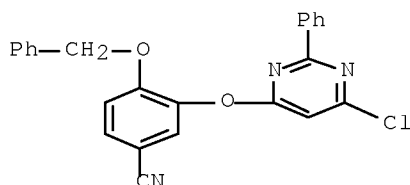
Serial No.:10/595,734

CN 4(3H)-Pyrimidinone, 6-hydroxy-2-phenyl- (CA INDEX NAME)



RN 274673-44-8 HCAPLUS

CN Benzonitrile, 3-[(6-chloro-2-phenyl-4-pyrimidinyl)oxy]-4-(phenylmethoxy)-  
(CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 136 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:388904 HCAPLUS Full-text

DOCUMENT NUMBER: 133:17473

TITLE: Preparation of benzene derivatives

INVENTOR(S): Nakatogawa, Kiyoshi; Murata, Masanao; Takagi, Masamichi; Ikeda, Shigeru

PATENT ASSIGNEE(S): Torii Yakuhin K. K., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
JP 2000159751	A	20000613	JP 1998-331748	19981120 <--
PRIORITY APPLN. INFO.:			JP 1998-331748	19981120 <--
OTHER SOURCE(S):	MARPAT	133:17473		
ED Entered STN:	13 Jun 2000			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. [I; X = OH, OCH<sub>2</sub>COOCH<sub>2</sub>CH<sub>3</sub>, O(CH<sub>2</sub>)<sub>3</sub>NH<sub>2</sub>, O(CH<sub>2</sub>)<sub>3</sub>NHCH<sub>3</sub>, COOH, OCH<sub>2</sub>COOH, CH<sub>2</sub>NH<sub>2</sub>, etc; Z = Q, Q1, Q2, Q3, Q4; A = CH, N; A1 = N, CCH<sub>3</sub>; A2 = CH, CCH<sub>3</sub>, N, COCH<sub>2</sub>COOCH<sub>2</sub>CH<sub>3</sub>, COCH<sub>2</sub>COOCH<sub>3</sub>, etc; A3 = CH, CCOOCH<sub>2</sub>CH<sub>3</sub>, COOH, N,

Serial No.:10/595,734

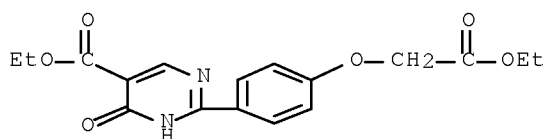
COCH<sub>2</sub>COOCH<sub>2</sub>CH<sub>3</sub>, COCH<sub>2</sub>COOCH<sub>3</sub>, COH, etc; A<sub>4</sub> = CH, N; B = NH, S; R = H, CH<sub>3</sub>; R<sub>1</sub> = O, S; R<sub>2</sub> = H, CH<sub>2</sub>COOCH<sub>3</sub>; Y = single bond, CH:CH, NH] are prepared as antithrombus agent and the thrombus melting agents. The title compound I (X = OH; Y = (E)-CH:CH; Z = Q; A = N; A<sub>1</sub> = CCH<sub>3</sub>; A<sub>2</sub> = CH; A<sub>3</sub> = COH; A<sub>4</sub> = N) was prepared and tested.

IT 272791-09-0P 272791-12-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of benzene derivs. as anticoagulants)

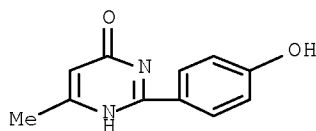
RN 272791-09-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[4-(2-ethoxy-2-oxoethoxy)phenyl]-1,6-dihydro-6-oxo-, ethyl ester (CA INDEX NAME)



RN 272791-12-5 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-hydroxyphenyl)-6-methyl- (CA INDEX NAME)

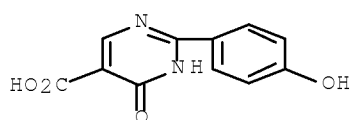


IT 56406-40-7P 272791-10-3P 272791-11-4P  
272791-13-6P 272791-15-8P 272791-16-9P  
272791-17-0P 272791-18-1P 272791-19-2P  
272791-20-5P 272791-23-8P 272791-25-0P  
272791-27-2P 272791-30-7P 272791-34-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of benzene derivs. as anticoagulants)

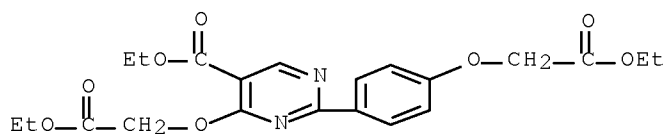
RN 56406-40-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(4-hydroxyphenyl)-4-oxo- (9CI)  
(CA INDEX NAME)



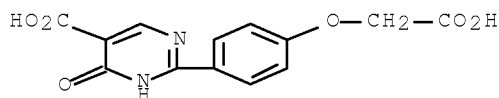
RN 272791-10-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2-ethoxy-2-oxoethoxy)-2-[4-(2-ethoxy-2-oxoethoxy)phenyl]-, ethyl ester (CA INDEX NAME)



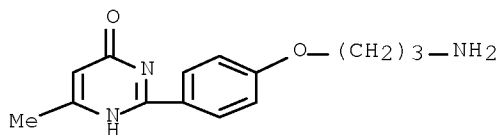
RN 272791-11-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[4-(carboxymethoxy)phenyl]-1,6-dihydro-6-oxo- (CA INDEX NAME)



RN 272791-13-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(3-aminopropoxy)phenyl]-6-methyl-, hydrochloride (1:2) (CA INDEX NAME)

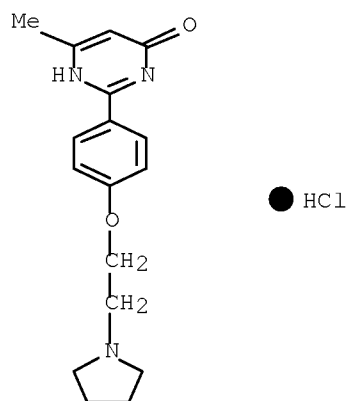


●2 HCl

RN 272791-15-8 HCAPLUS

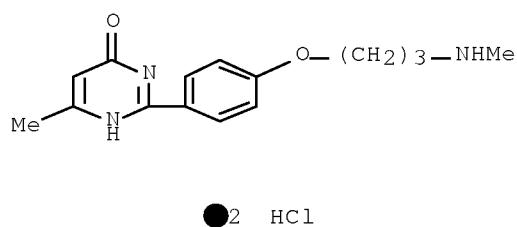
CN 4(3H)-Pyrimidinone, 6-methyl-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)





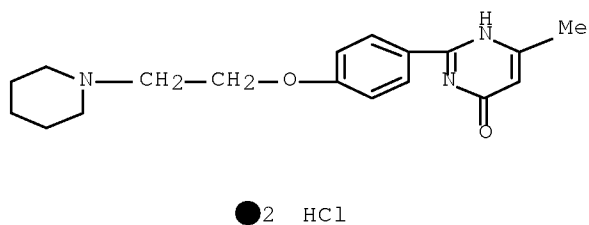
RN 272791-16-9 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-methyl-2-[4-[3-(methylamino)propoxy]phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



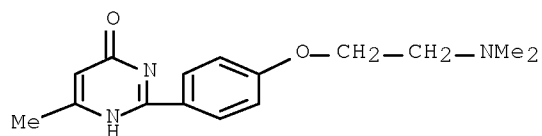
RN 272791-17-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



RN 272791-18-1 HCAPLUS

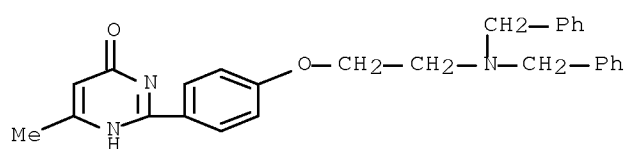
CN 4(3H)-Pyrimidinone, 2-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 272791-19-2 HCAPLUS

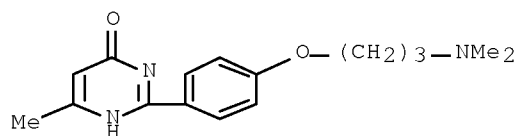
CN 4(3H)-Pyrimidinone, 2-[4-[2-[bis(phenylmethyl)amino]ethoxy]phenyl]-6-methyl-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 272791-20-5 HCAPLUS

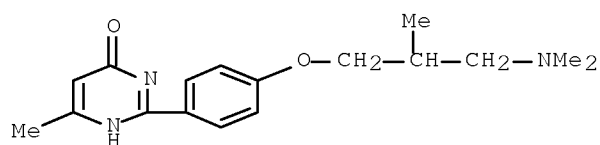
CN 4(3H)-Pyrimidinone, 2-[4-[3-(dimethylamino)propoxy]phenyl]-6-methyl-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 272791-23-8 HCAPLUS

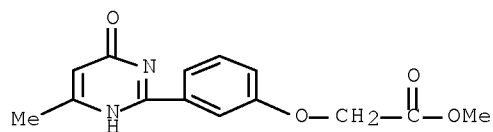
CN 4(3H)-Pyrimidinone, 2-[4-[3-(dimethylamino)-2-methylpropoxy]phenyl]-6-methyl-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

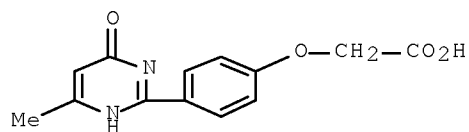
RN 272791-25-0 HCAPLUS

CN Acetic acid, 2-[3-(1,6-dihydro-4-methyl-6-oxo-2-pyrimidinyl)phenoxy]-, methyl ester (CA INDEX NAME)



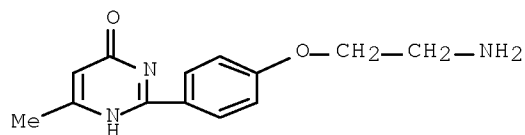
RN 272791-27-2 HCAPLUS

CN Acetic acid, 2-[4-(1,6-dihydro-4-methyl-6-oxo-2-pyrimidinyl)phenoxy]- (CA INDEX NAME)



RN 272791-30-7 HCAPLUS

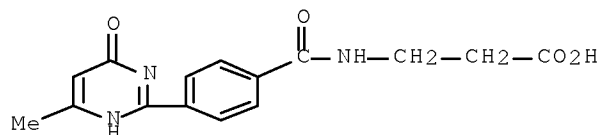
CN 4(3H)-Pyrimidinone, 2-[4-(2-aminoethoxy)phenyl]-6-methyl-, hydrochloride (1:2) (CA INDEX NAME)



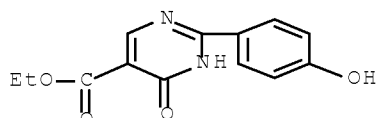
●2 HCl

RN 272791-34-1 HCAPLUS

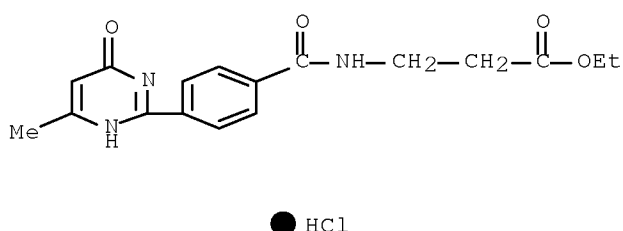
CN β-Alanine, N-[4-(1,4-dihydro-6-methyl-4-oxo-2-pyrimidinyl)benzoyl]- (9CI) (CA INDEX NAME)



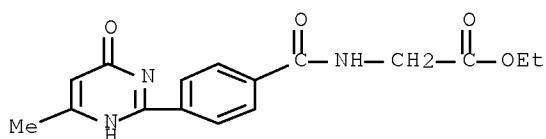
IT 57960-52-8P 272791-33-0P 272791-35-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
 USES (Uses)  
 (preparation of benzene derivs. as anticoagulants)  
 RN 57960-52-8 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(4-hydroxyphenyl)-4-oxo-, ethyl  
 ester (9CI) (CA INDEX NAME)



RN 272791-33-0 HCAPLUS  
 CN  $\beta$ -Alanine, N-[4-(1,4-dihydro-6-methyl-4-oxo-2-pyrimidinyl)benzoyl]-,  
 ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



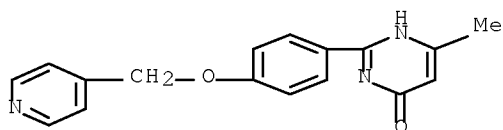
RN 272791-35-2 HCAPLUS  
 CN Glycine, N-[4-(1,4-dihydro-6-methyl-4-oxo-2-pyrimidinyl)benzoyl]-, ethyl  
 ester (9CI) (CA INDEX NAME)



IT 272791-66-9P 272791-67-0P 272791-68-1P  
 272791-69-2P 272791-71-6P 272791-72-7P  
 272791-73-8P 272791-74-9P 272791-75-0P  
 272791-76-1P 272791-78-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of benzene derivs. as anticoagulants)  
 RN 272791-66-9 HCAPLUS

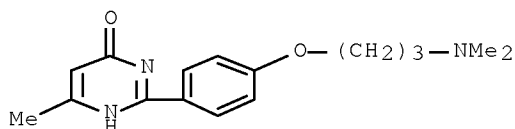
Serial No.:10/595,734

CN 4(3H)-Pyrimidinone, 6-methyl-2-[4-(4-pyridinylmethoxy)phenyl]- (CA INDEX NAME)



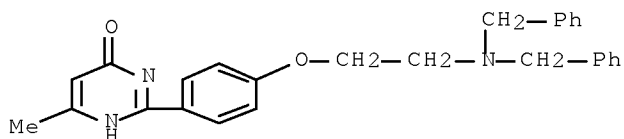
RN 272791-67-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[3-(dimethylamino)propoxy]phenyl]-6-methyl- (CA INDEX NAME)



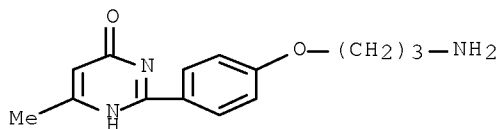
RN 272791-68-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[2-[bis(phenylmethyl)amino]ethoxy]phenyl]-6-methyl- (CA INDEX NAME)



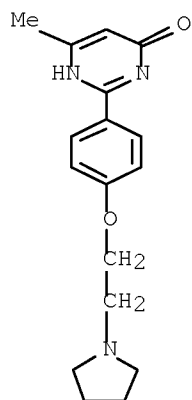
RN 272791-69-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(3-aminopropoxy)phenyl]-6-methyl- (CA INDEX NAME)



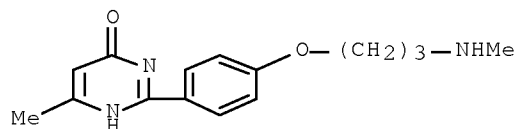
RN 272791-71-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-methyl-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (CA INDEX NAME)



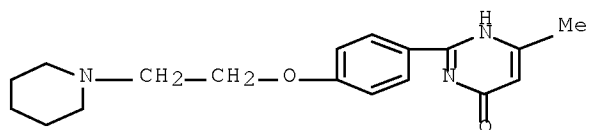
RN 272791-72-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-methyl-2-[4-[3-(methylamino)propoxy]phenyl]- (CA INDEX NAME)



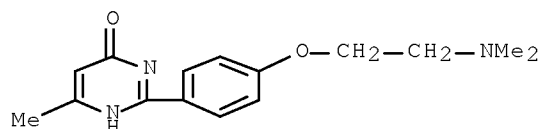
RN 272791-73-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



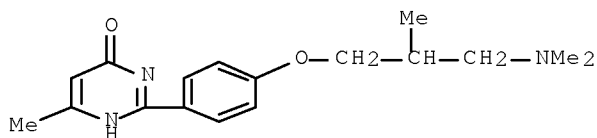
RN 272791-74-9 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl- (CA INDEX NAME)



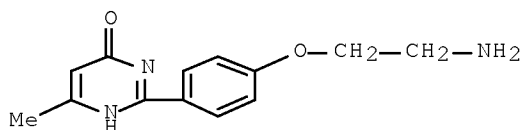
RN 272791-75-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-[3-(dimethylamino)-2-methylpropoxy]phenyl]-6-methyl- (CA INDEX NAME)



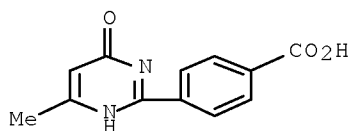
RN 272791-76-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[4-(2-aminoethoxy)phenyl]-6-methyl- (CA INDEX NAME)



RN 272791-78-3 HCAPLUS

CN Benzoic acid, 4-(1,6-dihydro-4-methyl-6-oxo-2-pyrimidinyl)- (CA INDEX NAME)



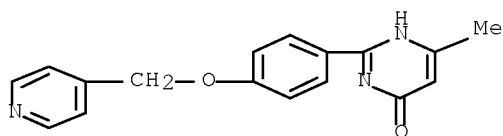
IT 272791-21-6P 272791-24-9P 272791-28-3P

272791-32-9P 272791-36-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of benzene derivs. as anticoagulants)

RN 272791-21-6 HCAPLUS

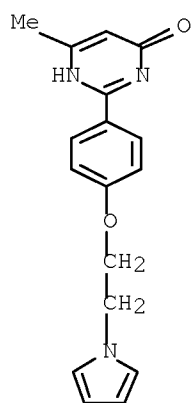
CN 4(3H)-Pyrimidinone, 6-methyl-2-[4-(4-pyridinylmethoxy)phenyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

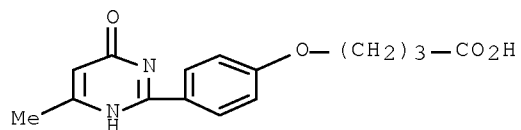
RN 272791-24-9 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-methyl-2-[4-[2-(1H-pyrrol-1-yl)ethoxy]phenyl]- (CA INDEX NAME)



RN 272791-28-3 HCAPLUS

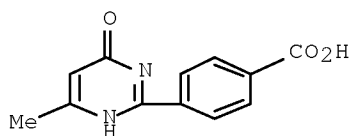
CN Butanoic acid, 4-[4-(1,6-dihydro-4-methyl-6-oxo-2-pyrimidinyl)phenoxy]- (CA INDEX NAME)



RN 272791-32-9 HCAPLUS

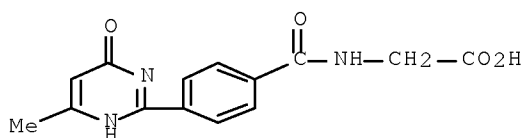
CN Benzoic acid, 4-(1,6-dihydro-4-methyl-6-oxo-2-pyrimidinyl)-, hydrochloride (1:1) (CA INDEX NAME)





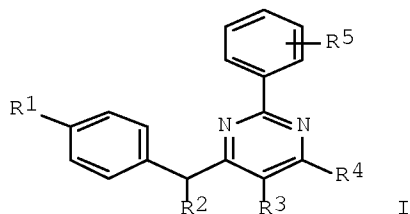
● HCl

RN 272791-36-3 HCAPLUS  
 CN Glycine, N-[4-(1,4-dihydro-6-methyl-4-oxo-2-pyrimidinyl)benzoyl]- (9CI)  
 (CA INDEX NAME)



L54 ANSWER 137 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:335392 HCAPLUS Full-text  
 DOCUMENT NUMBER: 132:347577  
 TITLE: Preparation of 4-benzyl-2-phenylpyrimidines as  
 phospholipase A2 inhibitors.  
 INVENTOR(S): Varghese, John; Rydel, Russell E.; Dappen, Michael S.;  
 Thorsett, Eugene D.  
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, USA  
 SOURCE: PCT Int. Appl., 49 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027824	A1	20000518	WO 1999-US26550	19991110 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6518424	B1	20030211	US 1999-438103	19991110 <--
PRIORITY APPLN. INFO.:			US 1998-108192P	P 19981112 <--
OTHER SOURCE(S): MARPAT 132:347577				
ED Entered STN: 19 May 2000				
GI				



AB Title compds. [I; R1 = alkyl, alkoxy, halo; R2 = (substituted) Ph, PhCH2, cycloalkyl; R3 = H, alkyl; R4 = H, OH, N3, NHAc; R5 = H], were prepared for treatment of cPLA2-mediated disease (no data). Thus, ibuprofen was converted to 6-[1-(4-isobutyl)phenyl]ethyl-2-phenyl-4-azidopyrimidine.

IT 269394-91-4P 269394-92-5P 269394-94-7P  
 269394-95-8P 269394-97-0P 269394-98-1P  
 269394-99-2P 269395-00-8P 269395-01-9P  
 269395-02-0P 269395-03-1P 269395-04-2P  
 269395-05-3P 269395-06-4P 269395-07-5P  
 269395-08-6P 269395-09-7P 269395-10-0P  
 269395-11-1P 269395-12-2P 269395-13-3P  
 269395-14-4P 269395-15-5P

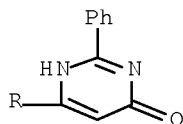
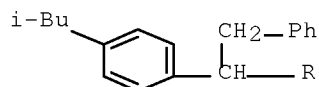
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-benzyl-2-phenylpyrimidines as phospholipase A2

inhibitors)

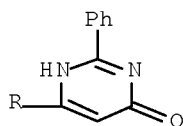
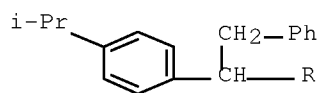
RN 269394-91-4 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[1-[4-(2-methylpropyl)phenyl]-2-phenylethyl]-2-phenyl- (CA INDEX NAME)



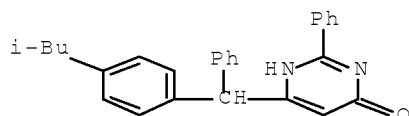
RN 269394-92-5 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[1-[4-(1-methylethyl)phenyl]-2-phenylethyl]-2-phenyl- (CA INDEX NAME)



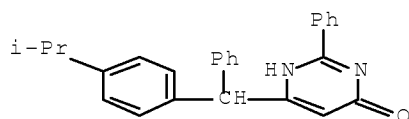
RN 269394-94-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[[4-(2-methylpropyl)phenyl]phenylmethyl]-2-phenyl-  
(CA INDEX NAME)



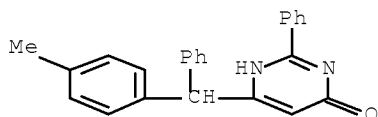
RN 269394-95-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[[4-(1-methylethyl)phenyl]phenylmethyl]-2-phenyl-  
(CA INDEX NAME)



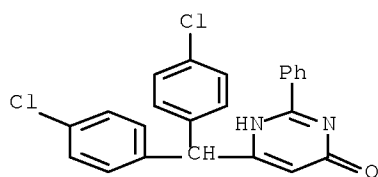
RN 269394-97-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[(4-methylphenyl)phenylmethyl]-2-phenyl- (CA INDEX  
NAME)



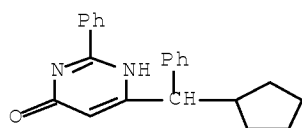
RN 269394-98-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[bis(4-chlorophenyl)methyl]-2-phenyl- (CA INDEX  
NAME)



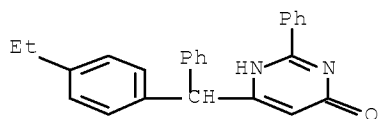
RN 269394-99-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-(cyclopentylphenylmethyl)-2-phenyl- (CA INDEX NAME)



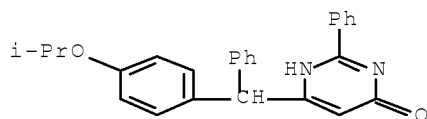
RN 269395-00-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[(4-ethylphenyl)phenylmethyl]-2-phenyl- (CA INDEX NAME)



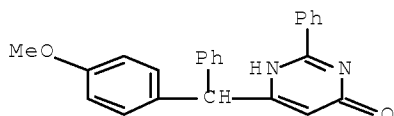
RN 269395-01-9 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[[4-(1-methylethoxy)phenyl]phenylmethyl]-2-phenyl- (CA INDEX NAME)



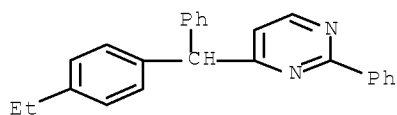
RN 269395-02-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[(4-methoxyphenyl)phenylmethyl]-2-phenyl- (CA INDEX NAME)



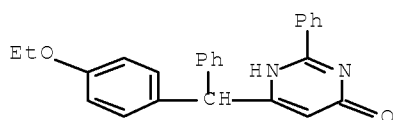
RN 269395-03-1 HCAPLUS

CN Pyrimidine, 4-[(4-ethylphenyl)phenylmethyl]-2-phenyl- (CA INDEX NAME)



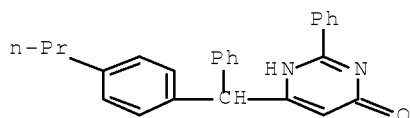
RN 269395-04-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[(4-ethoxyphenyl)phenylmethyl]-2-phenyl- (CA INDEX NAME)



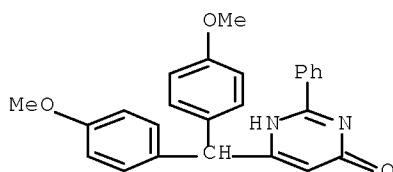
RN 269395-05-3 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-phenyl-6-[phenyl(4-propylphenyl)methyl]- (CA INDEX NAME)



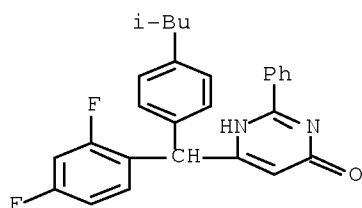
RN 269395-06-4 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[bis(4-methoxyphenyl)methyl]-2-phenyl- (CA INDEX NAME)



RN 269395-07-5 HCAPLUS

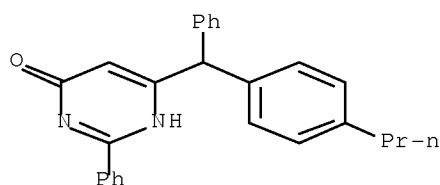
CN 4(3H)-Pyrimidinone, 6-[(2,4-difluorophenyl)[4-(2-methylpropyl)phenyl]methyl]-2-phenyl- (CA INDEX NAME)



RN 269395-08-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-phenyl-6-[phenyl(4-propylphenyl)methyl]-, (+)- (CA INDEX NAME)

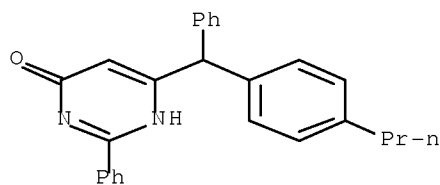
Rotation (+).



RN 269395-09-7 HCAPLUS

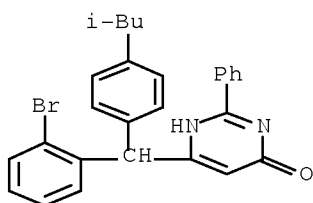
CN 4(3H)-Pyrimidinone, 2-phenyl-6-[phenyl(4-propylphenyl)methyl]-, (-)- (CA INDEX NAME)

Rotation (-).



RN 269395-10-0 HCAPLUS

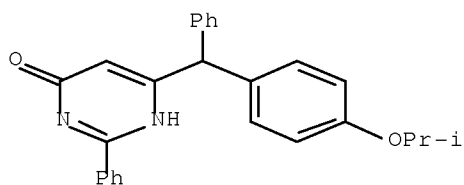
CN 4(3H)-Pyrimidinone, 6-[(2-bromophenyl)[4-(2-methylpropyl)phenyl]methyl]-2-phenyl- (CA INDEX NAME)



RN 269395-11-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[[4-(1-methylethoxy)phenyl]phenylmethyl]-2-phenyl-,  
(+)- (CA INDEX NAME)

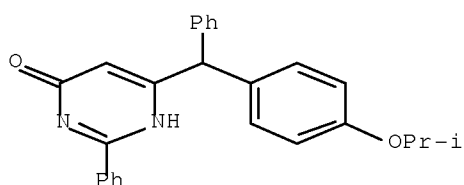
Rotation (+).



RN 269395-12-2 HCAPLUS

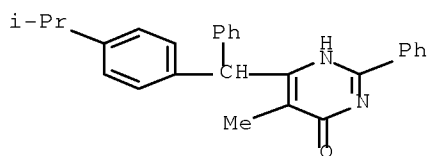
CN 4(3H)-Pyrimidinone, 6-[[4-(1-methylethoxy)phenyl]phenylmethyl]-2-phenyl-,  
(-)- (CA INDEX NAME)

Rotation (-).



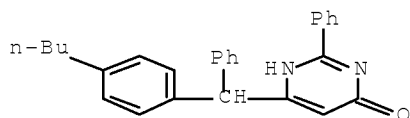
RN 269395-13-3 HCAPLUS

CN 4(3H)-Pyrimidinone, 5-methyl-6-[[4-(1-methylethyl)phenyl]phenylmethyl]-2-phenyl- (CA INDEX NAME)

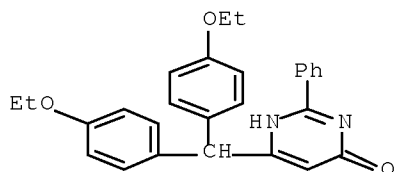


RN 269395-14-4 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[(4-butylphenyl)phenylmethyl]-2-phenyl- (CA INDEX NAME)



RN 269395-15-5 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 6-[bis(4-ethoxyphenyl)methyl]-2-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 138 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:227649 HCAPLUS Full-text  
 DOCUMENT NUMBER: 132:265206  
 TITLE: Preparation of pyrimidones for treating diseases caused by tau protein kinase 1 hyperactivity such as Alzheimer disease  
 INVENTOR(S): Watanabe, Kazutoshi; Ando, Ryoichi; Saito, Ken-ichi; Kawamoto, Rie; Shoda, Aya  
 PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan  
 SOURCE: PCT Int. Appl., 106 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018758	A1	20000406	WO 1999-JP5224	19990924 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG TW 241298 B 20051011 TW 1999-88116437 19990923 <-- CA 2345065 A1 20000406 CA 1999-2345065 19990924 <-- AU 9957599 A 20000417 AU 1999-57599 19990924 <-- EP 1115721 A1 20010718 EP 1999-944815 19990924 <-- EP 1115721 B1 20031210 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				



Serial No.:10/595,734

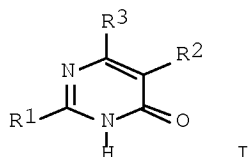
IE, SI, LT, LV, FI, RO

JP 2002525366	T	20020813	JP 2000-572218	19990924 <--
AT 256123	T	20031215	AT 1999-944815	19990924 <--
PT 1115721	T	20040430	PT 1999-944815	19990924 <--
ES 2214045	T3	20040901	ES 1999-944815	19990924 <--
US 7256199	B1	20070814	US 2001-787426	20010702 <--
PRIORITY APPLN. INFO.:			JP 1998-271277	A 19980925 <--
			JP 1998-305266	A 19981027 <--
			WO 1999-JP5224	W 19990924 <--

OTHER SOURCE(S): MARPAT 132:265206

ED Entered STN: 07 Apr 2000

GI



AB The title compds. [I; R1 = C1-18 alkyl, C3-18 alkenyl, C3-18 alkenyl, etc.; R2 = H, OH, C1-18 alkyl, etc.; R3 = (un)substituted pyridyl], useful for preventive and/or therapeutic treatment of a disease caused by tau protein kinase 1 hyperactivity such as Alzheimer disease, were prepared and formulated. Thus, reacting Et 3-(4-pyridyl)-3-oxopropionate with 3-amidinopyridine.HCl in the presence of K2CO3 in EtOH afforded I [R1 = 3-pyridyl; R2 = H; R3 = 4-pyridyl] which showed IC50 of 2.3  $\mu$ M against P-GS1 phosphorylation by bovine cerebral TPK1.

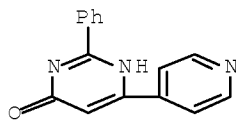
IT 263243-59-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrimidones for treating diseases caused by tau protein kinase 1 hyperactivity such as Alzheimer disease)

RN 263243-59-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-phenyl-6-(4-pyridinyl)- (CA INDEX NAME)



IT 263243-61-4P 263243-62-5P 263243-63-6P  
 263243-64-7P 263243-65-8P 263243-66-9P  
 263243-67-0P 263243-68-1P 263243-69-2P  
 263243-70-5P 263243-71-6P 263243-72-7P  
 263243-73-8P 263243-74-9P 263243-89-6P  
 263243-91-0P 263243-93-2P 263243-95-4P  
 263243-98-7P 263244-05-9P 263244-07-1P

Serial No.:10/595,734

263244-08-2P 263244-17-3P 263244-20-8P

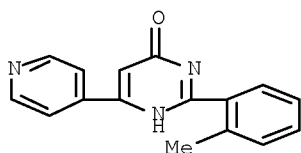
263244-22-0P 263244-43-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidones for treating diseases caused by tau protein kinase 1 hyperactivity such as Alzheimer disease)

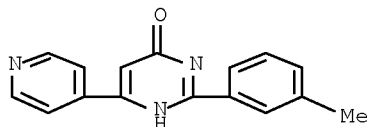
RN 263243-61-4 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(2-methylphenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



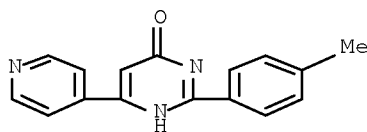
RN 263243-62-5 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-methylphenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



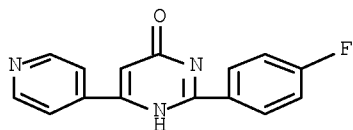
RN 263243-63-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-methylphenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



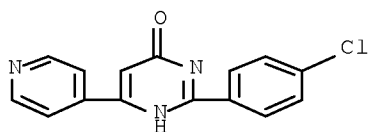
RN 263243-64-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-fluorophenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



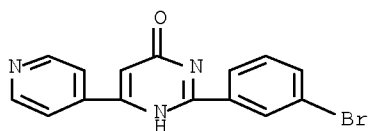
RN 263243-65-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



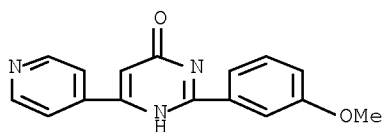
RN 263243-66-9 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-bromophenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



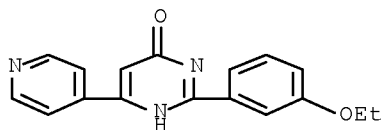
RN 263243-67-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-methoxyphenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



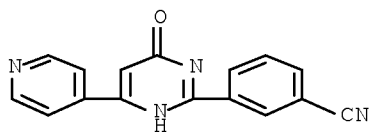
RN 263243-68-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(3-ethoxyphenyl)-6-(4-pyridinyl)- (CA INDEX NAME)

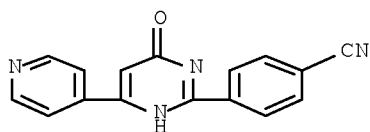


RN 263243-69-2 HCAPLUS

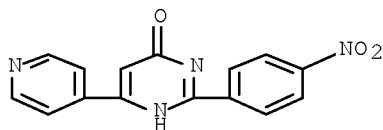
CN Benzonitrile, 3-[1,6-dihydro-6-oxo-4-(4-pyridinyl)-2-pyrimidinyl]- (CA INDEX NAME)



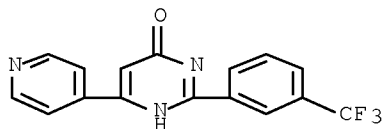
RN 263243-70-5 HCAPLUS  
 CN Benzonitrile, 4-[1,6-dihydro-6-oxo-4-(4-pyridinyl)-2-pyrimidinyl]- (CA INDEX NAME)



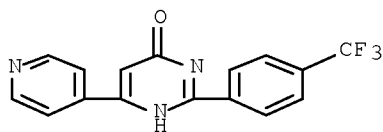
RN 263243-71-6 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 2-(4-nitrophenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



RN 263243-72-7 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 6-(4-pyridinyl)-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

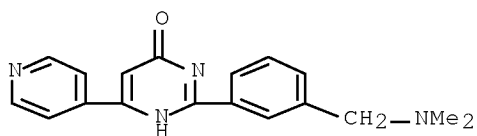


RN 263243-73-8 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 6-(4-pyridinyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 263243-74-9 HCAPLUS

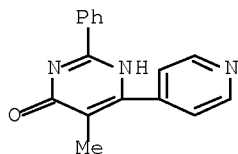
CN 4(3H)-Pyrimidinone, 2-[3-[(dimethylamino)methyl]phenyl]-6-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

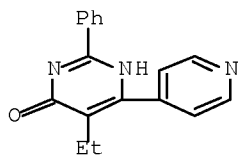
RN 263243-89-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 5-methyl-2-phenyl-6-(4-pyridinyl)- (CA INDEX NAME)



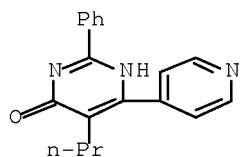
RN 263243-91-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 5-ethyl-2-phenyl-6-(4-pyridinyl)- (CA INDEX NAME)



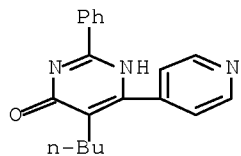
RN 263243-93-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-phenyl-5-propyl-6-(4-pyridinyl)- (CA INDEX NAME)



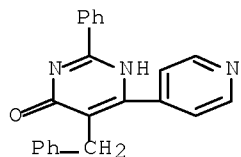
RN 263243-95-4 HCAPLUS

CN 4(3H)-Pyrimidinone, 5-butyl-2-phenyl-6-(4-pyridinyl)- (CA INDEX NAME)



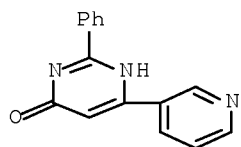
RN 263243-98-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-phenyl-5-(phenylmethyl)-6-(4-pyridinyl)- (CA INDEX NAME)



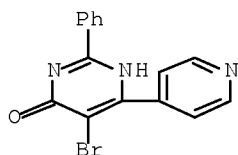
RN 263244-05-9 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-phenyl-6-(3-pyridinyl)- (CA INDEX NAME)



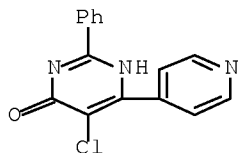
RN 263244-07-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 5-bromo-2-phenyl-6-(4-pyridinyl)- (CA INDEX NAME)



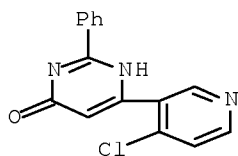
RN 263244-08-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 5-chloro-2-phenyl-6-(4-pyridinyl)- (CA INDEX NAME)



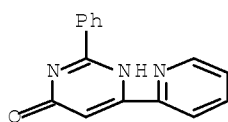
RN 263244-17-3 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-(4-chloro-3-pyridinyl)-2-phenyl- (CA INDEX NAME)



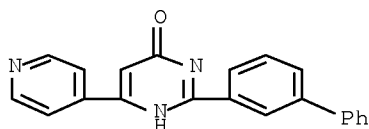
RN 263244-20-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-phenyl-6-(2-pyridinyl)- (CA INDEX NAME)

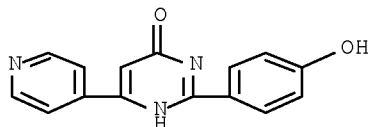


RN 263244-22-0 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[1,1'-biphenyl]-3-yl-6-(4-pyridinyl)- (CA INDEX NAME)



RN 263244-43-5 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 2-(4-hydroxyphenyl)-6-(4-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 139 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:115763 HCAPLUS Full-text  
 DOCUMENT NUMBER: 132:151833  
 TITLE: Preparation of 4-amino-2-arylpyrimidines as modulators  
 of cyclic guanosine monophosphate production.  
 INVENTOR(S): Schindler, Ursula; Schoenafinger, Karl; Strobel,  
 Hartmut  
 PATENT ASSIGNEE(S): Hoechst Marion Roussel Deutschland G.m.b.H., Germany  
 SOURCE: Ger. Offen., 22 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19836697	A1	20000217	DE 1998-19836697	19980813 <--
CA 2340405	A1	20000224	CA 1999-2340405	19990804 <--
WO 2000009496	A1	20000224	WO 1999-EP5636	19990804 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9957307	A	20000306	AU 1999-57307	19990804 <--
AU 760988	B2	20030529		
BR 9913003	A	20010508	BR 1999-13003	19990804 <--
EP 1112266	A1	20010704	EP 1999-944330	19990804 <--
EP 1112266	B1	20030514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002522536	T	20020723	JP 2000-564948	19990804 <--
AT 240315	T	20030515	AT 1999-944330	19990804 <--
PT 1112266	T	20030930	PT 1999-944330	19990804 <--
ES 2196849	T3	20031216	ES 1999-944330	19990804 <--
MX 2001PA01411	A	20010528	MX 2001-PA1411	20010207 <--
US 6844347	B1	20050118	US 2001-762893	20010213 <--



PRIORITY APPLN. INFO.:

DE 1998-19836697

A 19980813 &lt;--

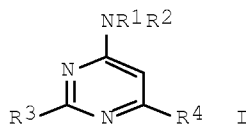
WO 1999-EP5636

W 19990804 &lt;--

OTHER SOURCE(S): MARPAT 132:151833

ED Entered STN: 18 Feb 2000

GI



AB Title compds. [I; R<sup>1</sup> = (substituted) alkyl, cycloalkyl, 5-7 membered heterocyclyl; R<sup>2</sup> = H, (substituted) alkyl, cycloalkyl, 5-7 membered heterocyclyl; R<sup>1</sup>R<sup>2</sup>N = (substituted) 5-7 membered heterocyclyl; R<sup>3</sup> = aryl; R<sup>4</sup> = alkyl, CF<sub>3</sub>, aryl], were prepared Thus, 4-chloro-2-(4-chlorophenyl)-6-isopropylpyrimidine (preparation given) and 4-amino-2,2,6,6,-tetramethylpiperidine were stirred at 150° for 2 h to give 2-(4-chlorophenyl)-6-isopropyl-4-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]pyrimidine dihydrochloride. Tested I at 50 μM stimulated guanylate cyclase by >4 to 28-fold.

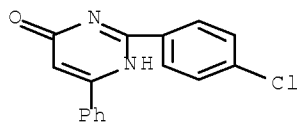
IT 36935-59-8P 36935-60-1P 257949-47-6P  
257949-48-7P 257949-49-8P 257949-50-1P  
257949-51-2P 257949-52-3P 257949-53-4P  
257949-54-5P 257949-55-6P 257949-58-9P  
257949-60-3P 257949-61-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-amino-2-arylpyrimidines as modulators of cyclic guanosine monophosphate production)

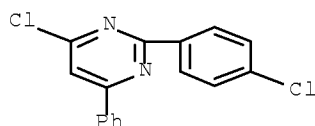
RN 36935-59-8 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(4-chlorophenyl)-6-phenyl- (9CI) (CA INDEX NAME)



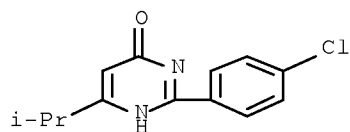
RN 36935-60-1 HCAPLUS

CN Pyrimidine, 4-chloro-2-(4-chlorophenyl)-6-phenyl- (CA INDEX NAME)



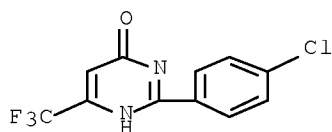
RN 257949-47-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-(1-methylethyl)- (CA INDEX NAME)



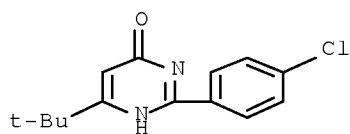
RN 257949-48-7 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-(trifluoromethyl)- (CA INDEX NAME)



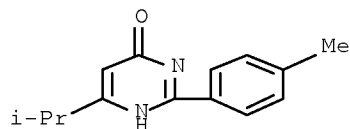
RN 257949-49-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-(1,1-dimethylethyl)- (CA INDEX NAME)



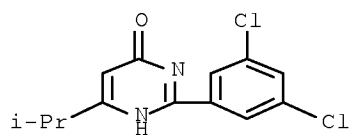
RN 257949-50-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-(1-methylethyl)-2-(4-methylphenyl)- (CA INDEX NAME)

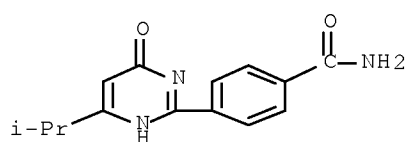


RN 257949-51-2 HCAPLUS

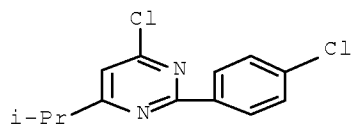
CN 4(3H)-Pyrimidinone, 2-(3,5-dichlorophenyl)-6-(1-methylethyl)- (CA INDEX NAME)



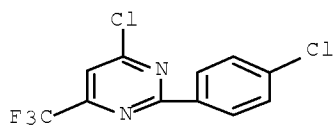
RN 257949-52-3 HCAPLUS  
 CN Benzamide, 4-[1,6-dihydro-4-(1-methylethyl)-6-oxo-2-pyrimidinyl]- (CA INDEX NAME)



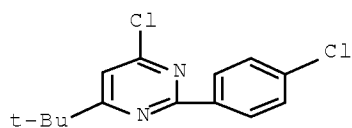
RN 257949-53-4 HCAPLUS  
 CN Pyrimidine, 4-chloro-2-(4-chlorophenyl)-6-(1-methylethyl)- (CA INDEX NAME)



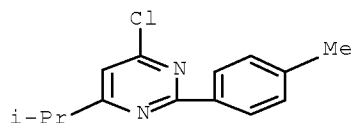
RN 257949-54-5 HCAPLUS  
 CN Pyrimidine, 4-chloro-2-(4-chlorophenyl)-6-(trifluoromethyl)- (CA INDEX NAME)



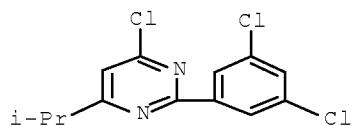
RN 257949-55-6 HCAPLUS  
 CN Pyrimidine, 4-chloro-2-(4-chlorophenyl)-6-(1,1-dimethylethyl)- (CA INDEX NAME)



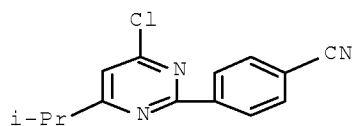
RN 257949-58-9 HCAPLUS  
 CN Pyrimidine, 4-chloro-6-(1-methylethyl)-2-(4-methylphenyl)- (CA INDEX NAME)



RN 257949-60-3 HCAPLUS  
 CN Pyrimidine, 4-chloro-2-(3,5-dichlorophenyl)-6-(1-methylethyl)- (CA INDEX NAME)



RN 257949-61-4 HCAPLUS  
 CN Benzonitrile, 4-[4-chloro-6-(1-methylethyl)-2-pyrimidinyl]- (CA INDEX NAME)

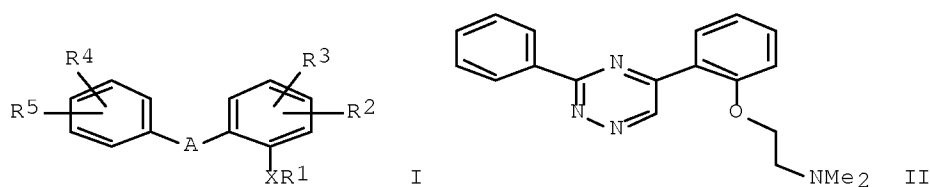


L54 ANSWER 140 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:691086 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 131:299469  
 TITLE: Preparation of novel diphenyl-substituted, six-member-ring heterocyclic compounds as neuroprotectants  
 INVENTOR(S): Brenner, Michael; Palluk, Rainer; Wienrich, Marion; Weiser, Thomas; Cereda, Enzo; Bignotti, Maura; Pellegrini, Carlomaria; Schiavi, Giovanni Battista; Cesana, Raffaele  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma KG, Germany; Boehringer

# Serial No.:10/595,734

SOURCE: Ingelheim Italia S.p.A.  
PCT Int. Appl., 43 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954311	A1	19991028	WO 1999-EP2497	19990414 <--
W: CA, JP, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
IT 98MI0819	A1	19991018	IT 1998-MI819	19980417 <--
IT 1300056	B1	20000405		
US 6235738	B1	20010522	US 1999-290335	19990412 <--
CA 2322759	A1	19991028	CA 1999-2322759	19990414 <--
EP 1077950	A1	20010228	EP 1999-920662	19990414 <--
EP 1077950	B1	20040922		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002512232	T	20020423	JP 2000-544650	19990414 <--
AT 277019	T	20041015	AT 1999-920662	19990414 <--
MX 2000PA09479	A	20020812	MX 2000-PA9479	20000927 <--
PRIORITY APPLN. INFO.:			IT 1998-MI819	A 19980417 <--
			WO 1999-EP2497	W 19990414 <--
OTHER SOURCE(S):			MARPAT 131:299469	
ED Entered STN:			29 Oct 1999	
GI				



AB The title compds. [I; A = (un)substituted 6-membered O-, S- or N-containing heterocyclic residue; R1 = (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl; R2, R3 = H, mercapto, halo, NO2, cyano, C1-10 alkyl, NR6R7, C6-10 aryl, etc.; R4, R5 = H, halo, NO2, mercapto, C1-10 alkyl, NR6R7, etc.; R6, R7 = H, (un)substituted C1-10 alkyl, C3-6 cycloalkyl, etc.; NR6R7 = (un)substituted 5- or 6-membered heterocyclyl; X = O, S, NR6] and, optionally, their racemates, enantiomers and salts with pharmaceutically acceptable acids, were prepared, e.g., by etherification of the parent (hydroxyphenyl)phenylpyrimidines or -triazines with electrophilic reagents LR1 (L = leaving group; R1 as above). For example, adding 48% HBR to DMSO solution of 2-(Me2NCH2CH2O)C6H4COMe (prepared by etherification of 2-HOC6H4COMe with Me2NCH2CH2Cl), stirring and bubbling N through the mixture for 6 h at 80° gave [2-(2-dimethylaminoethoxy)phenyl]oxoacetaldehyde. A solution of the latter in MeOH was added slowly to a solution benzocarboximide acid

Serial No.:10/595,734

hydrazide in MeOH at 5°, the mixture was stirred at 5° for 6 h, the solvent removed in vacuo and the crude product purified by flash chromatog. to give a brown oil which was treated with (CO<sub>2</sub>H)<sub>2</sub> in EtOAc to give triazine derivative II as a light yellow oxalate salt (m. 167-170°). II at 100 µM in vitro gave 98 inhibition of kainate-induced signals at AMPA receptors.

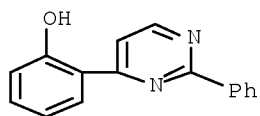
IT 247059-33-2P 247059-34-3P 247059-40-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel diphenyl-substituted, six-member-ring heterocycles as neuroprotectants)

RN 247059-33-2 HCAPLUS

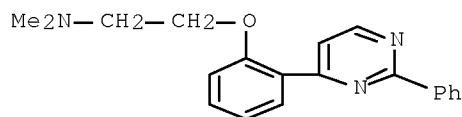
CN Phenol, 2-(2-phenyl-4-pyrimidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 247059-34-3 HCAPLUS

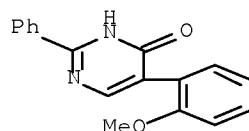
CN Ethanamine, N,N-dimethyl-2-[2-(2-phenyl-4-pyrimidinyl)phenoxy]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 247059-40-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 5-(2-methoxyphenyl)-2-phenyl- (CA INDEX NAME)



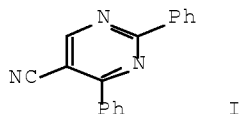
REFERENCE COUNT:

10

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 228 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1983:438488 HCAPLUS Full-text  
 DOCUMENT NUMBER: 99:38488  
 ORIGINAL REFERENCE NO.: 99:6053a,6056a  
 TITLE: 2,4-Diphenyl-5-pyrimidinecarbonitrile  
 INVENTOR(S): Schwan, Thomas J.  
 PATENT ASSIGNEE(S): Norwich Eaton Pharmaceuticals, Inc., USA  
 SOURCE: U.S., 2 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

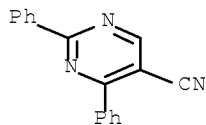
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4382140	A	19830503	US 1982-342739	19820126 <--
PRIORITY APPLN. INFO.:			US 1982-342739	19820126 <--
ED Entered STN: 12 May 1984				
GI				



AB The immunomodulation title nitrile I was prepared in 90% yield by cyclocondensation of  $\text{PhC}(:\text{NH})\text{NH}_2$  with  $\text{PhCOC}(\text{CN})\text{:CHOEt}$  in MeOH containing NaOMe at room temperature. Mice treated with 40 mg/kg I and 150 mg/kg antineoplastic cyclophosphamide and then infected with *Pseudomonas aeruginosa* had a survival rate of 55% compared to a 75% mortality rate for similarly treated animals without addition of I.

IT 86371-79-1F  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and immune adjuvant activity of)

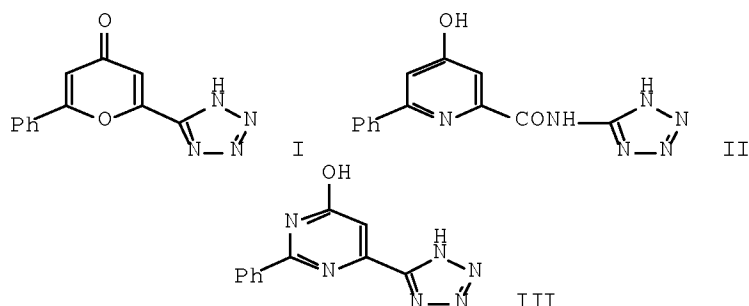
RN 86371-79-1 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 2,4-diphenyl- (CA INDEX NAME)



L54 ANSWER 229 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1983:215537 HCAPLUS Full-text  
 DOCUMENT NUMBER: 98:215537

Serial No.:10/595,734

ORIGINAL REFERENCE NO.: 98:32773a,32776a  
TITLE: Studies on antiallergic agents. I.  
Phenyl-substituted heterocycles with a 5-tetrazolyl or  
N-(5-tetrazolyl)carbamoyl group  
AUTHOR(S): Honma, Yasushi; Sekine, Yasuo; Hashiyama, Tomiki;  
Takeda, Mikio; Ono, Yasutoshi; Tsuzurahara, Kei  
CORPORATE SOURCE: Org. Chem. Res. Lab., Tanabe Seiyaku Co., Ltd., Toda,  
Japan  
SOURCE: Chemical & Pharmaceutical Bulletin (1982),  
30(12), 4314-24  
CODEN: CPBTAL; ISSN: 0009-2363  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 98:215537  
ED Entered STN: 12 May 1984  
GI



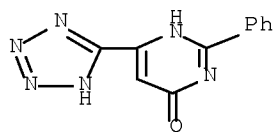
AB Phenyl substituted pyrones, pyridines, and pyrimidines bearing a 5-tetrazolyl or 5-tetrazolylcarbamoyl group (e.g. I, II, and III) were prepared by amidation of the corresponding carboxylic acid or acid chloride with 5-aminotetrazole or by cyclization of nitriles with NaN<sub>3</sub>. The compds. were tested for antiallergic activity by passive cutaneous anaphylaxis assay in rats after oral administration. N-(5-Tetrazolyl)-6-phenylpyridine-2-carboxamides possessed high potency.

IT 85101-81-1P 85815-22-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antiallergic activity of)

RN 85101-81-1 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-phenyl-6-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

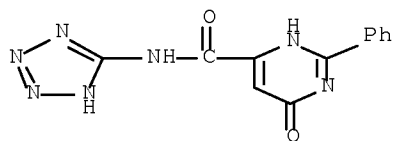


RN 85815-22-1 HCAPLUS

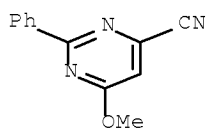


Serial No.:10/595,734

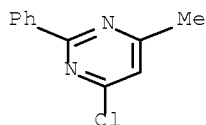
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-6-oxo-2-phenyl-N-1H-tetrazol-5-yl-  
(9CI) (CA INDEX NAME)



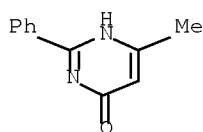
IT 85830-27-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and cyclization with sodium azide, tetrazole derivative from)  
RN 85830-27-9 HCAPLUS  
CN 4-Pyrimidinecarbonitrile, 6-methoxy-2-phenyl- (CA INDEX NAME)



IT 29509-92-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction with methoxide)  
RN 29509-92-0 HCAPLUS  
CN Pyrimidine, 4-chloro-6-methyl-2-phenyl- (CA INDEX NAME)



IT 13514-79-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with phosphoryl chloride)  
RN 13514-79-9 HCAPLUS  
CN 4(3H)-Pyrimidinone, 6-methyl-2-phenyl- (CA INDEX NAME)



L54 ANSWER 230 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:172563 HCAPLUS Full-text

DOCUMENT NUMBER: 98:172563

ORIGINAL REFERENCE NO.: 98:26005a,26008a

TITLE: Aldose reductase inhibition by anti-allergy drugs

AUTHOR(S): Kador, Peter F.; Sharpless, Norman E.; Goosey, John D.

CORPORATE SOURCE: Lab. Vision Res. Chem. Phys., NEI, Bethesda, MD,  
20205, USA

SOURCE: Progress in Clinical and Biological Research (  
1982), 114(Enzymol. Carbonyl Metab.: Aldehyde  
Dehydrogenase Aldo/Keto Reductase), 243-59  
CODEN: PCBRD2; ISSN: 0361-7742

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

AB Fifty-seven antiallergy drugs belonging to different structural classes, including quinolinecarboxylates, oxanilates, oxothienopyrimidinecarboxylates, hydroxycoumarins, xanthonecarboxylates, pyridoquinazolinecarboxylates, and phenylpyrimidinecarboxylates, were screened for their ability to inhibit rat lens and human placental aldose reductase (EC 1.1.1.21) [9028-31-3], an enzyme involved in diabetic and galactosemic cataracts. Detailed structure-activity relations at the mol. and electron levels are described. The requirements for fitting the aldose reductase inhibitor site include the requirement for a planar aromatic (lipophilic) moiety and a reactive substituent which can undergo a reversible nucleophilic attack from an available amino acid. All these compds. contain a carbonyl group, connected to a planar aromatic system(s), which is capable of undergoing nucleophilic attack in a charge-transfer interaction. The inhibitory activity of these compds. can be increased further by the introduction of lipophilic substituents (which can increase hydrophobic interactions) and by the introduction of an OH group located generally para to the carbonyl moiety.

IT 56406-26-9 69359-64-4 69359-68-8

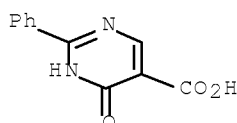
69359-69-9 69359-73-5 69359-87-1

RL: BIOL (Biological study)

(aldose reductase of humans and lab animals inhibition by, structure in relation to)

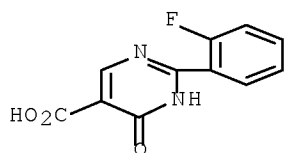
RN 56406-26-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-phenyl- (9CI) (CA INDEX NAME)



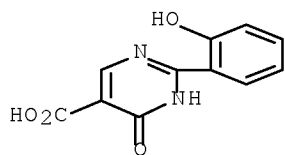
RN 69359-64-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-fluorophenyl)-1,4-dihydro-4-oxo- (9CI)  
(CA INDEX NAME)



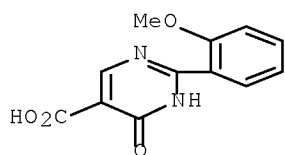
RN 69359-68-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(2-hydroxyphenyl)-4-oxo- (9CI)  
(CA INDEX NAME)



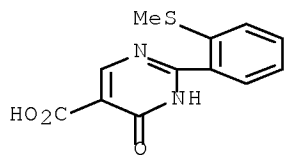
RN 69359-69-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(2-methoxyphenyl)-4-oxo- (9CI)  
(CA INDEX NAME)



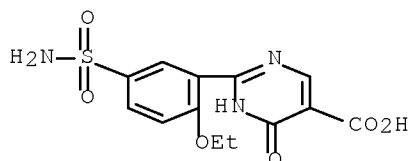
RN 69359-73-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(methylthio)phenyl]-4-oxo- (9CI)  
(CA INDEX NAME)



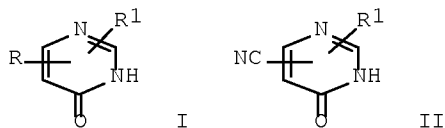
RN 69359-87-1 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[5-(aminosulfonyl)-2-ethoxyphenyl]-1,4-dihydro-4-oxo- (9CI)  
(CA INDEX NAME)



L54 ANSWER 231 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1983:143453 HCAPLUS Full-text  
 DOCUMENT NUMBER: 98:143453  
 ORIGINAL REFERENCE NO.: 98:21861a,21864a  
 TITLE: Dihydropyrimidine compounds  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57176981	A	19821030	JP 1981-63100	19810424 <--
PRIORITY APPLN. INFO.:			JP 1981-63100	19810424 <--
OTHER SOURCE(S):	CASREACT 98:143453			
ED Entered STN: 12 May 1984				
GI				



AB Forty dihydropyrimidines I (R = tetrazolyl; R1 = aryl) were prepared by, e.g., reaction of II with HN3 or its salts. Anti-allergic activities of I were shown by passive cutaneous anaphylaxis reaction in rats. Thus, reaction of MeOCH:C[C6H3(OMe)2-3,4]CO2Me with HN:CMEn(OEt)2.HCl gave 3,4-dihydro-4-oxo-5-(3,4-dimethoxyphenyl)pyrimidine-2-carboxaldehyde di-Et acetal, which was converted to II [2-cyano, R1 = 5-[3,4-(MeO)2C6H3]] (III). Refluxing a mixture of III 2.57, NaN3 0.78, and NH4Cl 1.69 g in DMF 70 min gave 1.78 g I [R = 2-(1H-tetrazol-5-yl), R1 = 5-[3,4-(MeO)2C6H3]].

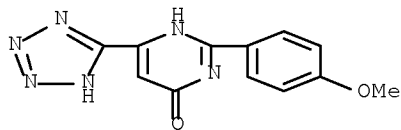
IT 85101-77-5P 85101-78-6P 85101-79-7P  
 85101-80-0P 85101-81-1P 85101-85-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and antiallergic activity of)

RN 85101-77-5 HCAPLUS

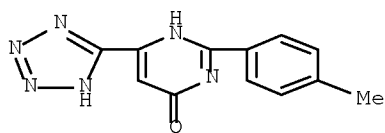
CN 4(1H)-Pyrimidinone, 2-(4-methoxyphenyl)-6-(1H-tetrazol-5-yl)- (9CI) (CA

INDEX NAME)



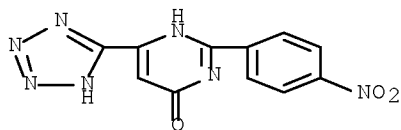
RN 85101-78-6 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(4-methylphenyl)-6-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



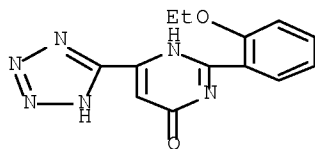
RN 85101-79-7 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(4-nitrophenyl)-6-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



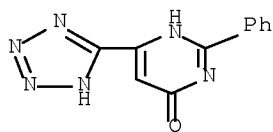
RN 85101-80-0 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(2-ethoxyphenyl)-6-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

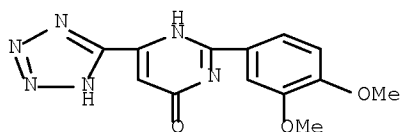


RN 85101-81-1 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-phenyl-6-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

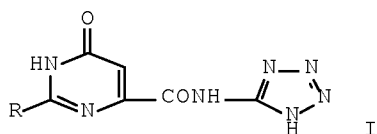


RN 85101-85-5 HCAPLUS  
 CN 4(1H)-Pyrimidinone, 2-(3,4-dimethoxyphenyl)-6-(1H-tetrazol-5-yl)- (9CI)  
 (CA INDEX NAME)



L54 ANSWER 232 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1983:89382 HCAPLUS Full-text  
 DOCUMENT NUMBER: 98:89382  
 ORIGINAL REFERENCE NO.: 98:13651a,13654a  
 TITLE: Dihydropyrimidine derivatives and pharmaceutical composition comprising them  
 INVENTOR(S): Teraji, Tsutomu; Oku, Teruo; Namiki, Takayuki; Shimazaki, Norihiko  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , UK  
 SOURCE: Brit. UK Pat. Appl., 15 pp.  
 CODEN: BAXXDU  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2095240	A	19820929	GB 1982-5929	19820301 <--
JP 57158779	A	19820930	JP 1982-35017	19820304 <--
JP 03028433	B	19910419		
PRIORITY APPLN. INFO.:			GB 1981-6902	A 19810305 <--
OTHER SOURCE(S):		CASREACT 98:89382; MARPAT 98:89382		
ED Entered STN: 12 May 1984				
GI				



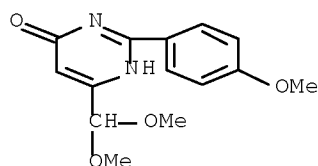
AB Pyrimidinylcarboxamides I (R = pyridyl, thienyl, aryl) were prepared Thus, 4-PhCH<sub>2</sub>OC<sub>6</sub>H<sub>4</sub>C(:NH)NH<sub>2</sub> was treated with (MeO)<sub>2</sub>CHCOCH<sub>2</sub>CO<sub>2</sub>Me to give the pyrimidinecarboxaldehyde acetal which was hydrolyzed with aldehyde, oxidized to acid, amidated, and hydrogenolyzed to give I (R = 4-HOC<sub>6</sub>H<sub>4</sub>). At 1 mg/kg i.v. in rats I (R = 4-HOC<sub>6</sub>H<sub>4</sub>) gave 100% inhibition of passive cutaneous anaphylaxis.

IT ~~84660-48-0P~~ ~~84660-70-8P~~

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and acetal cleavage of)

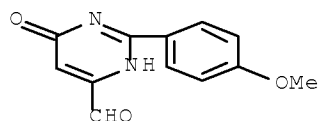
RN 84660-48-0 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-(dimethoxymethyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 84660-70-8 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-(4-methoxyphenyl)-6-oxo- (CA INDEX NAME)



IT ~~84659-91-6P~~ ~~84659-96-1P~~ ~~84660-00-4P~~

~~84660-16-2P~~ ~~84660-20-8P~~ ~~84660-23-1P~~

~~84660-27-5P~~ ~~84660-32-2P~~ ~~84660-36-6P~~

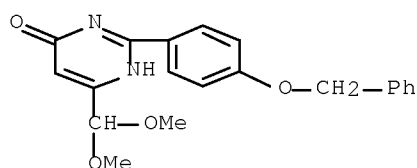
~~84660-44-6P~~ ~~84660-54-8P~~ ~~84660-58-2P~~

~~84660-62-8P~~ ~~84660-66-2P~~

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and acetone cleavage of)

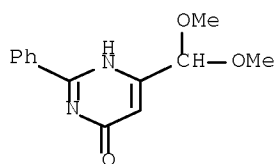
RN 84659-91-6 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-(dimethoxymethyl)-2-[4-(phenylmethoxy)phenyl]- (9CI)  
(CA INDEX NAME)



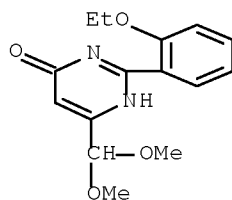
RN 84659-96-1 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-(dimethoxymethyl)-2-phenyl- (9CI) (CA INDEX NAME)



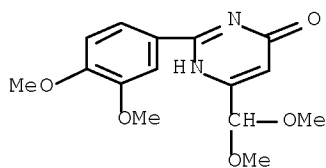
RN 84660-00-4 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-(dimethoxymethyl)-2-(2-ethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 84660-16-2 HCAPLUS

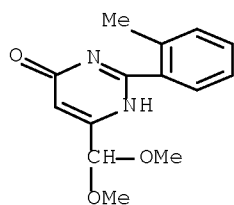
CN 4(1H)-Pyrimidinone, 6-(dimethoxymethyl)-2-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 84660-20-8 HCAPLUS

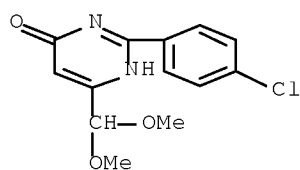
CN 4(1H)-Pyrimidinone, 6-(dimethoxymethyl)-2-(2-methylphenyl)- (9CI) (CA INDEX NAME)





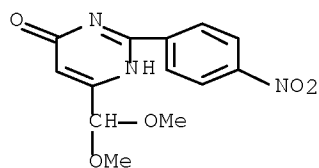
RN 84660-23-1 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(4-chlorophenyl)-6-(dimethoxymethyl)- (9CI) (CA INDEX NAME)



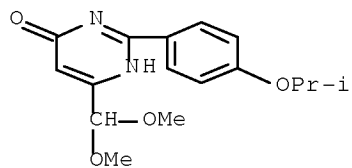
RN 84660-27-5 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-(dimethoxymethyl)-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 84660-32-2 HCAPLUS

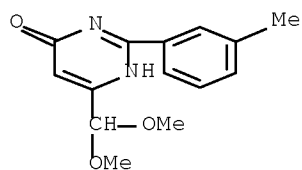
CN 4(1H)-Pyrimidinone, 6-(dimethoxymethyl)-2-[4-(1-methylethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 84660-36-6 HCAPLUS

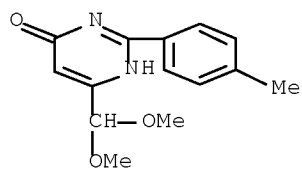
CN 4(1H)-Pyrimidinone, 6-(dimethoxymethyl)-2-(3-methylphenyl)- (9CI) (CA INDEX NAME)

INDEX NAME)



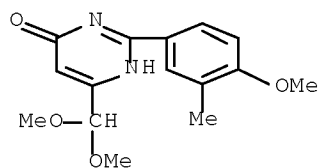
RN 84660-44-6 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-(dimethoxymethyl)-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)



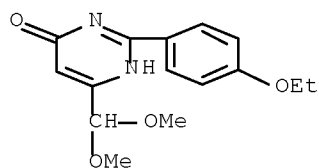
RN 84660-54-8 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-(dimethoxymethyl)-2-(4-methoxy-3-methylphenyl)- (9CI) (CA INDEX NAME)



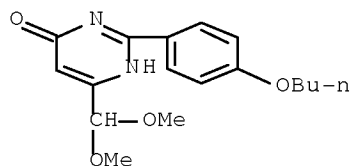
RN 84660-58-2 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-(dimethoxymethyl)-2-(4-ethoxyphenyl)- (9CI) (CA INDEX NAME)



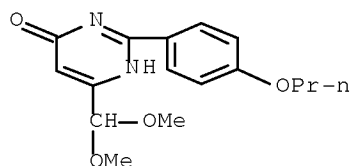
RN 84660-62-8 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(4-butoxyphenyl)-6-(dimethoxymethyl)- (9CI) (CA INDEX NAME)



RN 84660-66-2 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-(dimethoxymethyl)-2-(4-propoxyphenyl)- (9CI) (CA INDEX NAME)

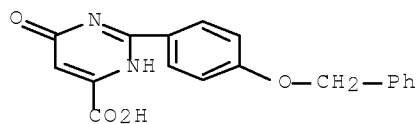


IT 84659-93-8P 84659-98-3P 84660-02-6P  
84660-18-4P 84660-25-3P 84660-29-7P  
84660-34-4P 84660-38-8P 84660-46-8P  
84660-49-1P 84660-52-6P 84660-56-0P  
84660-60-6P 84660-64-0P 84660-68-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and amidation of)

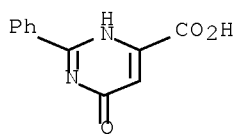
RN 84659-93-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2-[4-(phenylmethoxy)phenyl]- (CA INDEX NAME)



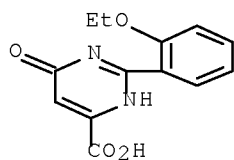
RN 84659-98-3 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2-phenyl- (CA INDEX NAME)



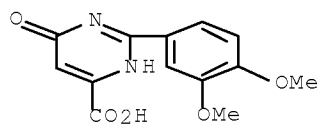
RN 84660-02-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(2-ethoxyphenyl)-1,6-dihydro-6-oxo- (CA INDEX NAME)



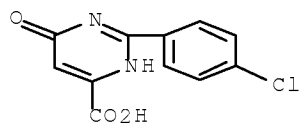
RN 84660-18-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(3,4-dimethoxyphenyl)-1,6-dihydro-6-oxo- (CA INDEX NAME)



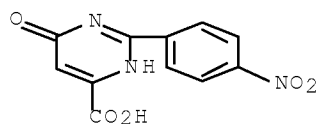
RN 84660-25-3 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(4-chlorophenyl)-1,6-dihydro-6-oxo- (CA INDEX NAME)



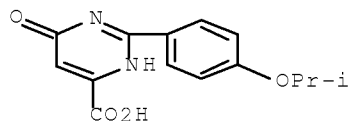
RN 84660-29-7 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-(4-nitrophenyl)-6-oxo- (CA INDEX NAME)



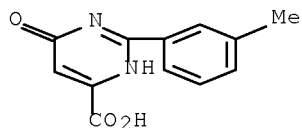
RN 84660-34-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-[4-(1-methylethoxy)phenyl]-6-oxo- (CA INDEX NAME)



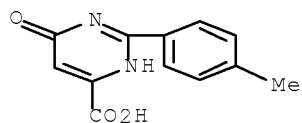
RN 84660-38-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-(3-methylphenyl)-6-oxo- (CA INDEX NAME)



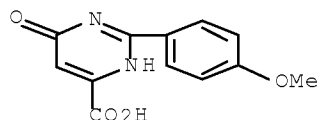
RN 84660-46-8 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



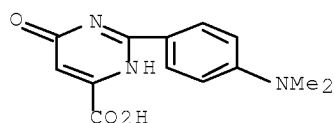
RN 84660-49-1 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-(4-methoxyphenyl)-6-oxo- (CA INDEX NAME)



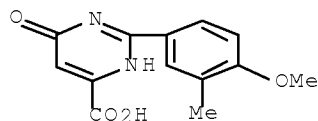
RN 84660-52-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-[4-(dimethylamino)phenyl]-1,6-dihydro-6-oxo-  
(CA INDEX NAME)



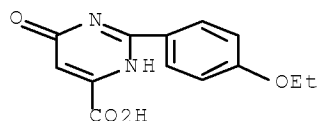
RN 84660-56-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-(4-methoxy-3-methylphenyl)-6-oxo-  
(CA INDEX NAME)



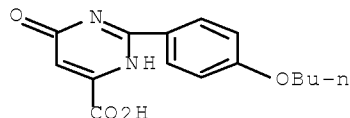
RN 84660-60-6 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(4-ethoxyphenyl)-1,6-dihydro-6-oxo- (CA  
INDEX NAME)



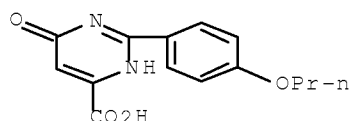
RN 84660-64-0 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(4-butoxyphenyl)-1,6-dihydro-6-oxo- (CA  
INDEX NAME)



RN 84660-68-4 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2-(4-propoxyphenyl)- (CA  
INDEX NAME)

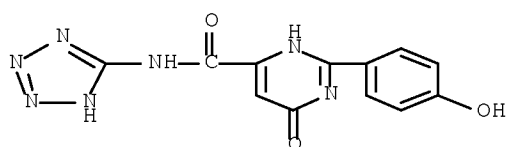


IT 84659-95-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and antianaphylactic activity of)

RN 84659-95-0 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-(4-hydroxyphenyl)-6-oxo-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)



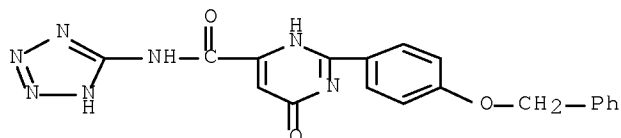
● Na

IT 84659-94-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and hydrogenolysis of)

RN 84659-94-9 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-6-oxo-2-[4-(phenylmethoxy)phenyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

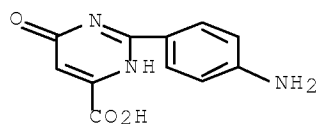


IT 84660-51-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and methylation of)

RN 84660-51-5 HCAPLUS

CN 4-Pyrimidinecarboxylic acid, 2-(4-aminophenyl)-1,6-dihydro-6-oxo- (CA INDEX NAME)



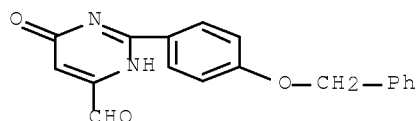
IT 84659-92-7P 84659-97-2P 84660-01-5P  
 84660-17-3P 84660-21-9P 84660-24-2P  
 84660-28-6P 84660-33-3P 84660-37-7P  
 84660-45-7P 84660-55-9P 84660-59-3P  
 84660-63-9P 84660-67-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(preparation and oxidation of)

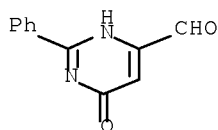
RN 84659-92-7 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-[4-(phenylmethoxy)phenyl]-6-oxo-  
 (9CI) (CA INDEX NAME)



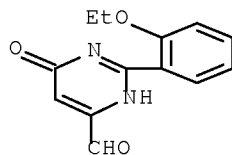
RN 84659-97-2 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-6-oxo-2-phenyl- (CA INDEX NAME)



RN 84660-01-5 HCAPLUS

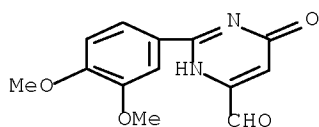
CN 4-Pyrimidinecarboxaldehyde, 2-(2-ethoxyphenyl)-1,6-dihydro-6-oxo-  
 INDEX NAME)



RN 84660-17-3 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 2-(3,4-dimethoxyphenyl)-1,6-dihydro-6-oxo-,  
 monohydrochloride (9CI) (CA INDEX NAME)

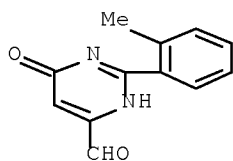




● HCl

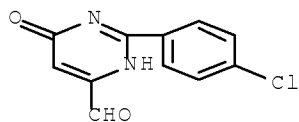
RN 84660-21-9 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



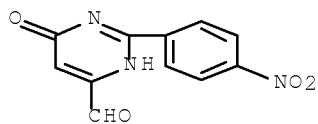
RN 84660-24-2 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 2-(4-chlorophenyl)-1,6-dihydro-6-oxo- (CA INDEX NAME)



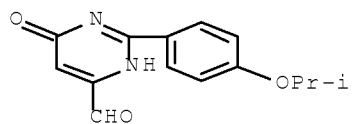
RN 84660-28-6 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-(4-nitrophenyl)-6-oxo- (CA INDEX NAME)



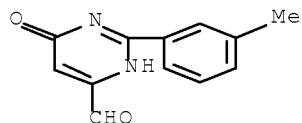
RN 84660-33-3 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-[4-(1-methylethoxy)phenyl]-6-oxo- (CA INDEX NAME)



RN 84660-37-7 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-(3-methylphenyl)-6-oxo- (CA INDEX NAME)



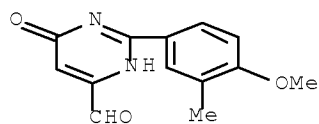
RN 84660-45-7 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-(4-methylphenyl)-6-oxo- (CA INDEX NAME)



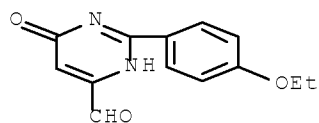
RN 84660-55-9 HCAPLUS

CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-2-(4-methoxy-3-methylphenyl)-6-oxo- (CA INDEX NAME)

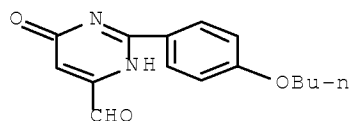


RN 84660-59-3 HCAPLUS

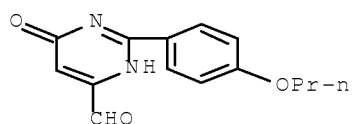
CN 4-Pyrimidinecarboxaldehyde, 2-(4-ethoxyphenyl)-1,6-dihydro-6-oxo- (CA INDEX NAME)



RN 84660-63-9 HCAPLUS  
CN 4-Pyrimidinecarboxaldehyde, 2-(4-butoxyphenyl)-1,6-dihydro-6-oxo- (CA INDEX NAME)

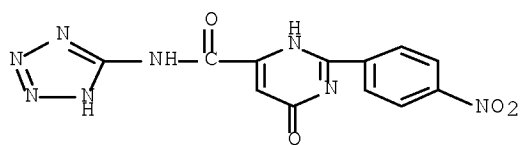


RN 84660-67-3 HCAPLUS  
CN 4-Pyrimidinecarboxaldehyde, 1,6-dihydro-6-oxo-2-(4-propoxyphenyl)- (CA INDEX NAME)



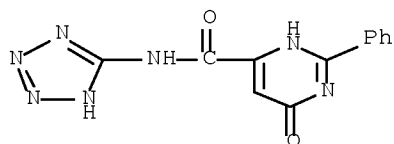
IT 84660-30-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reduction of)

RN 84660-30-0 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-(4-nitrophenyl)-6-oxo-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



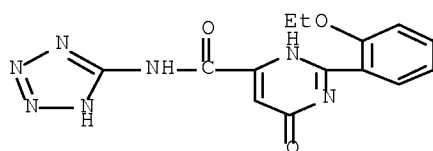
IT 84659-99-4P 84660-03-7F 84660-19-5P  
84660-22-0P 84660-26-4P 84660-31-1P  
84660-35-5P 84660-39-9P 84660-47-9P  
84660-50-4P 84660-53-7P 84660-57-1P  
84660-61-7P 84660-65-1P 84660-69-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 84659-99-4 HCAPLUS  
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-6-oxo-2-phenyl-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)



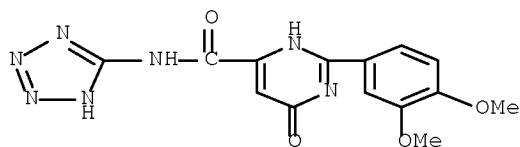
RN 84660-03-7 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-(2-ethoxyphenyl)-1,6-dihydro-6-oxo-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



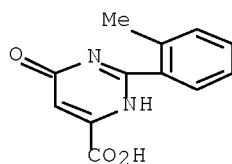
RN 84660-19-5 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-(3,4-dimethoxyphenyl)-1,6-dihydro-6-oxo-N-1H-tetrazol-5-yl-, disodium salt (9CI) (CA INDEX NAME)



RN 84660-22-0 HCAPLUS

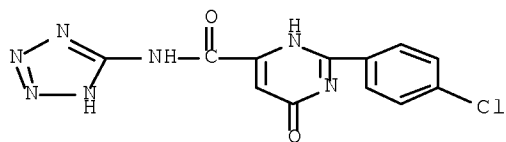
CN 4-Pyrimidinecarboxylic acid, 1,6-dihydro-2-(2-methylphenyl)-6-oxo- (CA INDEX NAME)



Serial No.:10/595,734

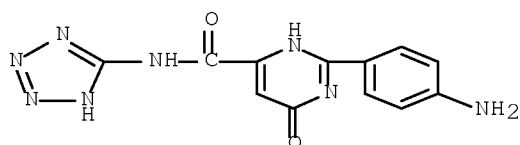
RN 84660-26-4 HCAPLUS

CN 4-Pyrimidinecarboxamide, 2-(4-chlorophenyl)-1,6-dihydro-6-oxo-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



RN 84660-31-1 HCAPLUS

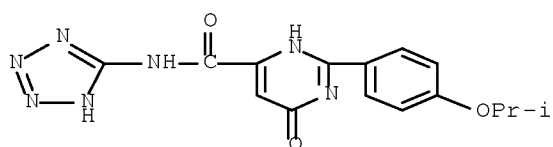
CN 4-Pyrimidinecarboxamide, 2-(4-aminophenyl)-1,6-dihydro-6-oxo-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 84660-35-5 HCAPLUS

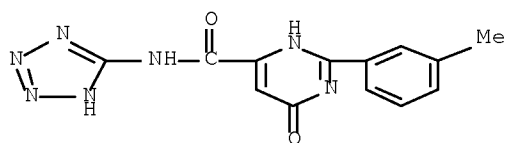
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-[4-(1-methylethoxy)phenyl]-6-oxo-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)



● Na

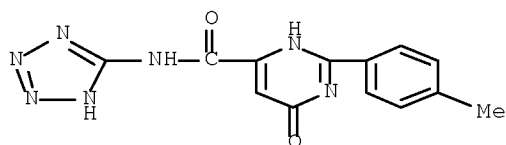
RN 84660-39-9 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-(3-methylphenyl)-6-oxo-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



RN 84660-47-9 HCAPLUS

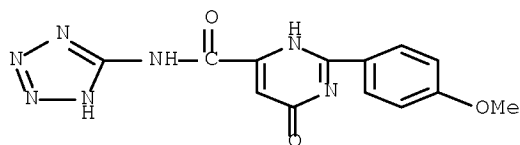
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-(4-methylphenyl)-6-oxo-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 84660-50-4 HCAPLUS

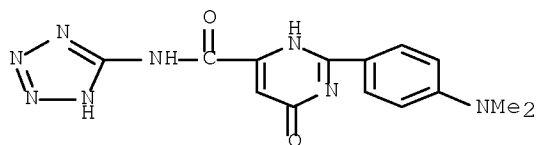
CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-(4-methoxyphenyl)-6-oxo-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 84660-53-7 HCAPLUS

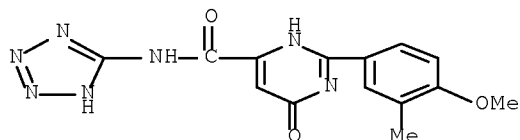
CN 4-Pyrimidinecarboxamide, 2-[4-(dimethylamino)phenyl]-1,6-dihydro-6-oxo-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)



● Na

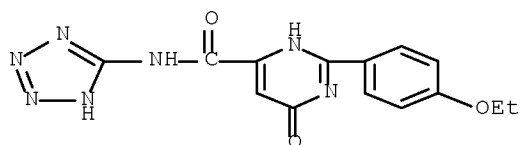
RN 84660-57-1 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-2-(4-methoxy-3-methylphenyl)-6-oxo-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



RN 84660-61-7 HCAPLUS

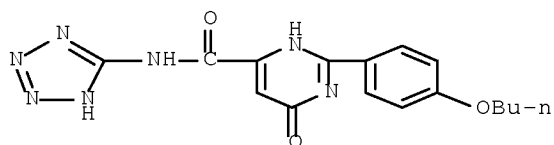
CN 4-Pyrimidinecarboxamide, 2-(4-ethoxyphenyl)-1,6-dihydro-6-oxo-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 84660-65-1 HCAPLUS

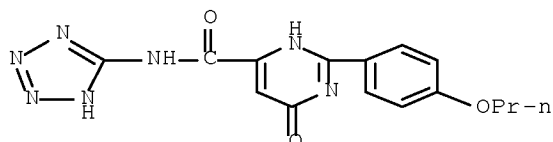
CN 4-Pyrimidinecarboxamide, 2-(4-butoxyphenyl)-1,6-dihydro-6-oxo-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)



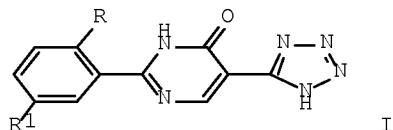
● Na

RN 84660-69-5 HCAPLUS

CN 4-Pyrimidinecarboxamide, 1,6-dihydro-6-oxo-2-(4-propoxyphenyl)-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)

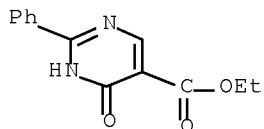


L54 ANSWER 233 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1982:555925 HCAPLUS Full-text  
 DOCUMENT NUMBER: 97:155925  
 ORIGINAL REFERENCE NO.: 97:25797a,25800a  
 TITLE: Antiallergy agents. 2. 2-Phenyl-5-(1H-tetrazol-5-yl)pyrimidin-4(3H)-ones  
 AUTHOR(S): Juby, Peter F.; Hudyma, Thomas W.; Brown, Myron; Essery, John M.; Partyka, Richard A.  
 CORPORATE SOURCE: Bristol Lab., Div. Bristol-Myers Co., Syracuse, NY, 13201, USA  
 SOURCE: Journal of Medicinal Chemistry (1982), 25(10), 1145-50  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 97:155925  
 ED Entered STN: 12 May 1984  
 GI



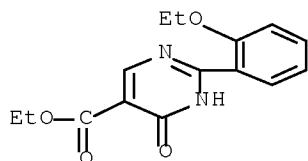
AB I (R = alkoxy, OCH<sub>2</sub>CH:CH<sub>2</sub>, or cyclopropylmethoxy; R<sub>1</sub> = H, OMe, NO<sub>2</sub>, NH<sub>2</sub>, or NMe<sub>2</sub>) were prepared and found to be about 5-10 times more potent than the corresponding pyrimidine-5-carboxylic acids when tested orally against passive cutaneous anaphylaxis in the rat. Structure-activity relations within the two series are similar. I (R = OPr, R<sub>1</sub> = H) [ 64634-09-9] is in clin. trial for the prophylactic treatment of asthma.  
 IT 55613-22-4 63874-50-0 63874-51-1  
 63874-52-2 63874-54-4 63874-55-5  
 63874-56-6 63874-62-4 63874-63-5  
 64633-78-9 69359-91-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (amidation of)  
 RN 55613-22-4 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-phenyl-, ethyl ester  
 (9CI) (CA INDEX NAME)





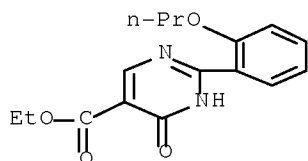
RN 63874-50-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



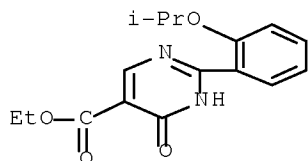
RN 63874-51-1 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-(2-propoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



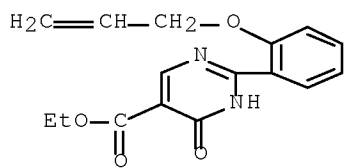
RN 63874-52-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(1-methylethoxy)phenyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



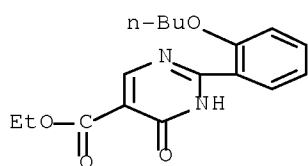
RN 63874-54-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[2-(2-propenyloxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



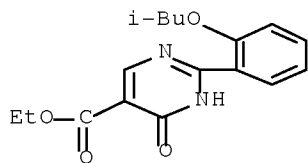
RN 63874-55-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-butoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



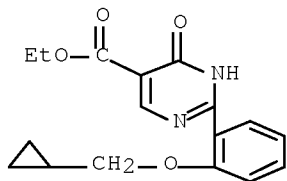
RN 63874-56-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(2-methylpropoxy)phenyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 63874-62-4 HCAPLUS

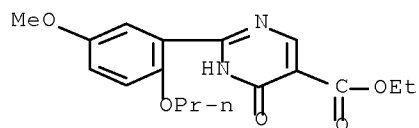
CN 5-Pyrimidinecarboxylic acid, 2-[2-(cyclopropylmethoxy)phenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 63874-63-5 HCAPLUS

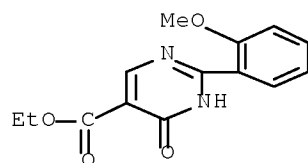
Serial No.:10/595,734

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(5-methoxy-2-propoxyphenyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



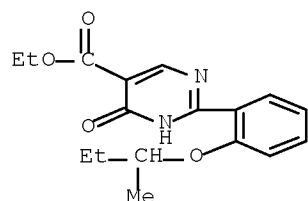
RN 64633-78-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(2-methoxyphenyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 69359-91-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(1-methylpropoxy)phenyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

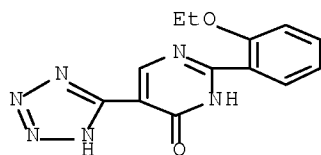


IT 64634-08-8P 64634-09-9P 64634-10-2P  
64634-11-3P 64634-13-5P 64634-14-6P  
64634-15-7P 64634-16-8P 64634-17-9P  
64634-18-0P 64634-19-1P 64634-20-4P  
82547-09-9DP, derivs. 82547-09-9P 82547-10-2P  
82547-11-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and antiallergic activity of, structure in relation to)

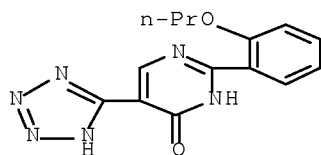
RN 64634-08-8 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(2-ethoxyphenyl)-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



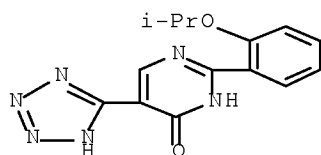
RN 64634-09-9 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(2-propoxyphenyl)-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



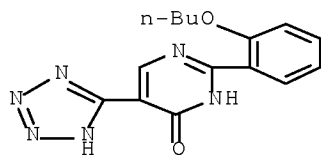
RN 64634-10-2 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-[2-(1-methylethoxy)phenyl]-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



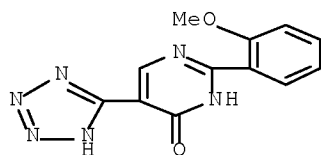
RN 64634-11-3 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(2-butoxyphenyl)-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



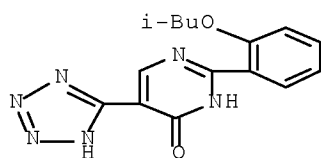
RN 64634-13-5 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(2-methoxyphenyl)-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



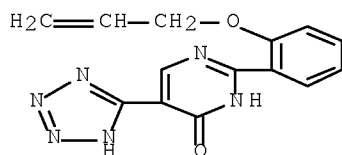
RN 64634-14-6 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-[2-(2-methoxyphenoxy)phenyl]-5-(1H-tetrazol-5-yl)-  
(9CI) (CA INDEX NAME)



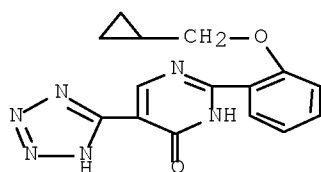
RN 64634-15-7 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-[2-(2-propenyloxy)phenyl]-5-(1H-tetrazol-5-yl)-  
(9CI) (CA INDEX NAME)



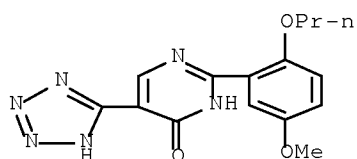
RN 64634-16-8 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-[2-(cyclopropylmethoxy)phenyl]-5-(1H-tetrazol-5-yl)-  
(9CI) (CA INDEX NAME)



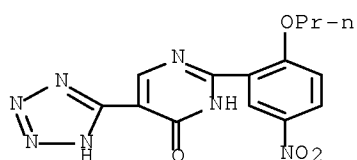
RN 64634-17-9 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(5-methoxy-2-propoxyphenyl)-5-(1H-tetrazol-5-yl)-  
(9CI) (CA INDEX NAME)



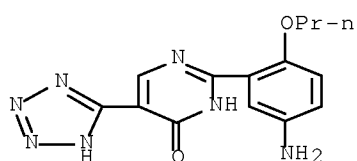
RN 64634-18-0 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(5-nitro-2-propoxyphenyl)-5-(1H-tetrazol-5-yl)-  
(9CI) (CA INDEX NAME)



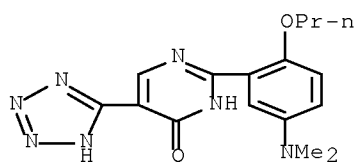
RN 64634-19-1 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(5-amino-2-propoxyphenyl)-5-(1H-tetrazol-5-yl)-  
(9CI) (CA INDEX NAME)



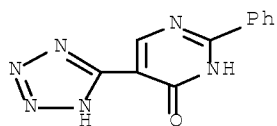
RN 64634-20-4 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-[5-(dimethylamino)-2-propoxyphenyl]-5-(1H-tetrazol-5-yl)-  
(9CI) (CA INDEX NAME)



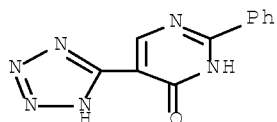
RN 82547-09-9 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-phenyl-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



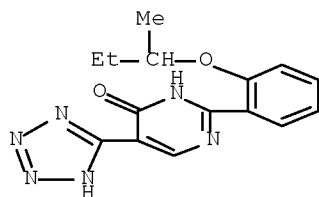
RN 82547-09-9 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-phenyl-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



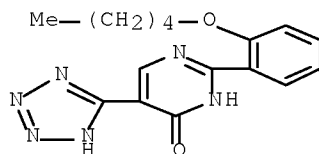
RN 82547-10-2 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-[2-(1-methylpropoxy)phenyl]-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 82547-11-3 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-[2-(pentyloxy)phenyl]-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



IT 27058-48-6P 64634-00-0P 64634-01-1P  
64634-02-2P 64634-03-3P 64634-04-4P  
64634-05-5P 64634-06-6P 64661-66-1P  
64801-29-2P 82547-12-4P

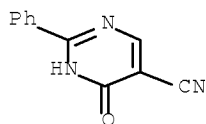
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cycloaddn. reaction of, with sodium azide)

RN 27058-48-6 HCAPLUS

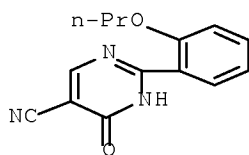
Serial No.:10/595,734

CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-4-oxo-2-phenyl- (9CI) (CA INDEX NAME)



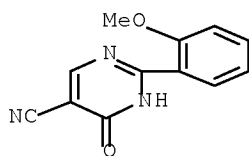
RN 64634-00-0 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-4-oxo-2-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)



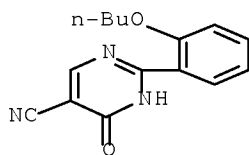
RN 64634-01-1 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-2-(2-methoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



RN 64634-02-2 HCAPLUS

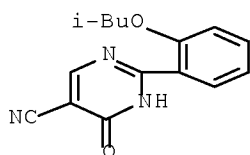
CN 5-Pyrimidinecarbonitrile, 2-(2-butoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 64634-03-3 HCAPLUS

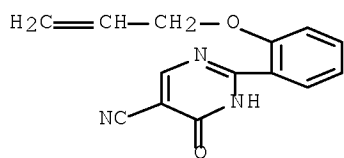
CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-2-[2-(2-methylpropoxy)phenyl]-4-oxo- (9CI) (CA INDEX NAME)





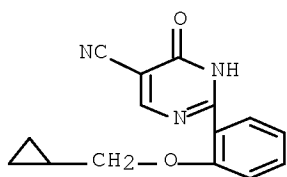
RN 64634-04-4 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-4-oxo-2-[2-(2-propenyloxy)phenyl]-(9CI) (CA INDEX NAME)



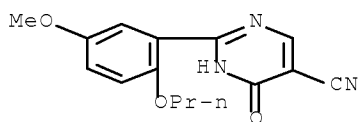
RN 64634-05-5 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-[2-(cyclopropylmethoxy)phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



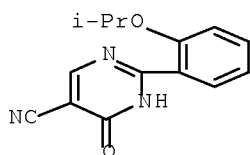
RN 64634-06-6 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-2-(5-methoxy-2-propoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



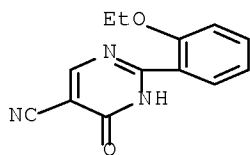
RN 64661-66-1 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-2-[2-(1-methylethoxy)phenyl]-4-oxo- (9CI) (CA INDEX NAME)



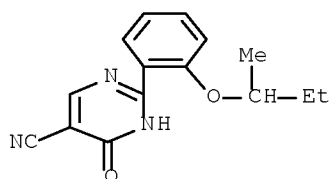
RN 64801-29-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-(2-ethoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 82547-12-4 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-2-[2-(1-methylpropoxy)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

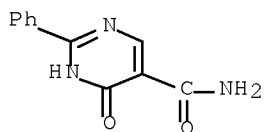


IT 34637-69-9P 64633-91-6P 64633-92-7P  
64633-93-8P 64633-94-9P 64633-95-0P  
64633-96-1F 64633-97-2P 64633-98-3F  
64633-99-4F 82547-13-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and dehydration of, cyanopyrimidinone derivative from)

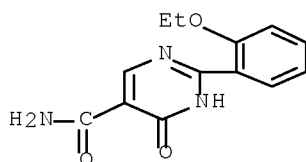
RN 34637-69-9 HCAPLUS

CN 5-Pyrimidinecarboxamide, 1,4-dihydro-4-oxo-2-phenyl- (9CI) (CA INDEX NAME)



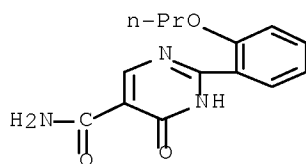
RN 64633-91-6 HCAPLUS

CN 5-Pyrimidinecarboxamide, 2-(2-ethoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



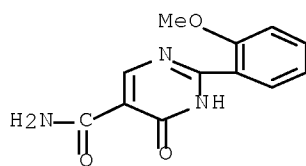
RN 64633-92-7 HCAPLUS

CN 5-Pyrimidinecarboxamide, 1,4-dihydro-4-oxo-2-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)



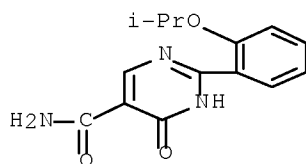
RN 64633-93-8 HCAPLUS

CN 5-Pyrimidinecarboxamide, 1,4-dihydro-2-(2-methoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



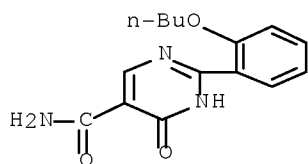
RN 64633-94-9 HCAPLUS

CN 5-Pyrimidinecarboxamide, 1,4-dihydro-2-[2-(1-methylethoxy)phenyl]-4-oxo- (9CI) (CA INDEX NAME)



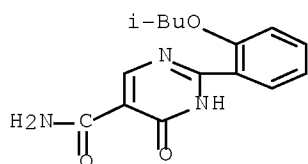
RN 64633-95-0 HCAPLUS

CN 5-Pyrimidinecarboxamide, 2-(2-butoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



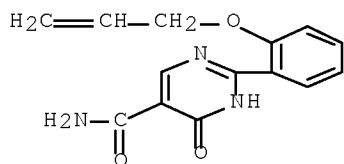
RN 64633-96-1 HCAPLUS

CN 5-Pyrimidinecarboxamide, 1,4-dihydro-2-[2-(2-methylpropoxy)phenyl]-4-oxo- (9CI) (CA INDEX NAME)



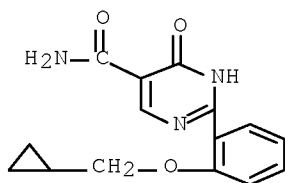
RN 64633-97-2 HCAPLUS

CN 5-Pyrimidinecarboxamide, 1,4-dihydro-4-oxo-2-[2-(2-propenyloxy)phenyl]- (9CI) (CA INDEX NAME)



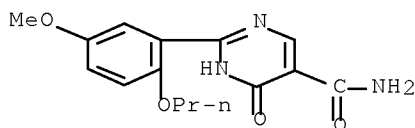
RN 64633-98-3 HCAPLUS

CN 5-Pyrimidinecarboxamide, 2-[2-(cyclopropylmethoxy)phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



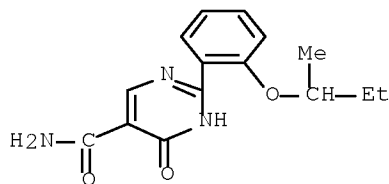
RN 64633-99-4 HCAPLUS

CN 5-Pyrimidinecarboxamide, 1,4-dihydro-2-(5-methoxy-2-propoxyphenyl)-4-oxo-  
(9CI) (CA INDEX NAME)



RN 82547-13-5 HCAPLUS

CN 5-Pyrimidinecarboxamide, 1,4-dihydro-2-[2-(1-methylpropoxy)phenyl]-4-oxo-  
(9CI) (CA INDEX NAME)



L54 ANSWER 234 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1982:438910 HCAPLUS Full-text

DOCUMENT NUMBER: 97:38910

ORIGINAL REFERENCE NO.: 97:6659a,6662a

TITLE: Synthesis and study of 2-substituted-4-methyl-5,6-dihydrofuro[2,3-d]pyrimidines as possible antimalarial agents. III

AUTHOR(S): Sanghavi, D. S.; Chaudhari, D. T.; Gudadhe, P. P.

CORPORATE SOURCE: Dep. Chemotherapy, Haffkine Inst., Bombay, 400 012, India

SOURCE: Bulletin of Haffkine Institute (1981), 9(2), 51-4

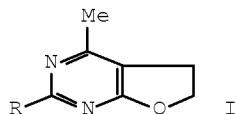
CODEN: BHFIA9; ISSN: 0304-9515

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

GI



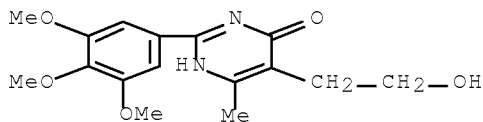
AB Europyrimidines I [R = (un)substituted Ph, pyridyl] were prepared by cyclizing 5-pyrimidineethanols either with H<sub>2</sub>SO<sub>4</sub> or by chlorination and treatment with Na<sub>2</sub>CO<sub>3</sub>. I (R = Ph, 4-ClC<sub>6</sub>H<sub>4</sub>, 4-FC<sub>6</sub>H<sub>4</sub>) had antimalarial activity at 160 mg/kg, I [R = 3,4,5-(MeO)<sub>3</sub>C<sub>6</sub>H<sub>2</sub>] at 80 mg/kg, and I (R = 4-BrC<sub>6</sub>H<sub>4</sub>) at 40 mg/kg.

IT 82019-67-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(chlorination and cyclization of)

RN 82019-67-8 HCAPLUS

CN 4(1H)-Pyrimidinone, 5-(2-hydroxyethyl)-6-methyl-2-(3,4,5-trimethoxyphenyl)-  
(9CI) (CA INDEX NAME)

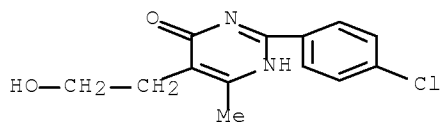


IT 82019-55-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(dehydration of)

RN 82019-55-4 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(4-chlorophenyl)-5-(2-hydroxyethyl)-6-methyl- (9CI)  
(CA INDEX NAME)



L54 ANSWER 235 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1982:423526 HCAPLUS Full-text

DOCUMENT NUMBER: 97:23526

ORIGINAL REFERENCE NO.: 97:4113a,4116a

TITLE: Antibacterial amide compounds and pharmaceutical compositions containing them

INVENTOR(S): Mich, Thomas F.; Haskell, Theodore H.; Hutt, Marland P., Jr.

PATENT ASSIGNEE(S): Warner-Lambert Co. , USA

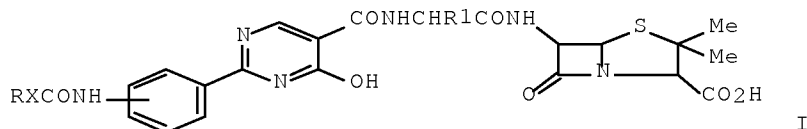
SOURCE: U.S., 8 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4315933	A	19820216	US 1980-190154	19800924 <--
PRIORITY APPLN. INFO.:			US 1980-190154	19800924 <--
OTHER SOURCE(S):	CASREACT 97:23526; MARPAT 97:23526			
ED Entered STN:	12 May 1984			
GI				



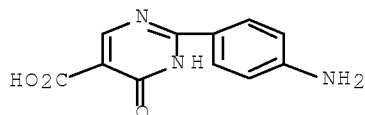
AB Penicillins I [R = alkyl, Cl<sub>2</sub>CH, PhCH<sub>2</sub>, F<sub>3</sub>C, alkylamino, alkylcarbonyl, alkoxy, carbonyl, PhCH<sub>2</sub>O, alkoxy, cyano, tetrazolyl, F<sub>3</sub>CCH<sub>2</sub>S, NCCH<sub>2</sub>S; R<sub>1</sub> = Ph, 4-HOC<sub>6</sub>H<sub>4</sub>, 2-thienyl, cyclohexadienyl; X = bond, CH<sub>2</sub>] were prepared Thus, treating 2-[4-(dichloroacetamido)phenyl]-4-hydroxy-5- pyrimidinecarboxylic acid with carbonyldiimidazole in THF at 50° gave the corresponding imidazolid which condensed with amoxicillin in AcNMe<sub>2</sub> containing Et<sub>3</sub>N to give I [RX = Cl<sub>2</sub>CH (4-substituted), R<sub>1</sub> = 4-HOC<sub>6</sub>H<sub>4</sub>] which had a min. inhibitory concentration 0.8 µg/mL against Pseudomonas aeruginosa.

IT 60218-18-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (acylation reactions of)

RN 60218-18-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(4-aminophenyl)-1,4-dihydro-4-oxo- (9CI)  
 (CA INDEX NAME)



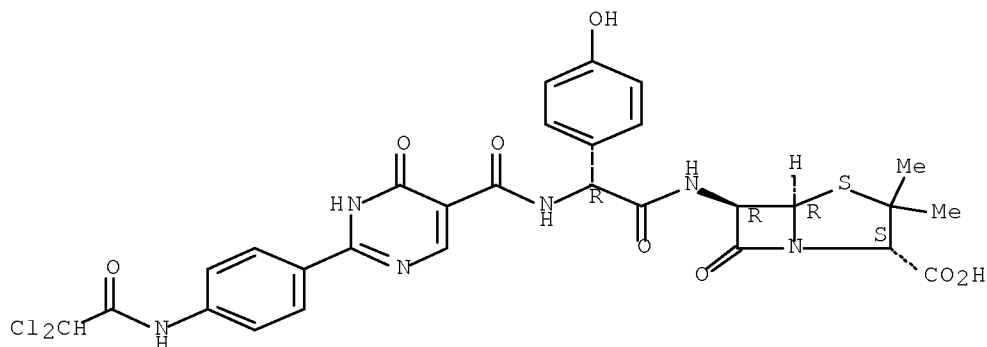
IT 82118-92-1P 82118-93-2F 82118-94-3P  
 82118-95-4P 82118-96-5F 82118-97-6P  
 82118-98-7P 82118-99-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and bactericidal activity of)

RN 82118-92-1 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[2-[4-[(dichloroacetyl)amino]phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2α,5α,6β(S\*)]]- (9CI) (CA INDEX NAME)

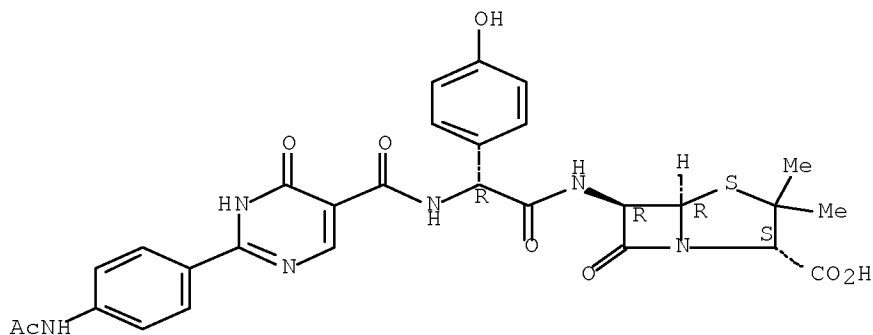
Absolute stereochemistry.



RN 82118-93-2 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[2-[4-(acetylamino)phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2α,5α,6β(S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

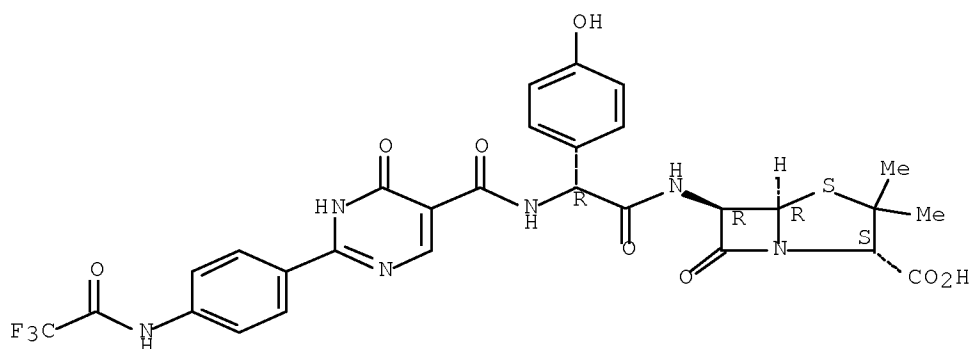


RN 82118-94-3 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[1,4-dihydro-4-oxo-2-[4-[(trifluoroacetyl)amino]phenyl]-5-pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2α,5α,6β(S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

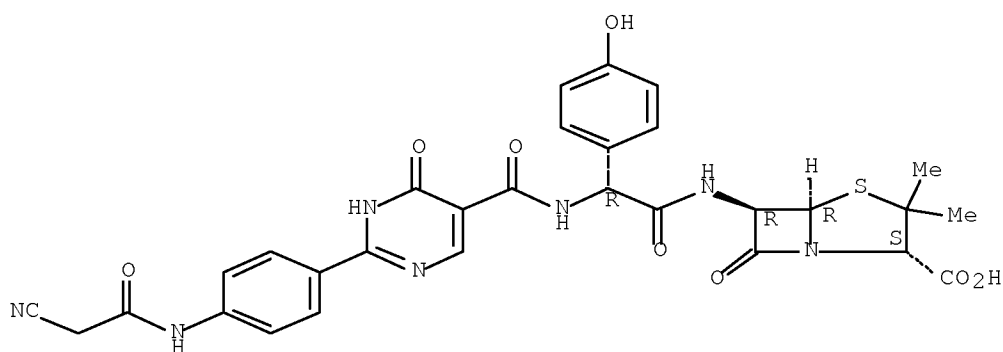




RN 82118-95-4 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[2-[4-[(cyanoacetyl)amino]phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2α,5α,6β(S\*)]]- (9CI) (CA INDEX NAME)

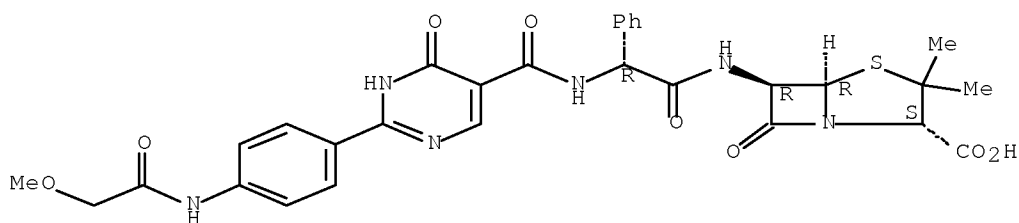
Absolute stereochemistry.



RN 82118-96-5 HCAPLUS

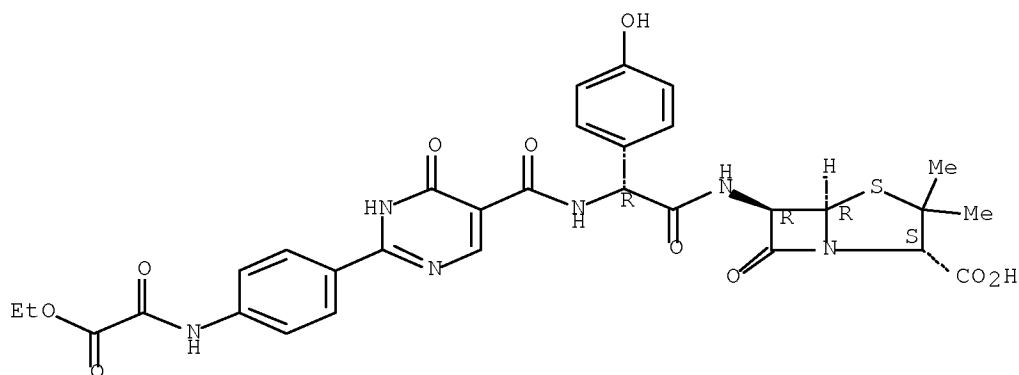
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[1,4-dihydro-2-[4-[(methoxyacetyl)amino]phenyl]-4-oxo-5-pyrimidinyl]carbonyl]amino]phenyl acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2α,5α,6β(S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



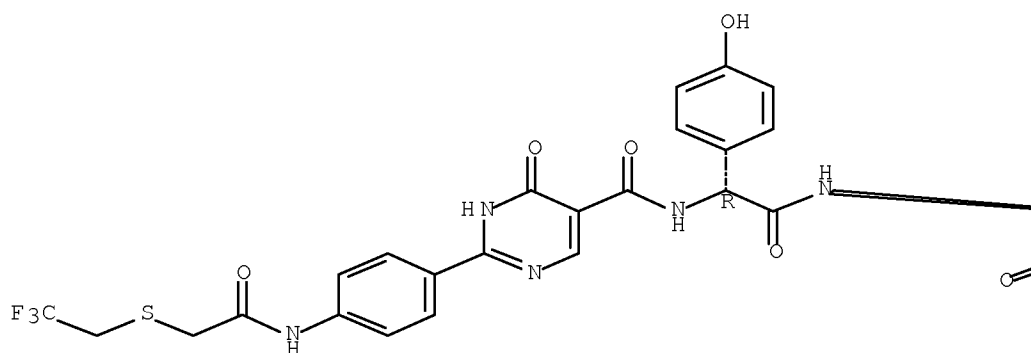
RN 82118-97-6 HCAPLUS  
 CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[2-[4-  
 [(ethoxyoxoacetyl)amino]phenyl]-1,4-dihydro-4-oxo-5-  
 pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-  
 oxo-, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

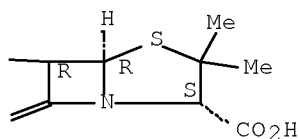


RN 82118-98-7 HCAPLUS  
 CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[1,4-dihydro-4-  
 oxo-2-[4-[[[(2,2,2-trifluoroethyl)thio]acetyl]amino]phenyl]-5-  
 pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-  
 oxo-, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



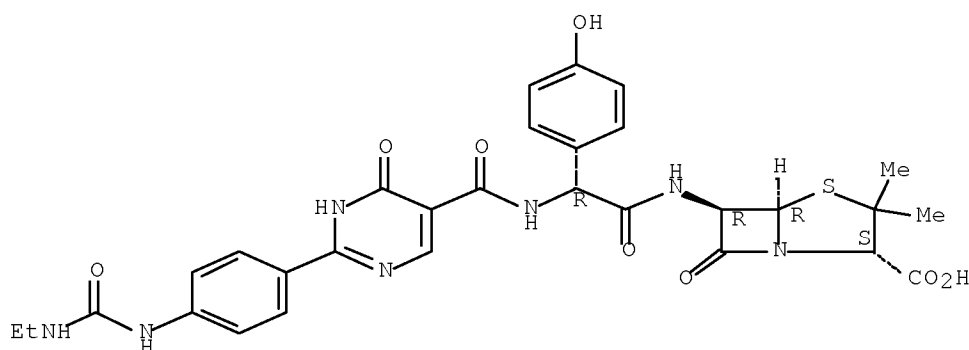
PAGE 1-A



RN 82118-99-8 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[2-[4-  
[(ethylamino)carbonyl]amino]phenyl]-1,4-dihydro-4-oxo-5-  
pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-  
oxo-, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

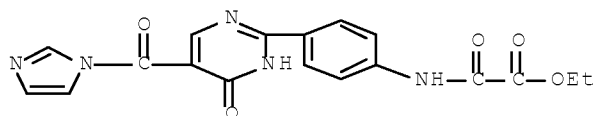


IT 82119-45-7P 82119-47-9P 82119-49-1P  
82119-50-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and condensation of, with amoxicillin)

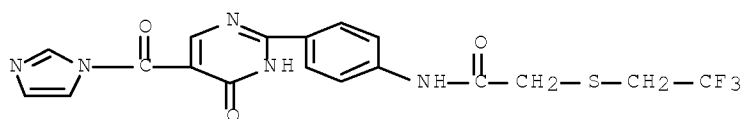
RN 82119-45-7 HCAPLUS

CN Acetic acid, [[4-[1,4-dihydro-5-(1H-imidazol-1-ylcarbonyl)-4-oxo-2-  
pyrimidinyl]phenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



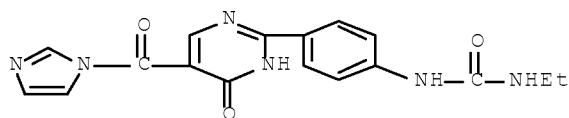
RN 82119-47-9 HCAPLUS

CN Acetamide, N-[4-[1,4-dihydro-5-(1H-imidazol-1-ylcarbonyl)-4-oxo-2-  
pyrimidinyl]phenyl]-2-[(2,2,2-trifluoroethyl)thio]- (9CI) (CA INDEX NAME)



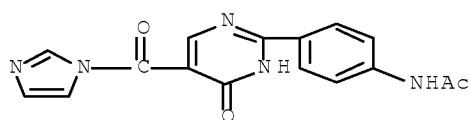
RN 82119-49-1 HCAPLUS

CN 1H-Imidazole, 1-[[2-[4-[(ethylamino)carbonyl]amino]phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 82119-50-4 HCAPLUS

CN Acetamide, N-[4-[1,4-dihydro-5-(1H-imidazol-1-ylcarbonyl)-4-oxo-2-pyrimidinyl]phenyl]- (9CI) (CA INDEX NAME)

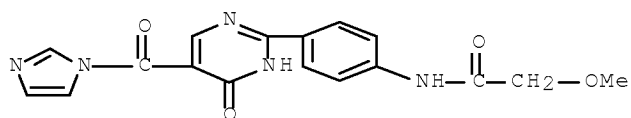


IT 82119-43-5F

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and condensation of, with ampicillin triethylamine salt)

RN 82119-43-5 HCAPLUS

CN Acetamide, N-[4-[1,4-dihydro-5-(1H-imidazol-1-ylcarbonyl)-4-oxo-2-pyrimidinyl]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)

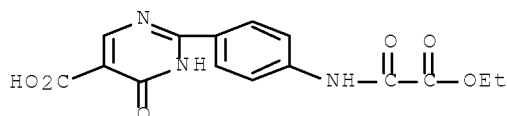


IT 82119-44-6P 82119-46-8P 82119-48-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and condensation of, with carbonyldiimidazole)

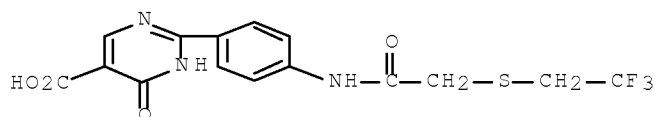
RN 82119-44-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[4-[(ethoxyoxoacetyl)amino]phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



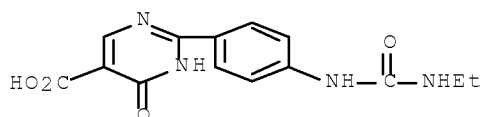
RN 82119-46-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[4-[[[(2,2,2-trifluoroethyl)thio]acetyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 82119-48-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[4-[[[(ethylamino)carbonyl]amino]phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

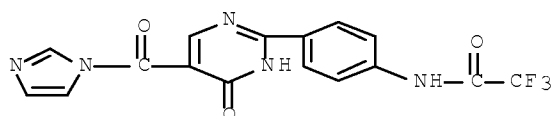


IT 82119-39-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and condensation reaction of, with amoxicillin)

RN 82119-39-9 HCAPLUS

CN Acetamide, N-[4-[1,4-dihydro-5-(1H-imidazol-1-ylcarbonyl)-4-oxo-2-pyrimidinyl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

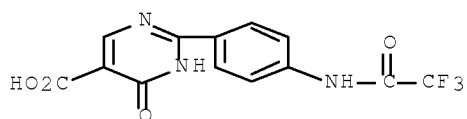


IT 82119-38-8P

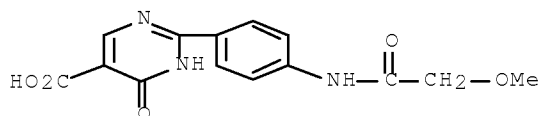
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and condensation reaction of, with carbonyl diimidazole)

RN 82119-38-8 HCAPLUS

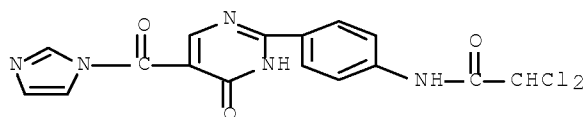
CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[4-[[[(trifluoroacetyl)amino]phenyl]- (9CI) (CA INDEX NAME)



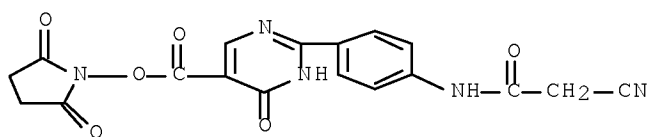
IT 82119-42-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and condensation reaction of, with carbonyldiimidazole)  
 RN 82119-42-4 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[4-[(methoxyacetyl)amino]phenyl]-4-oxo- (9CI) (CA INDEX NAME)



IT 82119-37-7P 82119-41-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and condensation reaction with amoxicillin)  
 RN 82119-37-7 HCAPLUS  
 CN Acetamide, 2,2-dichloro-N-[4-[1,4-dihydro-5-(1H-imidazol-1-ylcarbonyl)-4-oxo-2-pyrimidinyl]phenyl]- (9CI) (CA INDEX NAME)



RN 82119-41-3 HCAPLUS  
 CN Acetamide, 2-cyano-N-[4-[5-[[ (2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]-1,4-dihydro-4-oxo-2-pyrimidinyl]phenyl]- (9CI) (CA INDEX NAME)



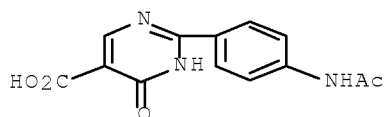
IT 60218-16-8P 82119-36-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)

Serial No.:10/595,734

(preparation and condensation reaction with carbonyldiimidazole)

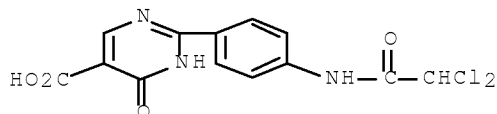
RN 60218-16-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[4-(acetylamino)phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 82119-36-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[4-[(dichloroacetyl)amino]phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

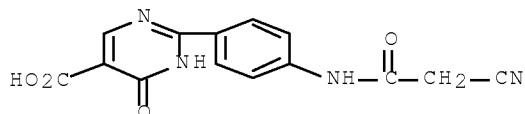


IT 82119-40-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and esterification of, with hydroxysuccinimide)

RN 82119-40-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[4-[(cyanoacetyl)amino]phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



IT 82119-00-4P 82119-01-5P 82119-02-6P

82119-03-7P 82119-04-8P 82119-05-9P

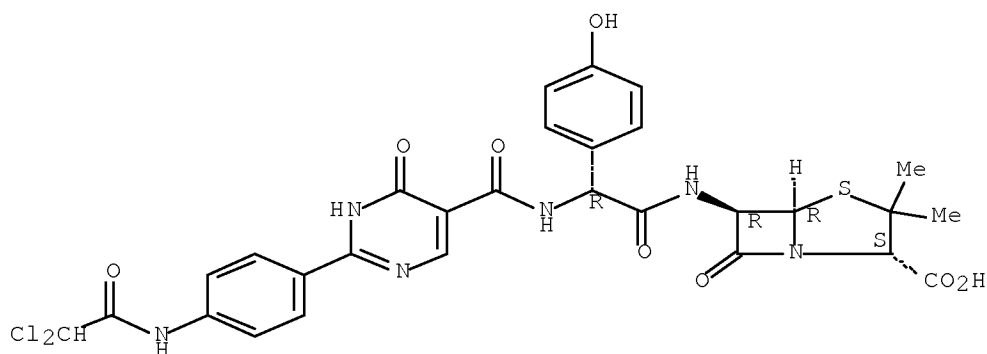
82119-06-0P 82137-05-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 82119-00-4 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[2-[4-[(dichloroacetyl)amino]phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]amino] (4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2 $\alpha$ , 5 $\alpha$ , 6 $\beta$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

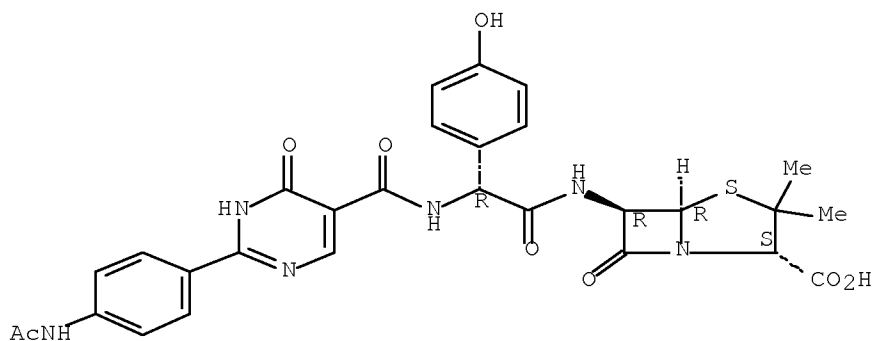


● Na

RN 82119-01-5 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[2-[4-(acetylamino)phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



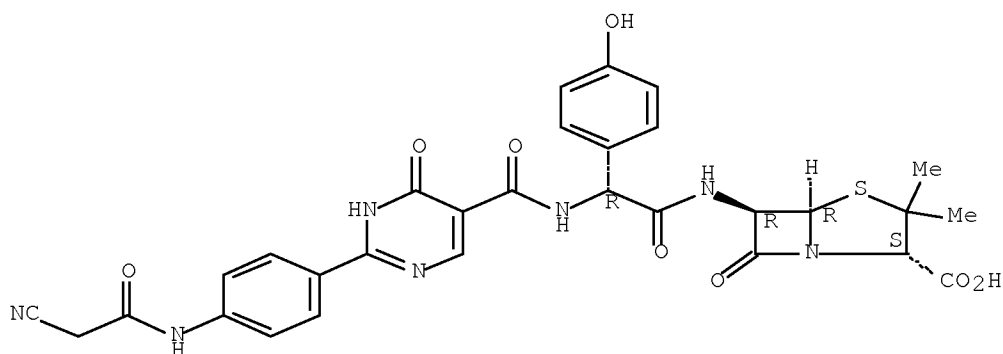
● Na

RN 82119-02-6 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[2-[4-[(cyanoacetyl)amino]phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



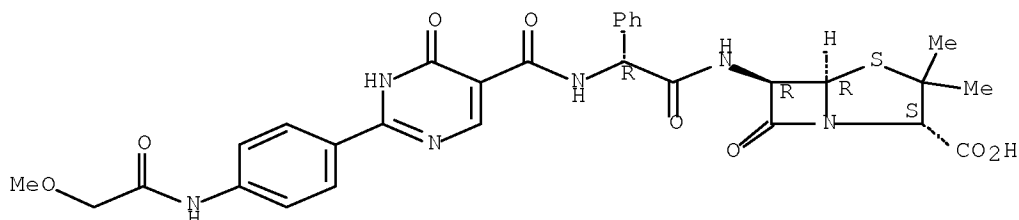


● Na

RN 82119-03-7 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[1,4-dihydro-2-[4-[(methoxyacetyl)amino]phenyl]-4-oxo-5-pyrimidinyl]carbonyl]amino]phenyl acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

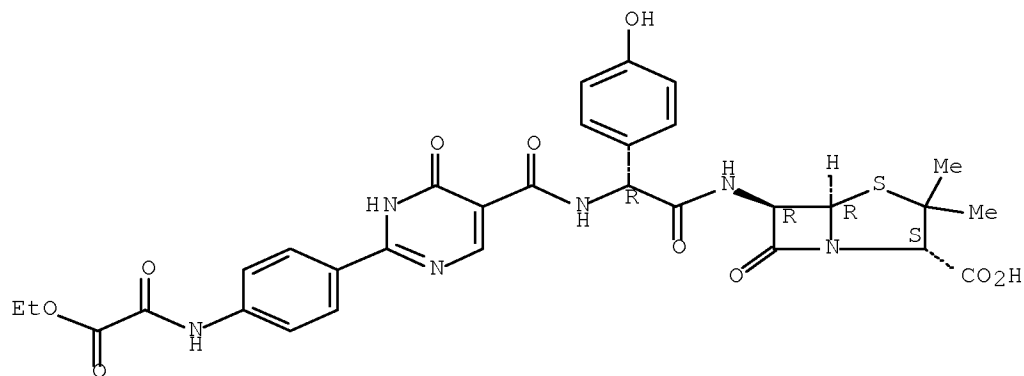


● Na

RN 82119-04-8 HCAPLUS

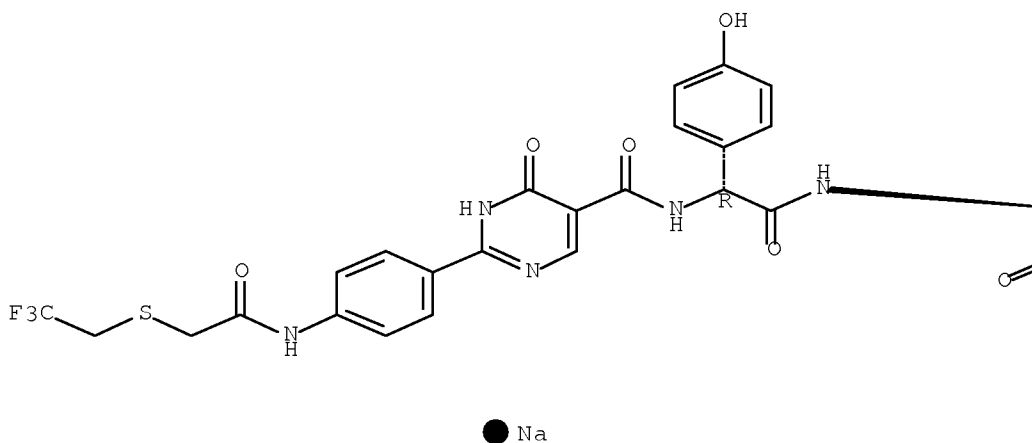
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[2-[4-[(ethoxyoxoacetyl)amino]phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]- (9CI) (CA INDEX NAME)

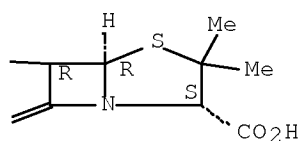
Absolute stereochemistry.



RN 82119-05-9 HCAPLUS  
 CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[1,4-dihydro-4-oxo-2-[4-[[[(2,2,2-trifluoroethyl)thio]acetyl]amino]phenyl]-5-pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

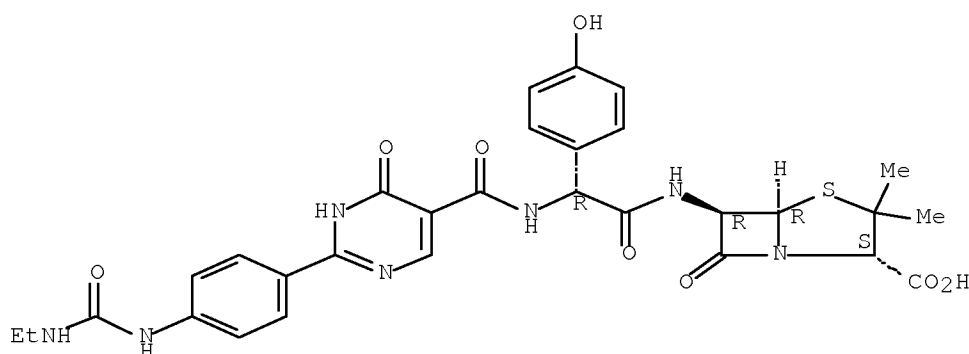




RN 82119-06-0 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[2-[4-  
[(ethylamino)carbonyl]amino]phenyl]-1,4-dihydro-4-oxo-5-  
pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-  
oxo-, monosodium salt, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.

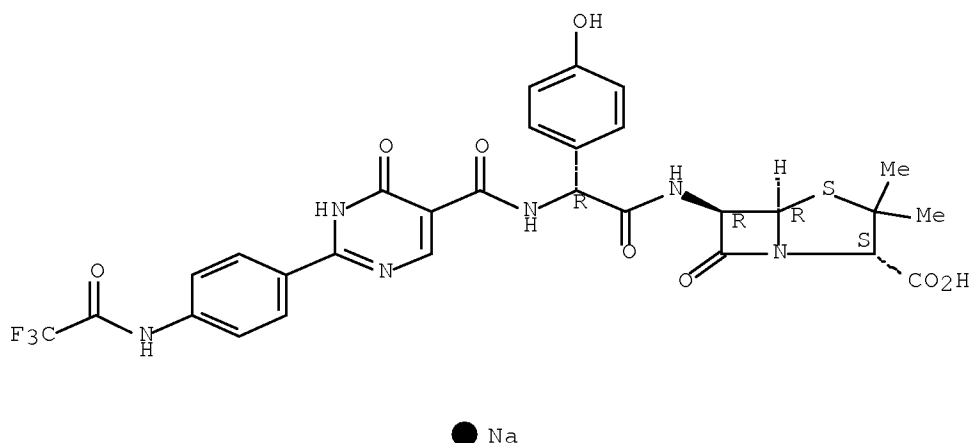


● Na

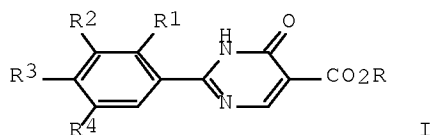
RN 82137-05-1 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[1,4-dihydro-4-  
oxo-2-[4-[(trifluoroacetyl)amino]phenyl]-5-pyrimidinyl]carbonyl]amino](4-  
hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt,  
[2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ (S\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L54 ANSWER 236 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1982:416666 HCAPLUS Full-text  
 DOCUMENT NUMBER: 97:16666  
 ORIGINAL REFERENCE NO.: 97:2793a,2796a  
 TITLE: Quantitative structure-activity relationships in a series of antiallergic agents  
 AUTHOR(S): Borea, P. A.  
 CORPORATE SOURCE: Ist. Farmacol., Univ. Ferrara, Ferrara, I-44100, Italy  
 SOURCE: Arzneimittel-Forschung (1982), 32(4), 325-30  
 CODEN: ARZNAD; ISSN: 0004-4172  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 12 May 1984  
 GI



AB The antiallergic activity of 59 1,6-dihydro-6-oxo-2-phenylpyrimidine agents I (R = H or Et; R1 = H, F, Cl, NH2, OMe, OEt, etc.; R2 = H, CF3, OMe, or OEt; R3 = H, Cl, or OMe; R4 = H, OMe, Cl, CO2H, NO2, NH2, SO2NH2, etc.) were quant. analyzed in terms of the Free-Wilson and Hansch approaches. The results of such analyses show that activities, in this series of compds., depend in a parabolic fashion on the overall lipophilicity of the substituents and mainly on the capability of the mols. to form an intramol. hydrogen bond.

IT 33643-94-6D, derivs. 56406-26-9 56406-29-2  
 56406-32-7 63874-50-0 63874-51-1  
 63874-52-2 63874-54-4 63874-55-5  
 63874-56-6 63874-57-7 63874-58-8  
 63874-59-9 63874-60-2 63874-61-3  
 63874-62-4 63874-63-5 63874-64-6  
 63874-65-7 63874-66-8 63874-67-9

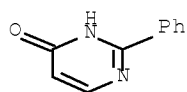
63874-68-0 63874-69-1 63874-70-4  
 63874-71-5 63874-72-6 63874-73-7  
 63874-74-8 63874-75-9 63874-76-0  
 63874-80-6 64633-78-9 64633-79-0  
 64633-80-3 64633-81-4 64633-83-6  
 69359-64-4 69359-65-5 69359-67-7  
 69359-69-9 69359-70-2 69359-71-3  
 69359-72-4 69359-74-6 69359-75-7  
 69359-76-8 69359-77-9 69359-81-5  
 69359-83-7 69359-84-8 69359-85-9  
 69359-90-6 69359-91-7 69359-92-8  
 69359-93-9 69359-97-3 69359-98-4  
 69359-99-5 69390-91-6 82223-78-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antiallergic activity of, structure in relation to)

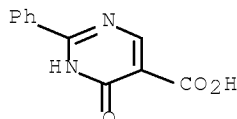
RN 33643-94-6 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-phenyl- (CA INDEX NAME)



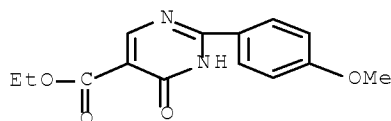
RN 56406-26-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-phenyl- (9CI) (CA INDEX NAME)



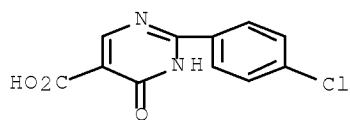
RN 56406-29-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(4-methoxyphenyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



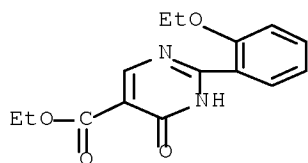
RN 56406-32-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(4-chlorophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



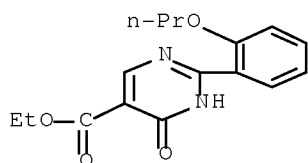
RN 63874-50-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



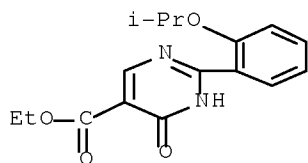
RN 63874-51-1 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-(2-propoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



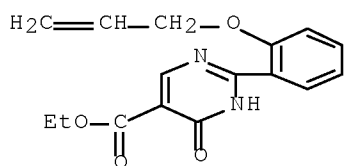
RN 63874-52-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(1-methylethoxy)phenyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



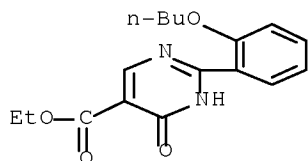
RN 63874-54-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[2-(2-propenyloxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



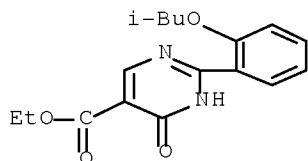
RN 63874-55-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-butoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



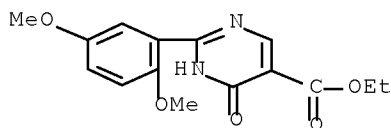
RN 63874-56-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(2-methylpropoxy)phenyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



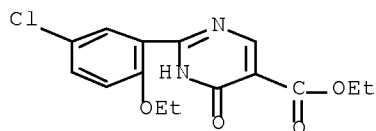
RN 63874-57-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2,5-dimethoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



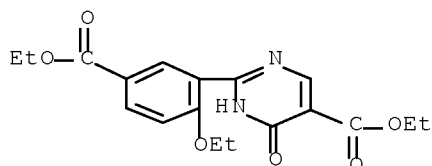
RN 63874-58-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(5-chloro-2-ethoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



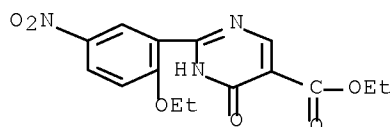
RN 63874-59-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[2-ethoxy-5-(ethoxycarbonyl)phenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



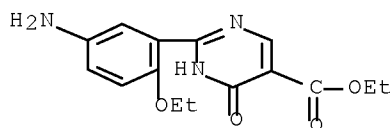
RN 63874-60-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxy-5-nitrophenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 63874-61-3 HCAPLUS

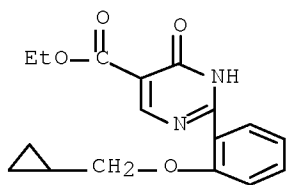
CN 5-Pyrimidinecarboxylic acid, 2-(5-amino-2-ethoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 63874-62-4 HCAPLUS

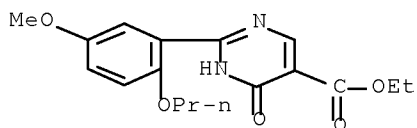
CN 5-Pyrimidinecarboxylic acid, 2-[2-(cyclopropylmethoxy)phenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)





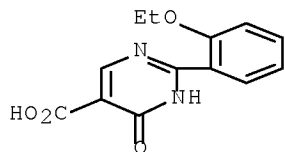
RN 63874-63-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(5-methoxy-2-propoxyphenyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



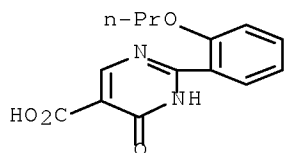
RN 63874-64-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



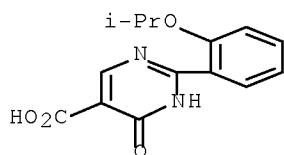
RN 63874-65-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)



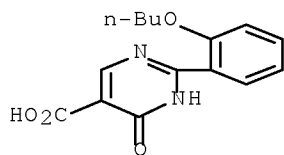
RN 63874-66-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(1-methylethoxy)phenyl]-4-oxo- (9CI) (CA INDEX NAME)



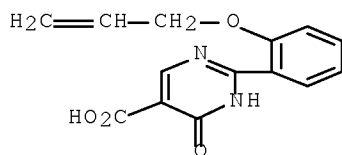
RN 63874-67-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-butoxyphenyl)-1,4-dihydro-4-oxo- (9CI)  
(CA INDEX NAME)



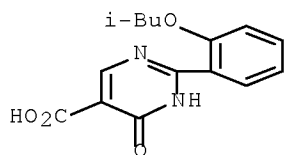
RN 63874-68-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[2-(2-propenyloxy)phenyl]-  
(9CI) (CA INDEX NAME)



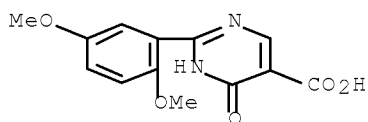
RN 63874-69-1 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(2-methylpropoxy)phenyl]-4-  
oxo- (9CI) (CA INDEX NAME)



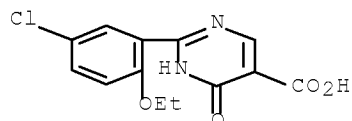
RN 63874-70-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2,5-dimethoxyphenyl)-1,4-dihydro-4-oxo-  
(9CI) (CA INDEX NAME)



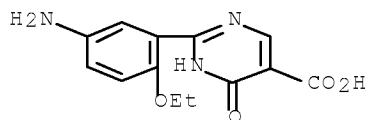
RN 63874-71-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(5-chloro-2-ethoxyphenyl)-1,4-dihydro-4-oxo-  
(9CI) (CA INDEX NAME)



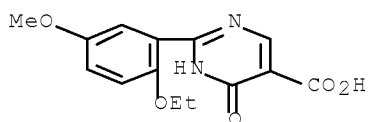
RN 63874-72-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(5-amino-2-ethoxyphenyl)-1,4-dihydro-4-oxo-  
(9CI) (CA INDEX NAME)



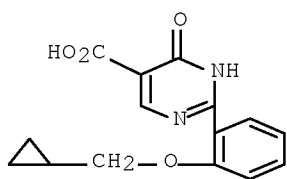
RN 63874-73-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxy-5-methoxyphenyl)-1,4-dihydro-4-oxo-  
(9CI) (CA INDEX NAME)



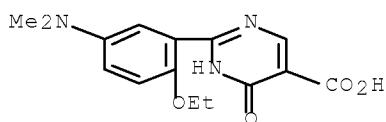
RN 63874-74-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[2-(cyclopropylmethoxy)phenyl]-1,4-dihydro-4-oxo-  
(9CI) (CA INDEX NAME)



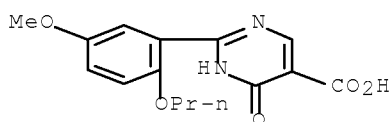
RN 63874-75-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[5-(dimethylamino)-2-ethoxyphenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



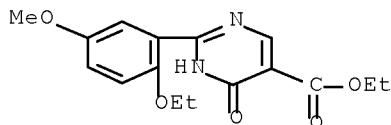
RN 63874-76-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(5-methoxy-2-propoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



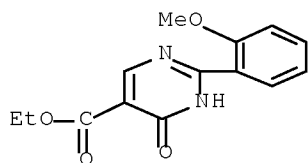
RN 63874-80-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxy-5-methoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



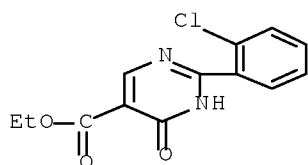
RN 64633-78-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(2-methoxyphenyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



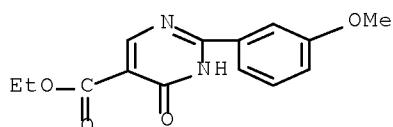
RN 64633-79-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-chlorophenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



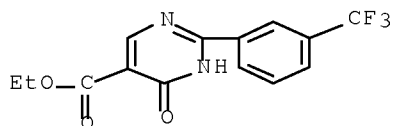
RN 64633-80-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(3-methoxyphenyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



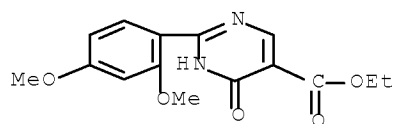
RN 64633-81-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[3-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



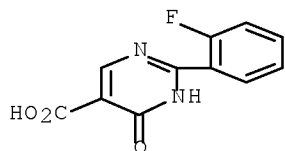
RN 64633-83-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2,4-dimethoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



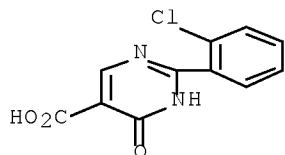
RN 69359-64-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-fluorophenyl)-1,4-dihydro-4-oxo- (9CI)  
(CA INDEX NAME)



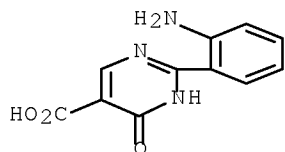
RN 69359-65-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-chlorophenyl)-1,4-dihydro-4-oxo- (9CI)  
(CA INDEX NAME)



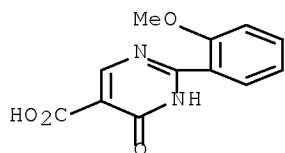
RN 69359-67-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-aminophenyl)-1,4-dihydro-4-oxo- (9CI)  
(CA INDEX NAME)



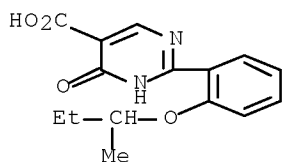
RN 69359-69-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(2-methoxyphenyl)-4-oxo- (9CI)  
(CA INDEX NAME)



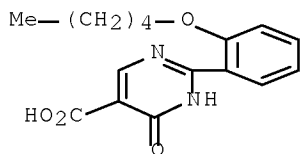
RN 69359-70-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(1-methylpropoxy)phenyl]-4-oxo- (9CI) (CA INDEX NAME)



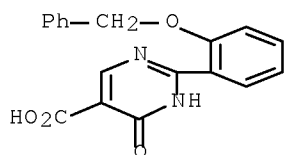
RN 69359-71-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[2-(pentyloxy)phenyl]- (9CI) (CA INDEX NAME)



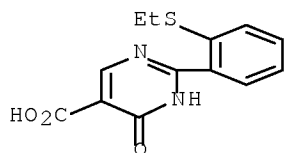
RN 69359-72-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[2-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



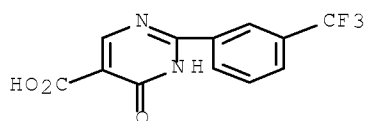
RN 69359-74-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[2-(ethylthio)phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



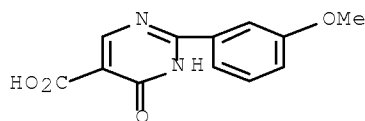
RN 69359-75-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



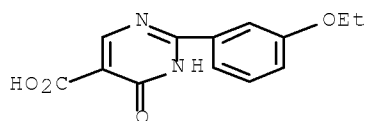
RN 69359-76-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(3-methoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



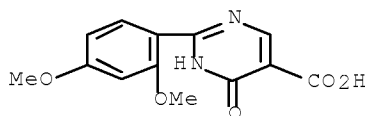
RN 69359-77-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-ethoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 69359-81-5 HCAPLUS

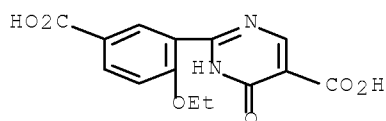
CN 5-Pyrimidinecarboxylic acid, 2-(2,4-dimethoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)





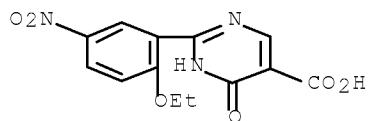
RN 69359-83-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(5-carboxy-2-ethoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



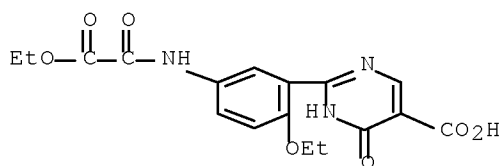
RN 69359-84-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxy-5-nitrophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



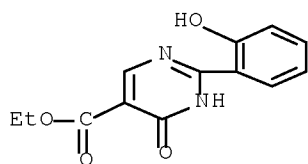
RN 69359-85-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[2-ethoxy-5-[(ethoxyoxoacetyl)amino]phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



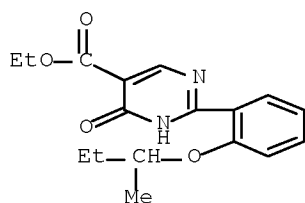
RN 69359-90-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(2-hydroxyphenyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



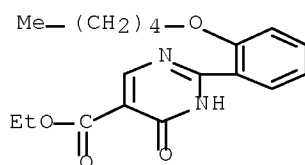
RN 69359-91-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(1-methylpropoxy)phenyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



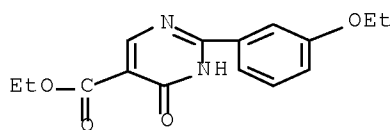
RN 69359-92-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[2-(pentyloxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



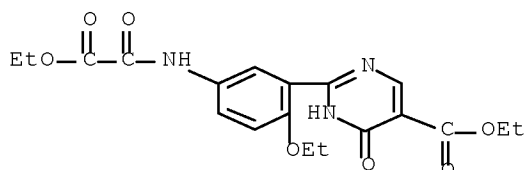
RN 69359-93-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-ethoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



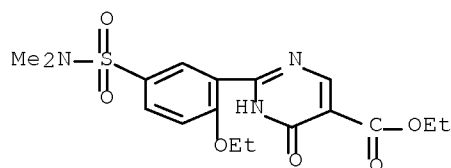
RN 69359-97-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[2-ethoxy-5-[(ethoxyoxoacetyl)amino]phenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



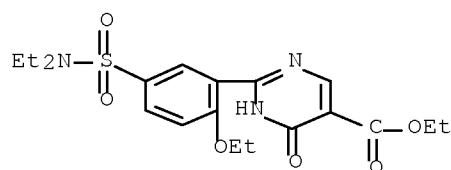
RN 69359-98-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[5-[(dimethylamino)sulfonyl]-2-ethoxyphenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



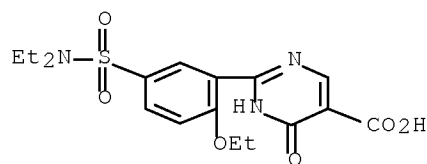
RN 69359-99-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[5-[(diethylamino)sulfonyl]-2-ethoxyphenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



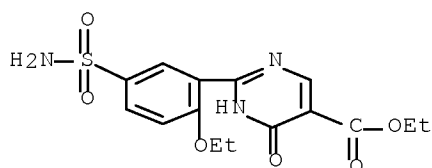
RN 69390-91-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[5-[(diethylamino)sulfonyl]-2-ethoxyphenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

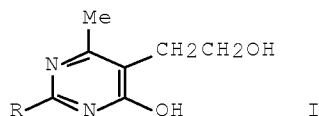


RN 82223-78-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[5-(aminosulfonyl)-2-ethoxyphenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L54 ANSWER 237 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1982:406248 HCAPLUS Full-text  
 DOCUMENT NUMBER: 97:6248  
 ORIGINAL REFERENCE NO.: 97:1211a,1214a  
 TITLE: Synthesis and study of 2-substituted-4-methyl-5-( $\beta$ -hydroxyethyl)-6-hydroxypyrimidines as possible antimalarial agents. II  
 AUTHOR(S): Sanghavi, D. S.; Chaudhari, D. T.; Gudadhe, P. P.  
 CORPORATE SOURCE: Dep. Chemother., Haffkine Inst., Bombay, 400 012, India  
 SOURCE: Bulletin of Haffkine Institute (1981), 9(1), 20-3  
 CODEN: BHFIA9; ISSN: 0304-9515  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 12 May 1984  
 GI



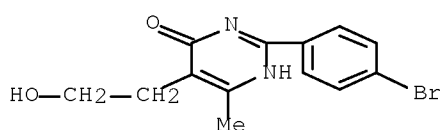
AB Pyrimidinols I [R = substituted Ph, (un)substituted PhOCH<sub>2</sub>, pyridyl] were prepared by treating H<sub>2</sub>NCR:NH.HCl with  $\alpha$ -acetyl- $\gamma$ -butyrolactone. I (R = 4-ClC<sub>6</sub>H<sub>4</sub>, 4-BrC<sub>6</sub>H<sub>4</sub>, 4-FC<sub>6</sub>H<sub>4</sub>) had antimalarial activity at 160 mg/kg.  
 IT 82019-95-2P 82019-96-3P 82019-97-4P  
 82019-98-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and antimalarial activity of)  
 RN 82019-95-2 HCAPLUS  
 CN 4(1H)-Pyrimidinone, 2-(4-chlorophenyl)-5-(2-hydroxyethyl)-6-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 82019-96-3 HCAPLUS

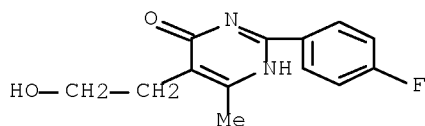
CN 4(1H)-Pyrimidinone, 2-(4-bromophenyl)-5-(2-hydroxyethyl)-6-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 82019-97-4 HCAPLUS

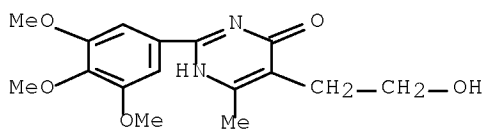
CN 4(1H)-Pyrimidinone, 2-(4-fluorophenyl)-5-(2-hydroxyethyl)-6-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 82019-98-5 HCAPLUS

CN 4(1H)-Pyrimidinone, 5-(2-hydroxyethyl)-6-methyl-2-(3,4,5-trimethoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



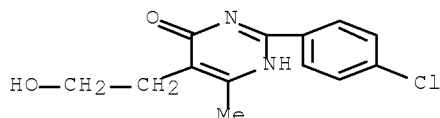
● HCl

IT 82019-55-4P 82019-56-5P 82019-57-6P  
 82019-58-7P 82019-59-8P 82019-60-1P  
 82019-61-2P 82019-62-3P 82019-63-4P  
 82019-64-5P 82019-65-6P 82019-66-7P  
 82019-67-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

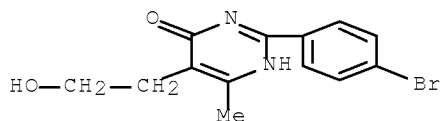
RN 82019-55-4 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(4-chlorophenyl)-5-(2-hydroxyethyl)-6-methyl- (9CI)  
 (CA INDEX NAME)



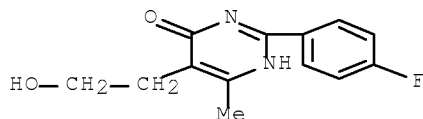
RN 82019-56-5 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(4-bromophenyl)-5-(2-hydroxyethyl)-6-methyl- (9CI)  
 (CA INDEX NAME)



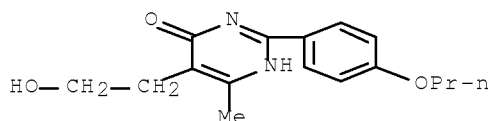
RN 82019-57-6 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(4-fluorophenyl)-5-(2-hydroxyethyl)-6-methyl- (9CI)  
 (CA INDEX NAME)



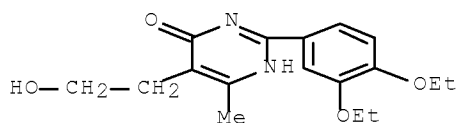
RN 82019-58-7 HCAPLUS

CN 4(1H)-Pyrimidinone, 5-(2-hydroxyethyl)-6-methyl-2-(4-propoxyphenyl)- (9CI)  
 (CA INDEX NAME)



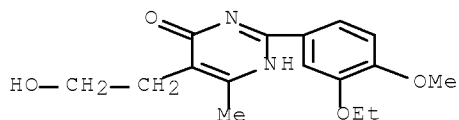
RN 82019-59-8 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(3,4-diethoxyphenyl)-5-(2-hydroxyethyl)-6-methyl-  
(9CI) (CA INDEX NAME)



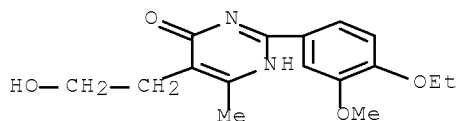
RN 82019-60-1 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(3-ethoxy-4-methoxyphenyl)-5-(2-hydroxyethyl)-6-methyl- (9CI) (CA INDEX NAME)



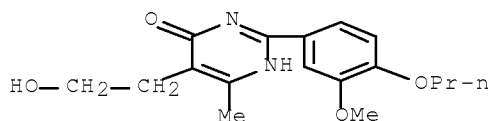
RN 82019-61-2 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(4-ethoxy-3-methoxyphenyl)-5-(2-hydroxyethyl)-6-methyl- (9CI) (CA INDEX NAME)



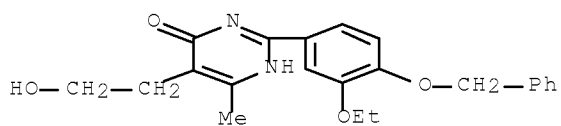
RN 82019-62-3 HCAPLUS

CN 4(1H)-Pyrimidinone, 5-(2-hydroxyethyl)-2-(3-methoxy-4-propoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)



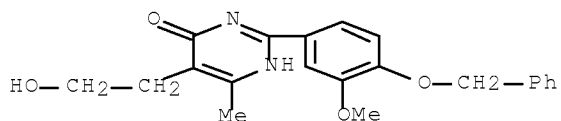
RN 82019-63-4 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-[3-ethoxy-4-(phenylmethoxy)phenyl]-5-(2-hydroxyethyl)-6-methyl- (9CI) (CA INDEX NAME)



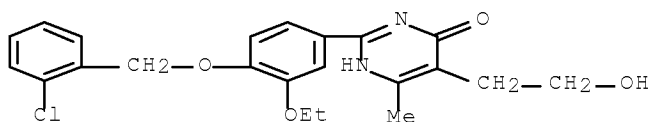
RN 82019-64-5 HCAPLUS

CN 4(1H)-Pyrimidinone, 5-(2-hydroxyethyl)-2-[3-methoxy-4-(phenylmethoxy)phenyl]-6-methyl- (9CI) (CA INDEX NAME)



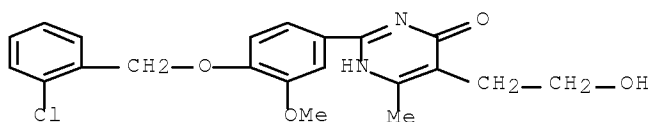
RN 82019-65-6 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-[4-[(2-chlorophenyl)methoxy]-3-ethoxyphenyl]-5-(2-hydroxyethyl)-6-methyl- (9CI) (CA INDEX NAME)



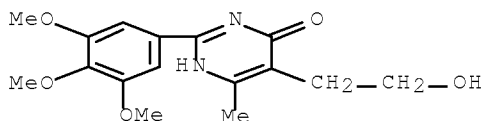
RN 82019-66-7 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-[4-[(2-chlorophenyl)methoxy]-3-methoxyphenyl]-5-(2-hydroxyethyl)-6-methyl- (9CI) (CA INDEX NAME)



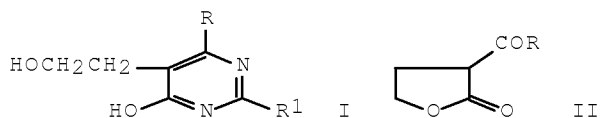
RN 82019-67-8 HCAPLUS

CN 4(1H)-Pyrimidinone, 5-(2-hydroxyethyl)-6-methyl-2-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

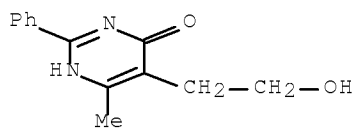




L54 ANSWER 238 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1982:122748 HCAPLUS Full-text  
 DOCUMENT NUMBER: 96:122748  
 ORIGINAL REFERENCE NO.: 96:20157a,20160a  
 TITLE: Synthesis of some substituted pyrimidines as possible anticancer agents  
 AUTHOR(S): Ganu, U. K.; Ambaye, R. Y.; Bhat, M. L.; Panse, T. B.  
 CORPORATE SOURCE: Cancer Res. Inst., Tata Mem. Cent., Bombay, 400 012, India  
 SOURCE: Indian Journal of Cancer (1981), 18(3), 176-80  
 CODEN: IJCAAR; ISSN: 0019-509X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 12 May 1984  
 GI



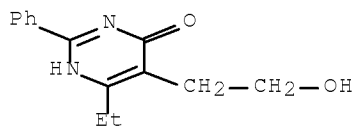
AB Pyrimidines I (R = C1-10 alkyl; R<sub>1</sub> = Ph, Me) were prepared by treating acylbutyrolactones II with HN:CR<sub>1</sub>NH<sub>2</sub>.HCl. I had poor anticancer activity and II were generally inactive.  
 IT 81172-11-4  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (antitumor activity of)  
 RN 81172-11-4 HCAPLUS  
 CN 4(1H)-Pyrimidinone, 5-(2-hydroxyethyl)-6-methyl-2-phenyl- (9CI) (CA INDEX NAME)



IT 81171-97-3P 81171-99-5P 81172-00-1P  
 81172-01-2P 81172-03-4P 81172-04-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and antitumor activity of)  
 RN 81171-97-3 HCAPLUS

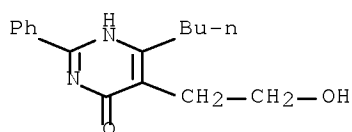
Serial No.:10/595,734

CN 4(1H)-Pyrimidinone, 6-ethyl-5-(2-hydroxyethyl)-2-phenyl- (9CI) (CA INDEX NAME)



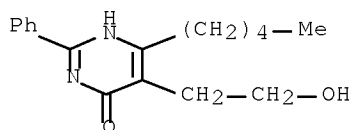
RN 81171-99-5 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-butyl-5-(2-hydroxyethyl)-2-phenyl- (9CI) (CA INDEX NAME)



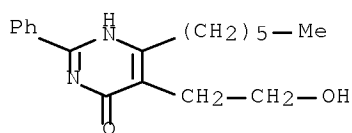
RN 81172-00-1 HCAPLUS

CN 4(1H)-Pyrimidinone, 5-(2-hydroxyethyl)-6-pentyl-2-phenyl- (9CI) (CA INDEX NAME)



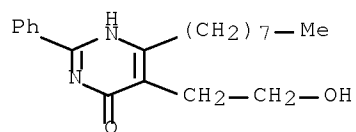
RN 81172-01-2 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-hexyl-5-(2-hydroxyethyl)-2-phenyl- (9CI) (CA INDEX NAME)



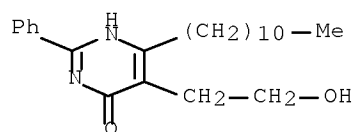
RN 81172-03-4 HCAPLUS

CN 4(1H)-Pyrimidinone, 5-(2-hydroxyethyl)-6-octyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 81172-04-5 HCAPLUS

CN 4(1H)-Pyrimidinone, 5-(2-hydroxyethyl)-2-phenyl-6-undecyl- (9CI) (CA INDEX NAME)

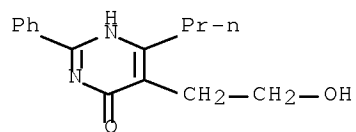


IT 81171-98-4P 81172-02-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

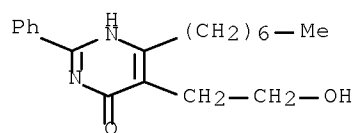
RN 81171-98-4 HCAPLUS

CN 4(1H)-Pyrimidinone, 5-(2-hydroxyethyl)-2-phenyl-6-propyl- (9CI) (CA INDEX NAME)



RN 81172-02-3 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-heptyl-5-(2-hydroxyethyl)-2-phenyl- (9CI) (CA INDEX NAME)

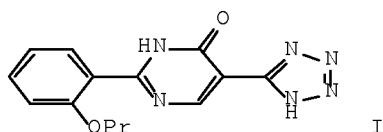


L54 ANSWER 239 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1982:79596 HCAPLUS Full-text

DOCUMENT NUMBER: 96:79596

ORIGINAL REFERENCE NO.: 96:12953a,12956a  
 TITLE: BL-5255. I. Activity in animal models of immediate hypersensitivity reactions  
 AUTHOR(S): Siminoff, Paul; Reed, Frederick C., III; Schurig, John E.  
 CORPORATE SOURCE: Dep. Immunol., Bristol-Myers Co., Syracuse, NY, USA  
 SOURCE: International Archives of Allergy and Applied Immunology (1982), 67(2), 101-8  
 CODEN: IAAAAM; ISSN: 0020-5915  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 12 May 1984  
 GI



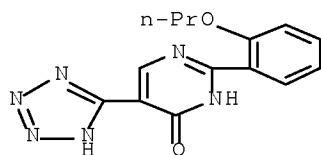
AB BL-5255 (I) [64634-09-9] exhibited potent activity in several models of antigen-induced immediate hypersensitivity reactions in rats and guinea pigs. The compound was effective whether administered by oral or parenteral routes and in passively and actively sensitized animals. It appeared to be readily absorbed when given orally. Localized skin and bronchoconstriction reactions in rats were inhibited by the compound by oral doses at 0.014 and 1 mg/kg, resp. BL-5255 was protective against both IgE- and IgG-mediated reactions in the rat and guinea pig. Its effectiveness vs. the systemic anaphylaxis reaction in the guinea pig appears to be due to BL-5255's ability to inhibit both the IgE and IgG1 components of the reaction.

IT 64634-09-9

RL: BIOL (Biological study)  
 (allergy and anaphylaxis inhibition by)

RN 64634-09-9 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(2-propoxyphenyl)-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



L54 ANSWER 240 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1981:525878 HCAPLUS Full-text

DOCUMENT NUMBER: 95:125878

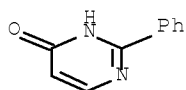
ORIGINAL REFERENCE NO.: 95:20955a,20958a

TITLE: Application of the Free-Wilson model to the analysis of the biological activities of a series of

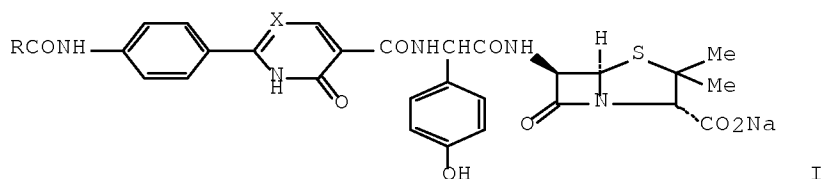
Serial No.:10/595,734

1,6-dihydro-6-oxo-2-phenylpyrimidine antiallergy agents

AUTHOR(S): Borea, P. A.  
CORPORATE SOURCE: Ist. Farmacol., Univ. Ferrara, Ferrara, Italy  
SOURCE: Bollettino - Societa Italiana di Biologia Sperimentale (1981), 57(6), 633-7  
CODEN: BSIBAC; ISSN: 0037-8771  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ED Entered STN: 12 May 1984  
AB The Free-Wilson linear model was used to analyzed the activity data of a series of 1,6-dihydro-6-oxo-2-phenylpyrimidine derivs. which are antiallergy agents. Preliminary interpretation of the Free-Wilson coeffs. in terms of linear free-energy related parameter suggested biol. activities in these series of compds. can be interpreted in terms of the resulting partition coefficient of the mol., of the sum of the Hammett consts. of substituents in positions 3, 4, 5 of the Ph ring, and of a dummy variable taking into account the possibility of an intramol. hydrogen bond.  
IT 33643-94-6D, derivs.  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(antiallergy activity of, structure in relation to)  
RN 33643-94-6 HCAPLUS  
CN 4(3H)-Pyrimidinone, 2-phenyl- (CA INDEX NAME)



L54 ANSWER 241 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1981:525876 HCAPLUS Full-text  
DOCUMENT NUMBER: 95:125876  
ORIGINAL REFERENCE NO.: 95:20951a,20954a  
TITLE: Semisynthetic penicillins. A structure-activity study of a new series of acyl amino acid-pyridone and pyrimidone amoxicillin analogs  
AUTHOR(S): Haskell, T. H.; Woo, P. W. K.; Nicolaides, E. D.; Hutt, M. P.; Huang, G. G.; Sanchez, J. P.; DeJohn, D.; Heifetz, C. L.; Krolls, U.; et al.  
CORPORATE SOURCE: Warner-Lambert/Parke-Davis Pharm. Res. Div., Ann Arbor, MI, 48105, USA  
SOURCE: Journal of Antibiotics (1981), 34(7), 862-8  
CODEN: JANTAJ; ISSN: 0021-8820  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ED Entered STN: 12 May 1984  
GI



AB The synthesis and biol. activities of a series of 12 new semisynthetic penicillins I [R = CH<sub>3</sub>CH(NHAc), Ac(NH(CH<sub>2</sub>)<sub>3</sub>, etc.; X = C or N] is described. These compds. consisted of acylated amino acid analogs of 6-substituted-1,2-dihydro-2-oxonicotinic acid and 2-substituted-3,4-dihydro-4-oxo-5-pyrimidinecarboxylic acid attached to amoxicillin [26787-78-0]. The effect of the amino acid substituent, chirality of amino acid, and acyl function on biol. properties is discussed.

IT 79033-91-3P

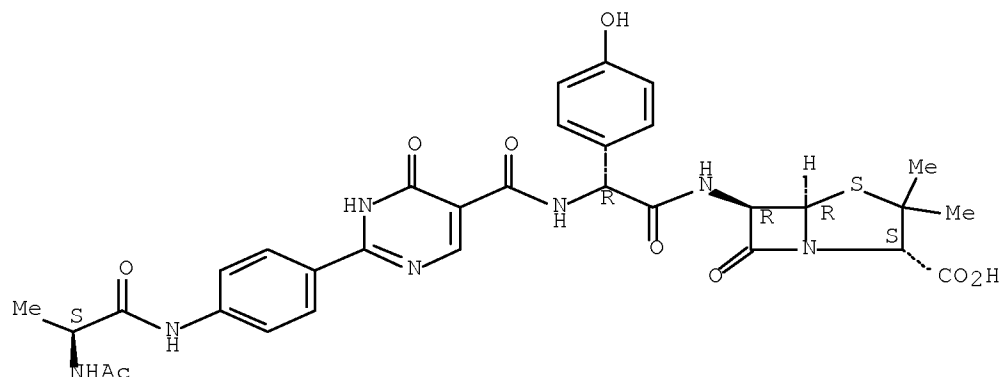
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and bactericidal activity of, structure in relation to)

RN 79033-91-3 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[2-[4-[[2-(acetylamino)-1-oxopropyl]amino]phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2 $\alpha$ ,5 $\alpha$ ,6 $\beta$ [S\*(R\*)]]]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

● Na

IT 76718-71-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

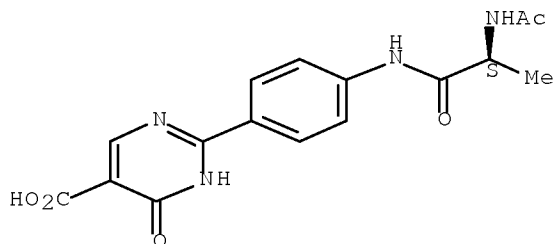
Serial No.:10/595,734

(preparation and coupling to amoxicillin)

RN 76718-71-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[4-[[2-(acetylamino)-1-oxopropyl]amino]phenyl]-1,4-dihydro-4-oxo-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



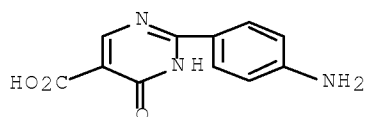
IT 60218-18-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and silylation of)

RN 60218-18-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(4-aminophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L54 ANSWER 242 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1980:87967 HCAPLUS Full-text

DOCUMENT NUMBER: 92:87967

ORIGINAL REFERENCE NO.: 92:14307a,14310a

TITLE: BL-5255, a tetrazolylpyrimidinone with potent oral antiallergy activity in animals

AUTHOR(S): Siminoff, Paul; Reed, Frederick C., III; Schurig, John E.; Juby, Peter F.

CORPORATE SOURCE: Dep. Immunol., Div. Bristol-Myers Co., Syracuse, NY, USA

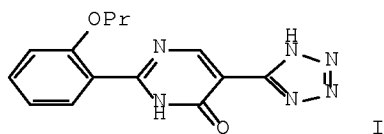
SOURCE: Monographs in Allergy (1979), Volume Date 1978, 14(New Approaches Manage. Allerg. Dis.), 318-23  
CODEN: MOALAR; ISSN: 0077-0760

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

GI

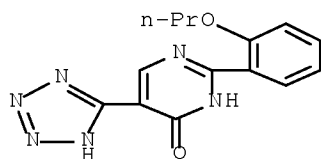


AB BL-5255 Na (I Na) [64634-21-5] and BL-5255 ethanolamine [64634-22-6], effectively inhibited allergic reactions in sensitized rats or guinea pigs when administered by oral or i.v. routes. In the IgE-mediated rat passive cutaneous anaphylaxis (PCA) I was 50 times more potent than disodium cromoglycate by i.v. administration. When administered orally in this model, I inhibited the PCA reaction by 50% at 0.1 mg/kg, orally. At  $\leq 0.1$  mg/kg orally, I protected actively sensitized guinea pigs from aerosolized antigen-induced collapse. In *Nippostrongylus brasiliensis*-sensitized rats, I administered at 0.1-10 mg/kg orally inhibited antigen-induced airway constriction in a dose-related manner. I is not a histamine or serotonin antagonist but appears to exert its antiallergic effect by inhibiting the release of mediators.

IT 64634-21-5 64634-22-6  
 RL: BIOL (Biological study)  
 (allergy treatment by)

RN 64634-21-5 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(2-propoxyphenyl)-5-(1H-tetrazol-5-yl)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

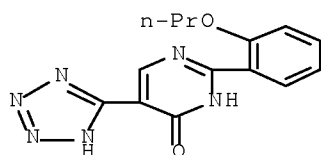
RN 64634-22-6 HCAPLUS

CN 4(1H)-Pyrimidinone, 2-(2-propoxyphenyl)-5-(1H-tetrazol-5-yl)-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 64634-09-9

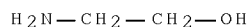
CMF C14 H14 N6 O2



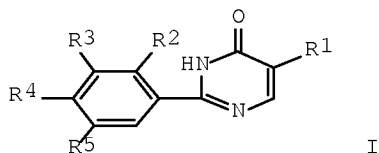


CM 2

CRN 141-43-5  
CMF C2 H7 N O



L54 ANSWER 243 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1979:114908 HCAPLUS Full-text  
DOCUMENT NUMBER: 90:114908  
ORIGINAL REFERENCE NO.: 90:18015a,18018a  
TITLE: Antiallergy agents. 1. 1,6-Dihydro-6-oxo-2-phenylpyrimidine-5-carboxylic acids and esters  
AUTHOR(S): Juby, Peter F.; Hudyma, Thomas W.; Brown, Myron; Essery, John M.; Partyka, Richard A.  
CORPORATE SOURCE: Res. Div., Bristol Lab., Syracuse, NY, USA  
SOURCE: Journal of Medicinal Chemistry (1979), 22(3), 263-9  
CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 90:114908  
ED Entered STN: 12 May 1984  
GI



AB The title compds. I (R1 = CO<sub>2</sub>H or CO<sub>2</sub>Et; R2 = H, Cl, F, NH<sub>2</sub>, OH, alkoxy, etc.; R3 = H, CF<sub>3</sub>, allyl, alkoxy, NO<sub>2</sub>; R4 = H, Cl, CF<sub>3</sub>, MeO; R5 = H, Cl, NH<sub>2</sub>, CO<sub>2</sub>H, etc.) prepared by the condensation of the appropriate benzamidine with diethyl ethoxymethlenemalonate [87-13-8] and some N- and O-methylated pyrimidinones were tested for antiallergic activity in the passive cutaneous anaphylaxis model in rat. Whereas only oral data were obtained for most compds., 2-(2-ethoxyphenyl)-1,6-dihydro-6-oxopyrimidine-5-carboxylic acid [63874-64-6] was approx. 4 times more potent than disodium cromoglycate when given i.v. Structure-activity relations are discussed.

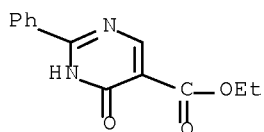
IT 55613-22-4P 56406-26-9P 56406-28-1P  
56406-29-2P 56406-32-7P 56406-33-8P

63874-50-0P 63874-51-1P 63874-52-2P  
 63874-54-4P 63874-55-5P 63874-56-6P  
 63874-57-7P 63874-58-8P 63874-59-9P  
 63874-61-3P 63874-62-4P 63874-63-5P  
 63874-64-6P 63874-65-7P 63874-66-8P  
 63874-67-9P 63874-68-0P 63874-69-1P  
 63874-70-4P 63874-71-5P 63874-72-6P  
 63874-73-7P 63874-74-8P 63874-75-9P  
 63874-76-0P 63874-80-6P 64633-78-9P  
 64633-79-0P 64633-80-3P 64633-81-4P  
 64633-82-5P 64633-83-6P 64633-84-7P  
 64633-85-8P 64633-86-9P 64633-87-0P  
 69359-64-4P 69359-65-5P 69359-66-6P  
 69359-67-7P 69359-68-8P 69359-69-9P  
 69359-70-2P 69359-71-3P 69359-72-4P  
 69359-73-5P 69359-74-6P 69359-75-7P  
 69359-76-8P 69359-77-9P 69359-78-0P  
 69359-79-1P 69359-81-5P 69359-83-7P  
 69359-84-8P 69359-85-9P 69359-87-1P  
 69359-88-2P 69359-89-3P 69359-90-6P  
 69359-91-7P 69359-92-8P 69359-93-9P  
 69359-97-3P 69359-98-4P 69359-99-5P  
 69360-00-5P 69360-01-6P 69360-08-3P  
 69360-09-4P 69360-10-7P 69390-91-6P  
 69390-92-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and antiallergic activity of)

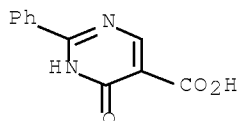
RN 55613-22-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



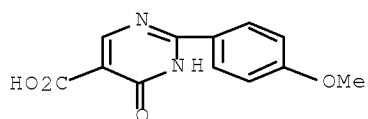
RN 56406-26-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-phenyl- (9CI) (CA INDEX NAME)



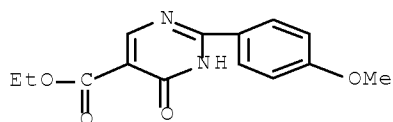
RN 56406-28-1 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(4-methoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



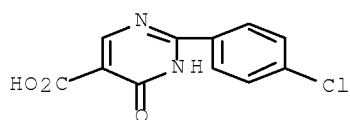
RN 56406-29-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(4-methoxyphenyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



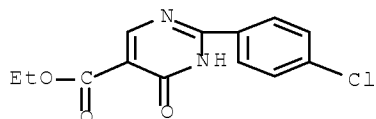
RN 56406-32-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(4-chlorophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



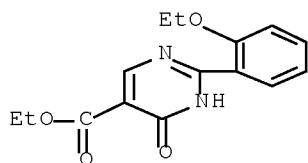
RN 56406-33-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(4-chlorophenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



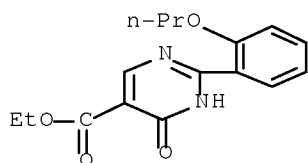
RN 63874-50-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



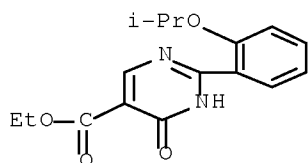
RN 63874-51-1 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-(2-propoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



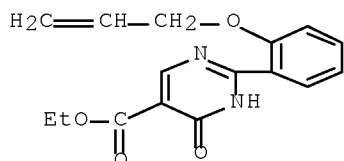
RN 63874-52-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(1-methylethoxy)phenyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



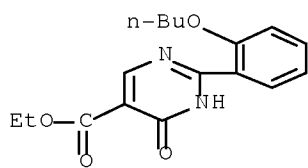
RN 63874-54-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[2-(2-propenyloxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



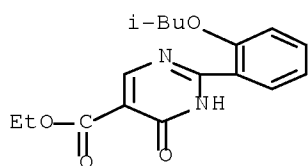
RN 63874-55-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-butoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



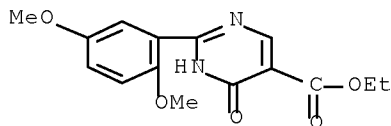
RN 63874-56-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(2-methylpropoxy)phenyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



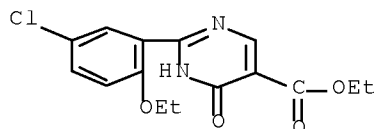
RN 63874-57-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2,5-dimethoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



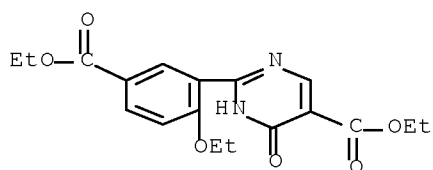
RN 63874-58-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(5-chloro-2-ethoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



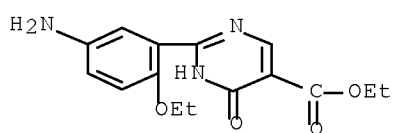
RN 63874-59-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[2-ethoxy-5-(ethoxycarbonyl)phenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



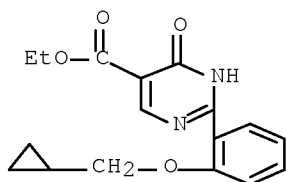
RN 63874-61-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(5-amino-2-ethoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



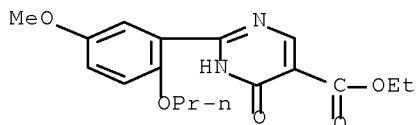
RN 63874-62-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[2-(cyclopropylmethoxy)phenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



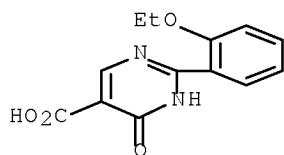
RN 63874-63-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(5-methoxy-2-propoxyphenyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

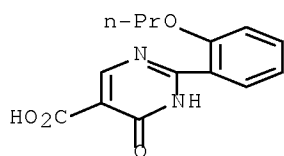


RN 63874-64-6 HCAPLUS

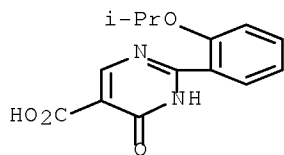
CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



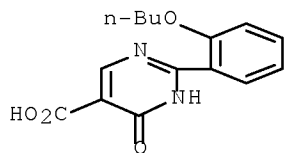
RN 63874-65-7 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-(2-propoxyphenyl)- (9CI)  
 (CA INDEX NAME)



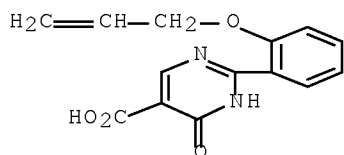
RN 63874-66-8 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(1-methylethoxy)phenyl]-4-oxo- (9CI)  
 (CA INDEX NAME)



RN 63874-67-9 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 2-(2-butoxyphenyl)-1,4-dihydro-4-oxo- (9CI)  
 (CA INDEX NAME)

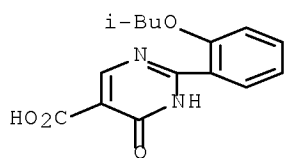


RN 63874-68-0 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[2-(2-propenyloxy)phenyl]- (9CI)  
 (CA INDEX NAME)



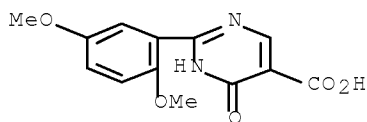
RN 63874-69-1 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(2-methylpropoxy)phenyl]-4-oxo- (9CI) (CA INDEX NAME)



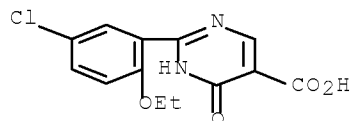
RN 63874-70-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2,5-dimethoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 63874-71-5 HCAPLUS

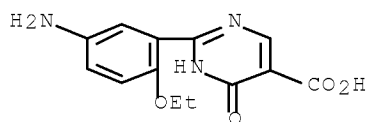
CN 5-Pyrimidinecarboxylic acid, 2-(5-chloro-2-ethoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 63874-72-6 HCAPLUS

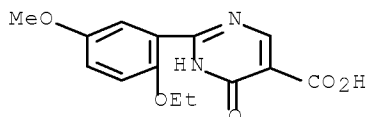
CN 5-Pyrimidinecarboxylic acid, 2-(5-amino-2-ethoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)





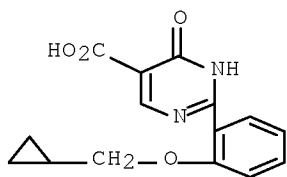
RN 63874-73-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxy-5-methoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



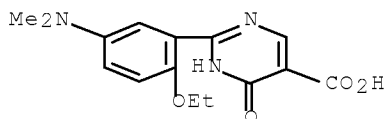
RN 63874-74-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[2-(cyclopropylmethoxy)phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



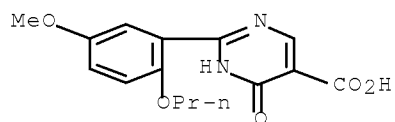
RN 63874-75-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[5-(dimethylamino)-2-ethoxyphenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



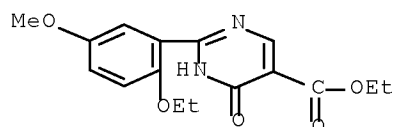
RN 63874-76-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(5-methoxy-2-propoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



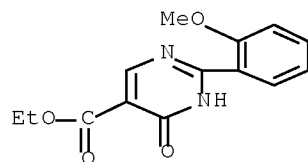
RN 63874-80-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxy-5-methoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



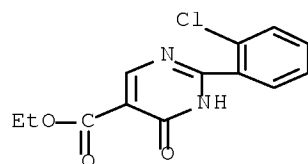
RN 64633-78-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(2-methoxyphenyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



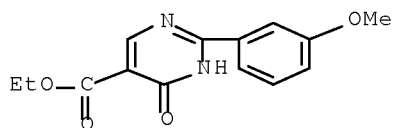
RN 64633-79-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-chlorophenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



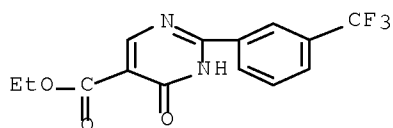
RN 64633-80-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(3-methoxyphenyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



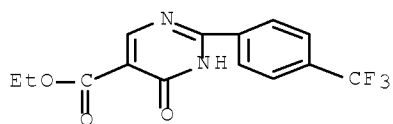
RN 64633-81-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[3-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



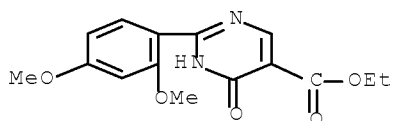
RN 64633-82-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[4-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



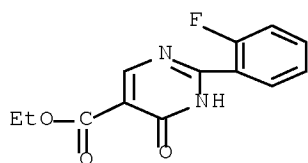
RN 64633-83-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2,4-dimethoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



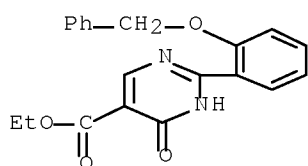
RN 64633-84-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-fluorophenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



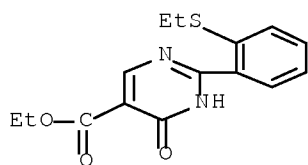
RN 64633-85-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[2-(phenylmethoxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



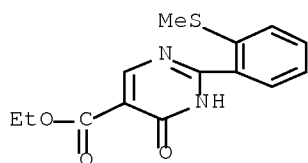
RN 64633-86-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[2-(ethylthio)phenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



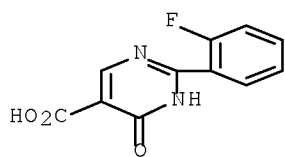
RN 64633-87-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(methylthio)phenyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

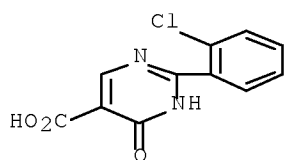


RN 69359-64-4 HCAPLUS

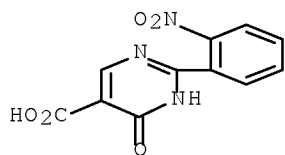
CN 5-Pyrimidinecarboxylic acid, 2-(2-fluorophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



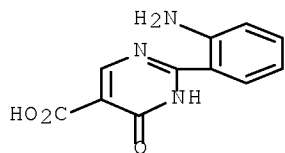
RN 69359-65-5 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 2-(2-chlorophenyl)-1,4-dihydro-4-oxo- (9CI)  
 (CA INDEX NAME)



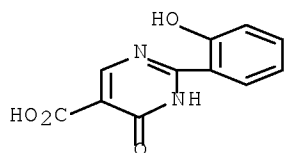
RN 69359-66-6 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(2-nitrophenyl)-4-oxo- (9CI)  
 (CA INDEX NAME)



RN 69359-67-7 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 2-(2-aminophenyl)-1,4-dihydro-4-oxo- (9CI)  
 (CA INDEX NAME)

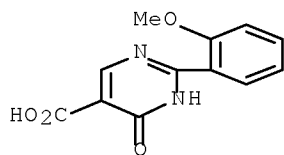


RN 69359-68-8 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(2-hydroxyphenyl)-4-oxo- (9CI)  
 (CA INDEX NAME)



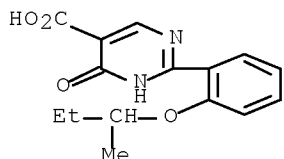
RN 69359-69-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(2-methoxyphenyl)-4-oxo- (9CI)  
(CA INDEX NAME)



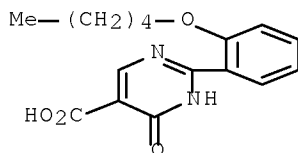
RN 69359-70-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(1-methylpropoxy)phenyl]-4-oxo- (9CI)  
(CA INDEX NAME)



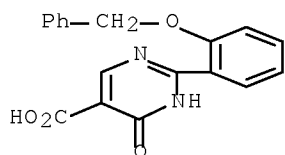
RN 69359-71-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[2-(pentyloxy)phenyl]- (9CI)  
(CA INDEX NAME)



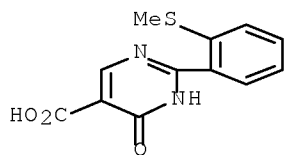
RN 69359-72-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[2-(phenylmethoxy)phenyl]- (9CI)  
(CA INDEX NAME)



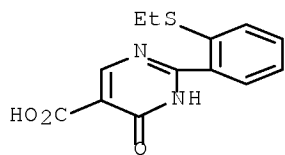
RN 69359-73-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(methylthio)phenyl]-4-oxo- (9CI) (CA INDEX NAME)



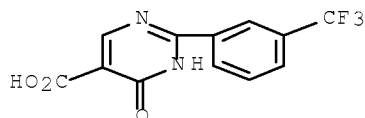
RN 69359-74-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[2-(ethylthio)phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



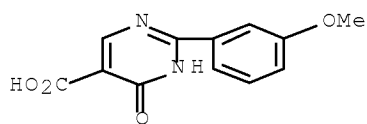
RN 69359-75-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



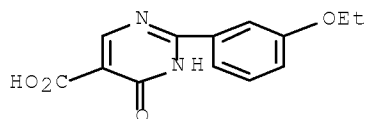
RN 69359-76-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(3-methoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)



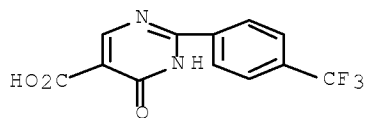
RN 69359-77-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-ethoxyphenyl)-1,4-dihydro-4-oxo- (9CI)  
(CA INDEX NAME)



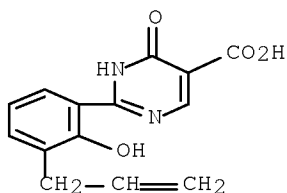
RN 69359-78-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 69359-79-1 HCAPLUS

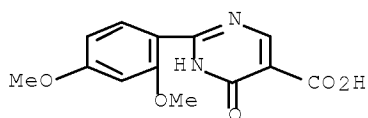
CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-hydroxy-3-(2-propenyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)



RN 69359-81-5 HCAPLUS

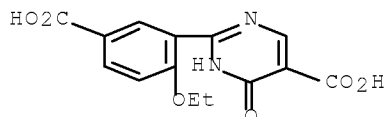
CN 5-Pyrimidinecarboxylic acid, 2-(2,4-dimethoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)





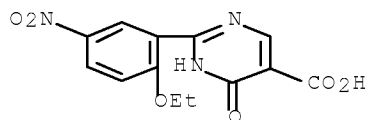
RN 69359-83-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(5-carboxy-2-ethoxyphenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



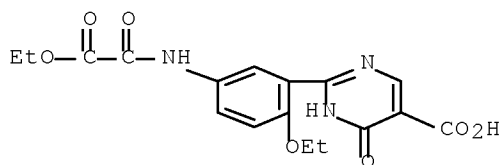
RN 69359-84-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxy-5-nitrophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



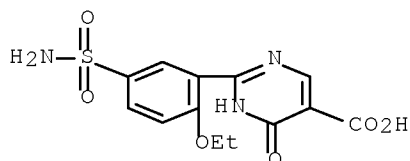
RN 69359-85-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[2-ethoxy-5-[(ethoxyoxoacetyl)amino]phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



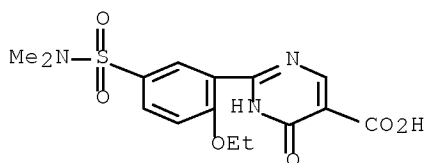
RN 69359-87-1 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[5-(aminosulfonyl)-2-ethoxyphenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



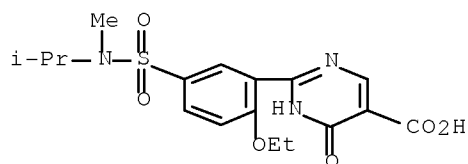
RN 69359-88-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[5-[(dimethylamino)sulfonyl]-2-ethoxyphenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



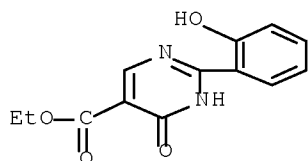
RN 69359-89-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[2-ethoxy-5-[[methyl(1-methylethyl)amino]sulfonyl]phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 69359-90-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(2-hydroxyphenyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

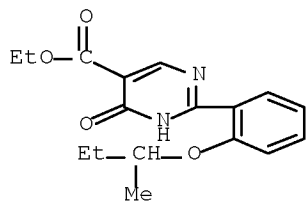


RN 69359-91-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(1-methylpropoxy)phenyl]-4-

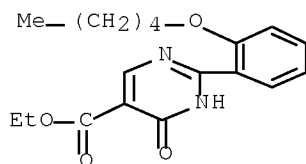
Serial No.:10/595,734

oxo-, ethyl ester (9CI) (CA INDEX NAME)



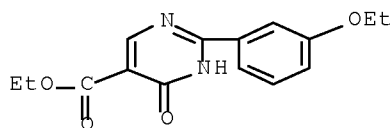
RN 69359-92-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-4-oxo-2-[2-(1-pentyloxy)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



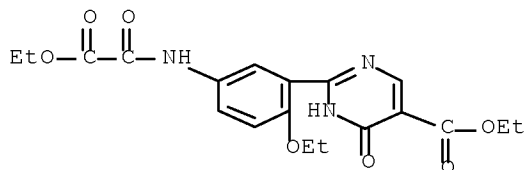
RN 69359-93-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(3-ethoxyphenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

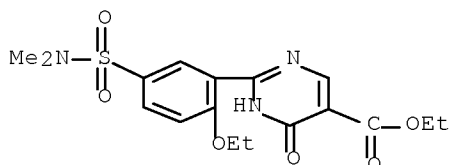


RN 69359-97-3 HCAPLUS

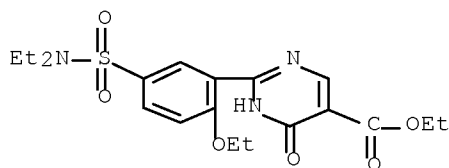
CN 5-Pyrimidinecarboxylic acid, 2-[2-ethoxy-5-[(ethoxyoxoacetyl)amino]phenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



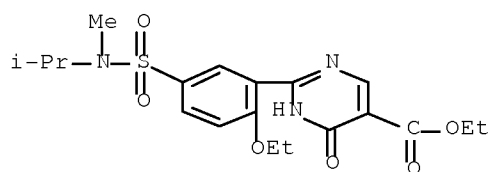
RN 69359-98-4 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 2-[5-[(dimethylamino)sulfonyl]-2-ethoxyphenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



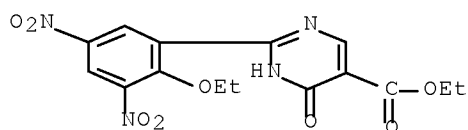
RN 69359-99-5 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 2-[5-[(diethylamino)sulfonyl]-2-ethoxyphenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 69360-00-5 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 2-[2-ethoxy-5-[[methyl(1-methylethyl)amino]sulfonyl]phenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

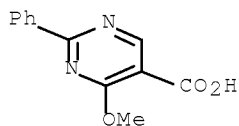


RN 69360-01-6 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxy-3,5-dinitrophenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



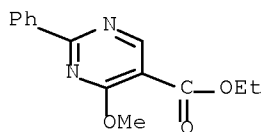
RN 69360-08-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methoxy-2-phenyl- (CA INDEX NAME)



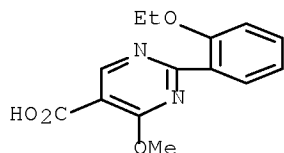
RN 69360-09-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methoxy-2-phenyl-, ethyl ester (CA INDEX NAME)



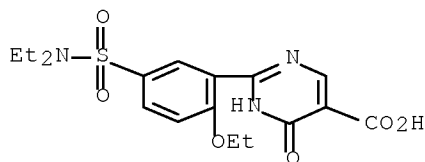
RN 69360-10-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxyphenyl)-4-methoxy- (CA INDEX NAME)



RN 69390-91-6 HCAPLUS

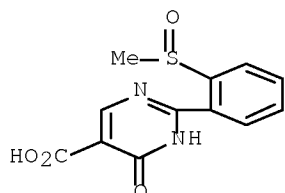
CN 5-Pyrimidinecarboxylic acid, 2-[5-[(diethylamino)sulfonyl]-2-ethoxyphenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 69390-92-7 HCAPLUS

Serial No.:10/595,734

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-(methylsulfinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

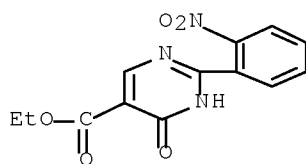


IT 64633-89-2P 64633-90-5P 69359-86-0P  
69359-94-0P 69359-96-2P 69360-11-8P  
69484-68-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

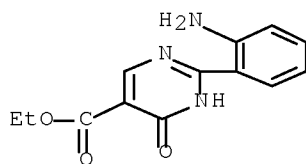
RN 64633-89-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-(2-nitrophenyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



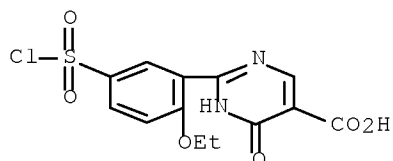
RN 64633-90-5 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-aminophenyl)-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



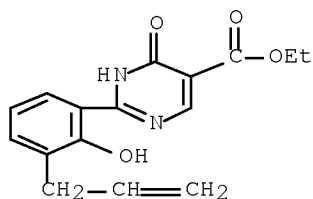
RN 69359-86-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[5-(chlorosulfonyl)-2-ethoxyphenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



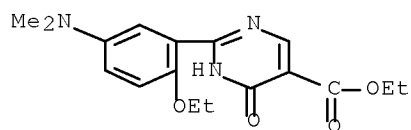
RN 69359-94-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,4-dihydro-2-[2-hydroxy-3-(2-propenyl)phenyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



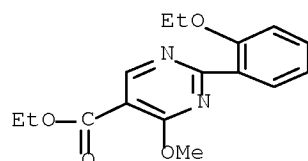
RN 69359-96-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[5-(dimethylamino)-2-ethoxyphenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



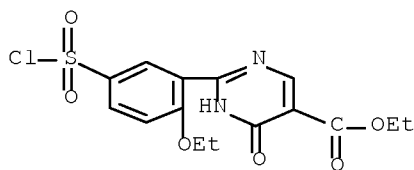
RN 69360-11-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(2-ethoxyphenyl)-4-methoxy-, ethyl ester (CA INDEX NAME)

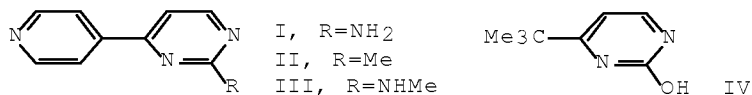


RN 69484-68-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[5-(chlorosulfonyl)-2-ethoxyphenyl]-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

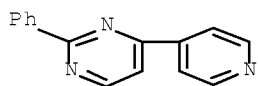


L54 ANSWER 244 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1978:436588 HCAPLUS Full-text  
 DOCUMENT NUMBER: 89:36588  
 ORIGINAL REFERENCE NO.: 89:5551a,5554a  
 TITLE: Synthesis and antiinflammatory activity of  
 trisubstituted pyrimidines and triazines  
 AUTHOR(S): Bennett, Gregory B.; Mason, Robert B.; Alden, Lee J.;  
 Roach, James B., Jr.  
 CORPORATE SOURCE: Pharm. Div., Sandoz, Inc., East Hanover, NY, USA  
 SOURCE: Journal of Medicinal Chemistry (1978),  
 21(7), 623-8  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 89:36588  
 ED Entered STN: 12 May 1984  
 GI

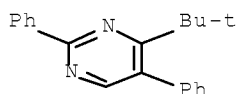


AB Seventy-nine mono-, bi-, and tricyclic pyrimidines and as-triazines were  
 synthesized and tested for antiinflammatory activity in rats against  
 carrageenan-induced edema. The more active analogs, including 929 I [57584-  
 97-1], 930 II [66521-53-7], 935 III [55314-16-4], and 976 IV [66521-54-8]  
 were also tested against adjuvant-induced edema. None of the compds. was  
 active in the adjuvant arthritis model.  
 IT 66521-73-1F  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and antiinflammatory activity of)  
 RN 66521-73-1 HCAPLUS  
 CN Pyrimidine, 2-phenyl-4-(4-pyridinyl)- (CA INDEX NAME)

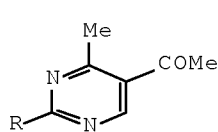




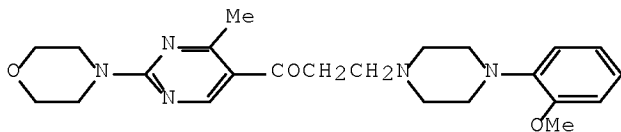
IT 66521-93-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and antitoinflammatory activity of)  
 RN 66521-93-5 HCAPLUS  
 CN Pyrimidine, 4-(1,1-dimethylethyl)-2,5-diphenyl- (CA INDEX NAME)



L54 ANSWER 245 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1978:170124 HCAPLUS Full-text  
 DOCUMENT NUMBER: 88:170124  
 ORIGINAL REFERENCE NO.: 88:26819a,26822a  
 TITLE: Psychoactive agents. Part VI. Synthesis and central nervous system effects of some 2-substituted 5-acetyl-4-methylpyrimidine derivatives  
 AUTHOR(S): Arya, V. P.; David, J.; Grewal, R. S.; Marathe, S. B.; Patil, S. D.  
 CORPORATE SOURCE: Res. Cent., Ciba-Geigy, Bombay, India  
 SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1977), 15B(12), 1129-32  
 CODEN: IJSBDB; ISSN: 0376-4699  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 88:170124  
 ED Entered STN: 12 May 1984  
 GI



I



II

AB The synthesis of 2-substituted 5-acetyl-4-methylpyrimidines is described. Thus, amidines and substituted guanidines react with  $\text{EtOCH}:\text{C}(\text{COMe})_2$  to give the 5-acetyl-4-methyl-2-substituted pyrimidines I (R =  $\text{NH}_2$ , MeS, morpholino, Ph, etc.). Aminolysis of I (R = MeS) with cyclic secondary amines gave I (R = piperidino, piperazino, pyrrolidino, etc.). Some of these amines were converted to their guanylylhydrazones. Mannich condensation of I (R =

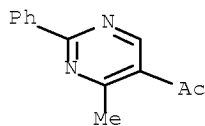
morpholino) gave II. Some I had central nervous system and bactericidal activity.

IT 66373-27-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 66373-27-1 HCAPLUS

CN Ethanone, 1-(4-methyl-2-phenyl-5-pyrimidinyl)- (CA INDEX NAME)



L54 ANSWER 246 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:31869 HCAPLUS Full-text

DOCUMENT NUMBER: 88:31869

ORIGINAL REFERENCE NO.: 88:4959a,4962a

TITLE: Synthesis of heteroaromatic potential

$\beta$ -adrenergic antagonists by the glycidol route  
AUTHOR(S): Antonio, Yulia; Camargo, Catalina; Galeazzi, Edwige;  
Iriarte, Jose; Guzman, Margarita; Muchowski, Joseph  
M.; Gerrity, Kathie; Liu, Frances; Miller, Lois M.;  
Strosberg, Arthur M.

CORPORATE SOURCE: Res. Lab., Syntex, S. A., Mexico City, Mex.

SOURCE: Journal of Medicinal Chemistry (1977),  
21(1), 123-6

CODEN: JMCMAR; ISSN: 0022-2623

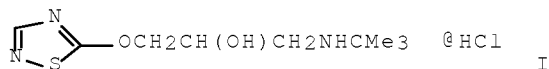
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 88:31869

ED Entered STN: 12 May 1984

GI



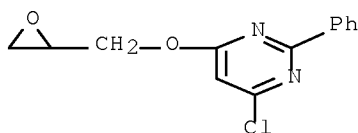
AB Five 3-(alkylamino)-2-hydroxypropyl heteroaryl ethers were prepared from the appropriate heteroaryl halides with glycidol [556-52-5] followed by reaction with tert-butylamine [75-64-9] or isopropylamine [75-31-0]. The compds. had weak  $\beta$ -blocking activity, compared to propranolol, in dogs, and only 3-(tert-butylamino)-2-hydroxypropyl 1,2,4-thiadiazol-5-yl ether-HCl (I) [64791-67-9] showed some selective myocardial  $\beta$ -blocking activity. Structure-activity relations are discussed.

IT 64734-26-5P

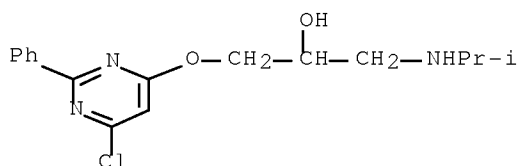
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and alkylation of)

RN 64734-26-5 HCAPLUS

CN Pyrimidine, 4-chloro-6-(oxiranylmethoxy)-2-phenyl- (9CI) (CA INDEX NAME)



IT 64734-31-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and  $\beta$ -sympatholytic activity of)  
 RN 64734-31-2 HCAPLUS  
 CN 2-Propanol, 1-[(6-chloro-2-phenyl-4-pyrimidinyl)oxy]-3-[(1-methylethyl)amino]- (CA INDEX NAME)



L54 ANSWER 247 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1974:552268 HCAPLUS Full-text  
 DOCUMENT NUMBER: 81:152268  
 ORIGINAL REFERENCE NO.: 81:23745a, 23748a  
 TITLE: 10-Hydroxy-2-phenyl-5H-pyrido[1,2-a]pyrimido[4,5-d]pyrimidin-5-one  
 INVENTOR(S): Kim, Dong H.; Santilli, Arthur A.  
 PATENT ASSIGNEE(S): American Home Products Corp.  
 SOURCE: U.S., 4 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3836533	A	19740917	US 1972-302381	19721030 <--
PRIORITY APPLN. INFO.:			US 1972-302381	19721030 <--

ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

AB The central depressant title compound (I) and its 10-acetate were prepared by thermal cyclization of 4-(2-amino-3-pyridyloxy)- or 4-[(3-hydroxy-2-pyridyl)amino]-2-phenyl-5-pyrimidinecarboxylic acid or their Et esters followed optionally by acetylation with Ac<sub>2</sub>O. I at 400 mg/kg (mice, orally) exhibited decreased motor activity and respiration.

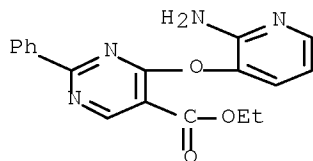
IT 54108-34-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)

RN 54108-34-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(2-amino-3-pyridinyl)oxy]-2-phenyl-, ethyl ester (CA INDEX NAME)



L54 ANSWER 248 OF 248 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1974:491464 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 81:91464

ORIGINAL REFERENCE NO.: 81:14497a,14500a

TITLE: Pyrimidine derivatives and related compounds. XXI.  
 Synthesis and analgetic and antiinflammatory  
 activities of 5-dimethylamino-6-methyl-4-  
 oxodihydropyrimidine derivatives

AUTHOR(S): Senda, Shigeo; Hirota, Kosaku; Otani, Osamu

CORPORATE SOURCE: Gifu Coll. Pharm., Gifu, Japan

SOURCE: Yakugaku Zasshi (1974), 94(5), 571-6

CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

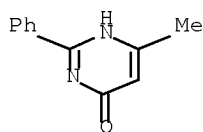
AB Synthesis of phenyl-4-oxodihydropyrimidines I and II (R = H) from the  
 corresponding 2-thiouracil derivative was investigated. I and II (R = H) and  
 3,6-dimethyl-2-phenyl-4-oxo-3,4-dihydropyrimidine were brominated to give the  
 5-bromo compds. I (R = Br) and Me<sub>2</sub>NH gave I (R = Me<sub>2</sub>N). Analgetic and  
 antiinflammatory activities and acute toxicity of I (R = Me<sub>2</sub>N) were determined

IT 13514-79-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (methylation and bromination of)

RN 13514-79-9 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-methyl-2-phenyl- (CA INDEX NAME)

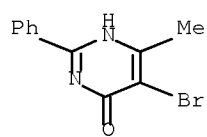


IT 53399-24-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

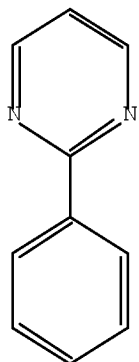
RN 53399-24-9 HCAPLUS

CN 4(1H)-Pyrimidinone, 5-bromo-6-methyl-2-phenyl- (9CI) (CA INDEX NAME)



# Structure Search

=> => D STAT QUE L53  
L8 STR



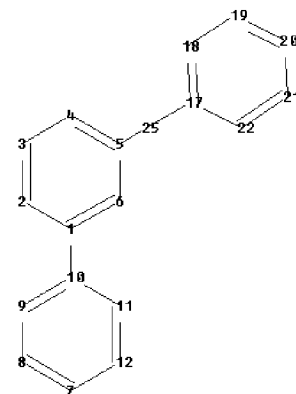
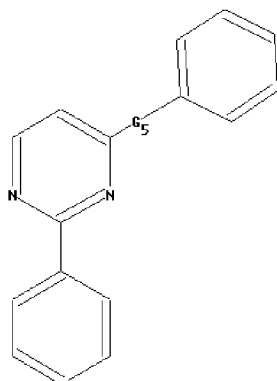
Structure attributes must be viewed using STN Express query preparation.

L9 43848 SEA FILE=REGISTRY SSS FUL L8

L48 STR

Structure attributes must be viewed using STN Express query preparation:

Uploading strM.str



chain nodes :

25

```

ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  17  18  19  20  21  22
chain bonds :
1-10  5-25  17-25
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  17-22  17-18  18-
19
19-20  20-21  21-22
exact/norm bonds :
5-25  17-25
exact bonds :
1-10
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  17-22  17-18  18-
19
19-20  20-21  21-22
isolated ring systems :
containing 1 : 7 : 17 :

```

G2:H, OH, SH, X, Ak, Cy

G3: Cy, Ak

G4:H, X, OH, CN, NO2

G5:O, S, N

G7:H, OH, SH, NO2, X, Ak

```

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom 12:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 25:CLASS

```

```

L50      1776 SEA FILE=REGISTRY SUB=L9 SSS FUL L48
L51      193 SEA FILE=HCAPLUS ABB=ON PLU=ON L50
L52      157 SEA FILE=HCAPLUS ABB=ON PLU=ON L51 AND (PRY<=2003 OR
          AY<=2003 OR PY<=2003)
L53      39 SEA FILE=HCAPLUS ABB=ON PLU=ON L52 AND 1/SC, SX

```

=> D IBIB ED ABS HITSTR L53 1-39

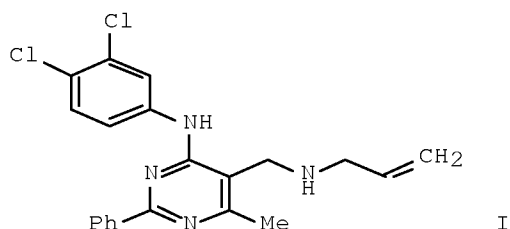
```

L53 ANSWER 1 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:1157240 HCAPLUS Full-text
DOCUMENT NUMBER: 149:332347
TITLE: Preparation of new derivative of pyrimidine as
antibacterial and antifungal agent
INVENTOR(S): Cieplik, Jerzy; Pluta, Janusz; Gubrynowicz, Olaf
PATENT ASSIGNEE(S): Akademia Medyczna Im.Piastow Slaskich We Wroclawiu,
Pol.
SOURCE: Pol., 4pp.
CODEN: POXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Polish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

```

Serial No.:10/595,734

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 195747	B1	20071031	PL 2002-357753	20021213 <--
PRIORITY APPLN. INFO.:			PL 2002-357753	20021213 <--
ED Entered STN: 26 Sep 2008				
GI				



AB The title compound I, useful as antibacterial and antifungal agent, was prepared in 78% yield by reacting 4-(3',4'-dichlorophenylamino)-2-phenyl-6-methyl-5-chloromethylpyrimidine with allylamine in  $\text{CHCl}_3$  or THF. I was tested against various bacteria and fungi (data given).

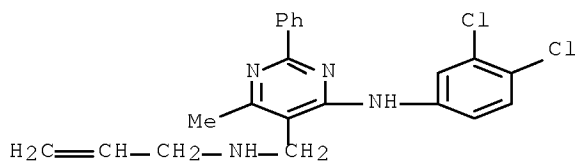
IT 813436-01-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of new derivative of pyrimidine as antibacterial and antifungal agent)

RN 813436-01-0 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(3,4-dichlorophenyl)amino]-6-methyl-2-phenyl-N-2-propen-1-yl- (CA INDEX NAME)



IT 164927-19-9

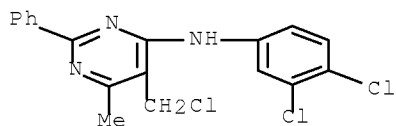
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of new derivative of pyrimidine as antibacterial and antifungal agent)

RN 164927-19-9 HCAPLUS

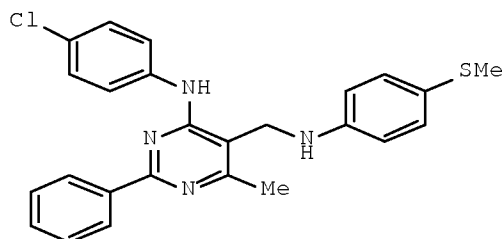
CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(3,4-dichlorophenyl)-6-methyl-2-phenyl- (CA INDEX NAME)





L53 ANSWER 2 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2008:511151 HCAPLUS Full-text  
 DOCUMENT NUMBER: 148:426901  
 TITLE: Preparation of novel derivative of pyrimidine with immunotropic activity  
 INVENTOR(S): Cieplik, Jerzy; Zimecki, Michal  
 PATENT ASSIGNEE(S): Akademia Medyczna im. Piastow Slaskich we Wroclawiu, Pol.  
 SOURCE: Pol., 4 pp.  
 CODEN: POXXA7  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Polish  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 194083	B1	20070430	PL 2001-346327	20010306 <--
PRIORITY APPLN. INFO.:			PL 2001-346327	20010306 <--
OTHER SOURCE(S):	CASREACT 148:426901			
ED Entered STN:	28 Apr 2008			
GI				



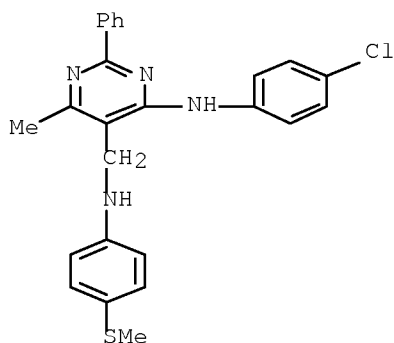
I

AB The title compound I was prepared by treating 2-phenyl-4-(4'-chlorophenylamino)-6-methyl-5-hydroxymethylpyrimidine with thionyl chloride followed by condensing the resulting 2-phenyl-4-(4'-chlorophenylamino)-6-methyl-5-chloromethylpyrimidine with p-S-methylphenylamine in a solvent such as benzene, chloroform or THF. New compound I was tested in model of humoral immunity response in mice and showed similar activity as Levamisole at dose 10 µg/mouse and 100 µg/mouse.

IT 1017844-81-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of novel derivative of pyrimidine with immunotropic activity)

RN 1017844-81-3 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(4-chlorophenyl)amino]-6-methyl-N-[4-(methylthio)phenyl]-2-phenyl- (CA INDEX NAME)



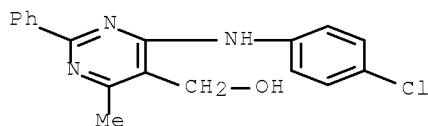
IT 154957-61-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of novel derivative of pyrimidine with immunotropic activity)

RN 154957-61-6 HCAPLUS

CN 5-Pyrimidinemethanol, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



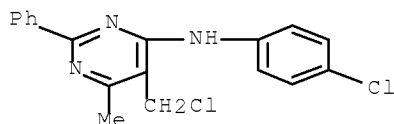
IT 164926-93-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel derivative of pyrimidine with immunotropic activity)

RN 164926-93-6 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(4-chlorophenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



L53 ANSWER 3 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:451367 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:476293

TITLE: Substituted pyrimidine compositions and methods using them for the treatment of NGFI-B-related diseases

INVENTOR(S): Martin, Richard; Mohan, Raju; Ordentlich, Peter

## Serial No.:10/595,734

PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA  
 SOURCE: PCT Int. Appl., 117 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047268	A2	20050526	WO 2004-US37642	20041109 <--
WO 2005047268	A3	20050721		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20070293464	A1	20071220	US 2007-595734	20070522 <--
PRIORITY APPLN. INFO.:			US 2003-519030P	P 20031110 <--
			WO 2004-US37642	W 20041109

OTHER SOURCE(S): MARPAT 142:476293

ED Entered STN: 27 May 2005

AB Compns. and methods using substituted pyrimidines are provided. The substituted pyrimidines may be used to treat diseases modulated by NGFI-B family activity.

IT 65789-90-4 299406-55-6 300359-06-2  
 300359-07-3 300359-08-4 300719-05-5  
 300837-31-4 303147-11-7 303147-12-8  
 303147-40-2 303147-41-3 303147-45-7  
 306980-56-3 306980-58-5 307332-77-0  
 307332-78-1 312626-15-6 315194-30-0  
 320418-43-7 320418-48-2 320418-49-3  
 320421-36-1 329077-80-7 330221-00-6  
 330919-79-9 330981-36-7 330981-37-8  
 330981-38-9 330981-39-0 330981-41-4  
 330981-42-5 330981-45-8 330981-47-0  
 330981-49-2 330981-52-7 330981-53-8  
 330981-54-9 330981-55-0 330981-59-4  
 330981-60-7 330981-61-8 330981-63-0  
 330981-64-1 330981-65-2 330981-70-9  
 330993-01-6 330993-02-7 331648-43-2  
 331648-44-3 332374-83-1 333415-58-0  
 338395-36-1 338960-71-7 338960-72-8  
 338960-73-9 338960-74-0 338960-75-1  
 338960-76-2 338960-93-3 338960-99-9  
 338967-63-8 339279-05-9 339279-06-0  
 339279-07-1 339279-08-2 339279-21-9  
 339279-27-5 371199-20-1 371199-57-4  
 380472-88-8 380571-66-4 381683-04-1  
 415699-44-4 419548-22-4 420104-18-3  
 477710-02-4 477886-15-0 477886-16-1  
 477886-19-4 478031-54-8 478031-59-3  
 478031-64-0 487015-37-2 499975-26-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

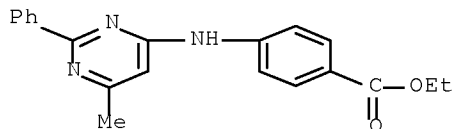
Serial No.:10/595,734

(Biological study); USES (Uses)

(pyrimidine derivs. for treatment of NGFI-B-related diseases)

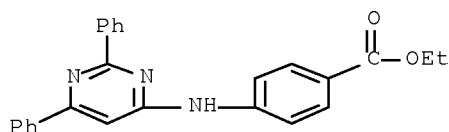
RN 65789-90-4 HCAPLUS

CN Benzoic acid, 4-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]-, ethyl ester  
(CA INDEX NAME)



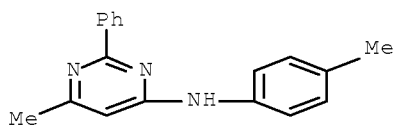
RN 299406-55-6 HCAPLUS

CN Benzoic acid, 4-[(2,6-diphenyl-4-pyrimidinyl)amino]-, ethyl ester (CA INDEX NAME)



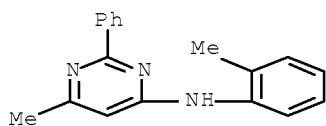
RN 300359-06-2 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-methylphenyl)-2-phenyl- (CA INDEX NAME)



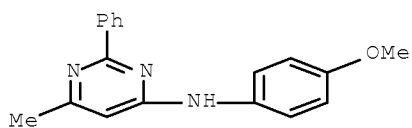
RN 300359-07-3 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(2-methylphenyl)-2-phenyl- (CA INDEX NAME)

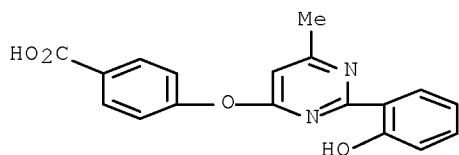


RN 300359-08-4 HCAPLUS

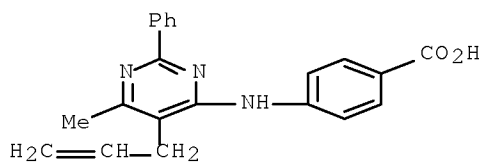
CN 4-Pyrimidinamine, N-(4-methoxyphenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



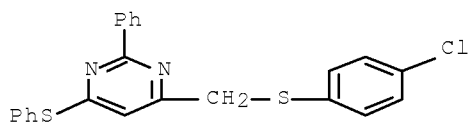
RN 300719-05-5 HCAPLUS  
 CN Benzoic acid, 4-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]oxy]- (CA INDEX NAME)



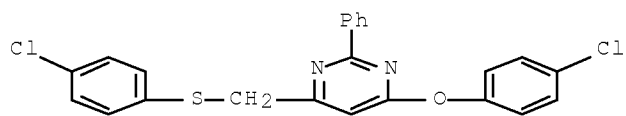
RN 300837-31-4 HCAPLUS  
 CN Benzoic acid, 4-[[6-methyl-2-phenyl-5-(2-propen-1-yl)-4-pyrimidinyl]amino]- (CA INDEX NAME)



RN 303147-11-7 HCAPLUS  
 CN Pyrimidine, 4-[[4-(4-chlorophenyl)thio]methyl]-2-phenyl-6-(phenylthio)- (CA INDEX NAME)

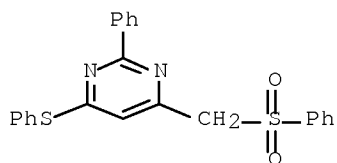


RN 303147-12-8 HCAPLUS  
 CN Pyrimidine, 4-(4-chlorophenoxy)-6-[[4-(4-chlorophenyl)thio]methyl]-2-phenyl- (CA INDEX NAME)



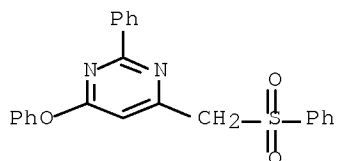
RN 303147-40-2 HCAPLUS

CN Pyrimidine, 2-phenyl-4-[(phenylsulfonyl)methyl]-6-(phenylthio)- (CA INDEX NAME)



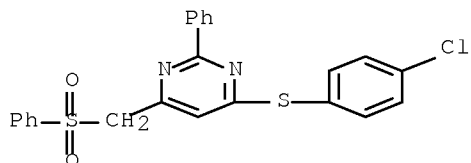
RN 303147-41-3 HCAPLUS

CN Pyrimidine, 4-phenoxy-2-phenyl-6-[(phenylsulfonyl)methyl]- (CA INDEX NAME)



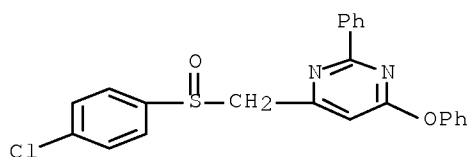
RN 303147-45-7 HCAPLUS

CN Pyrimidine, 4-[(4-chlorophenyl)thio]-2-phenyl-6-[(phenylsulfonyl)methyl]- (CA INDEX NAME)



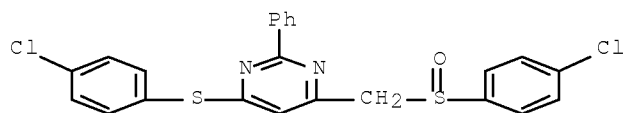
RN 306980-56-3 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)sulfinyl]methyl]-6-phenoxy-2-phenyl- (CA INDEX NAME)



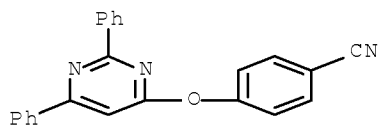
RN 306980-58-5 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)sulfinyl]methyl]-6-[(4-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



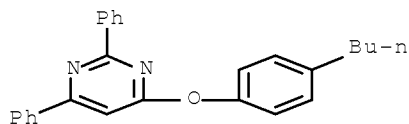
RN 307332-77-0 HCAPLUS

CN Benzonitrile, 4-[(2,6-diphenyl-4-pyrimidinyl)oxy]- (CA INDEX NAME)



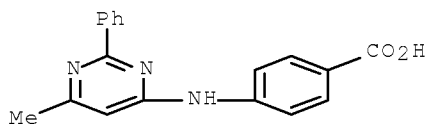
RN 307332-78-1 HCAPLUS

CN Pyrimidine, 4-(4-butylphenoxy)-2,6-diphenyl- (CA INDEX NAME)



RN 312626-15-6 HCAPLUS

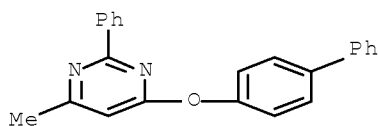
CN Benzoic acid, 4-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)



Serial No.:10/595,734

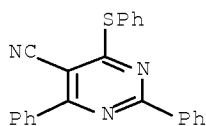
RN 315194-30-0 HCAPLUS

CN Pyrimidine, 4-([1,1'-biphenyl]-4-yloxy)-6-methyl-2-phenyl- (CA INDEX NAME)



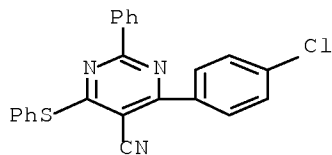
RN 320418-43-7 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2,4-diphenyl-6-(phenylthio)- (CA INDEX NAME)



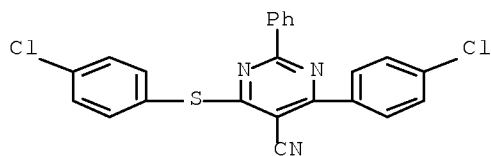
RN 320418-48-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-2-phenyl-6-(phenylthio)- (CA INDEX NAME)



RN 320418-49-3 HCAPLUS

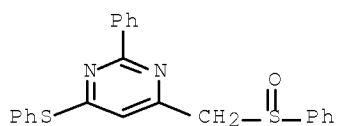
CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[(4-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



RN 320421-36-1 HCAPLUS

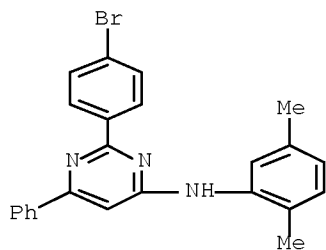
CN Pyrimidine, 2-phenyl-4-[(phenylsulfinyl)methyl]-6-(phenylthio)- (CA INDEX NAME)





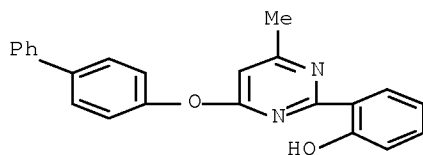
RN 329077-80-7 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(2,5-dimethylphenyl)-6-phenyl- (CA INDEX NAME)



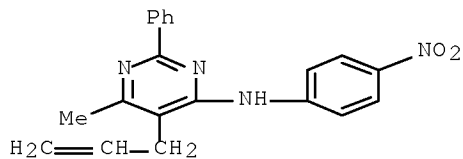
RN 330221-00-6 HCAPLUS

CN Phenol, 2-[4-([1,1'-biphenyl]-4-yloxy)-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



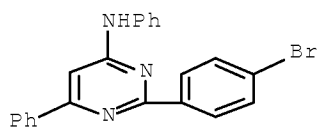
RN 330819-79-9 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)- (CA INDEX NAME)



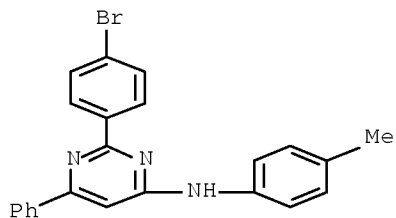
RN 330981-36-7 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N,6-diphenyl- (CA INDEX NAME)



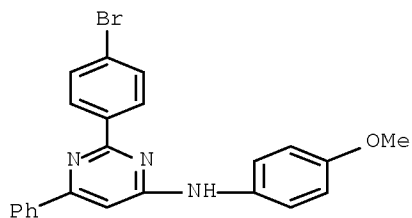
RN 330981-37-8 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(4-methylphenyl)-6-phenyl- (CA INDEX NAME)



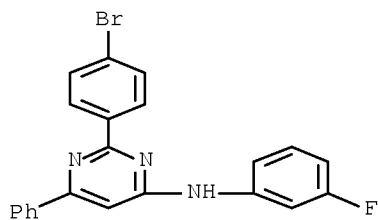
RN 330981-38-9 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(4-methoxyphenyl)-6-phenyl- (CA INDEX NAME)



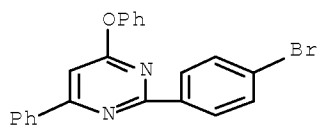
RN 330981-39-0 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(3-fluorophenyl)-6-phenyl- (CA INDEX NAME)

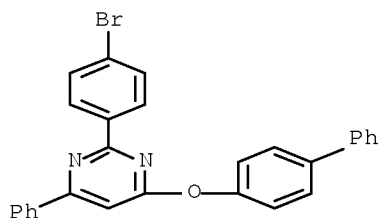


RN 330981-41-4 HCAPLUS

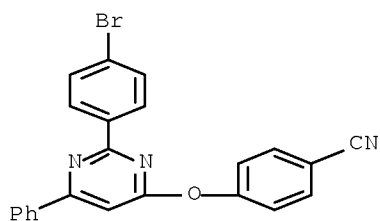
CN Pyrimidine, 2-(4-bromophenyl)-4-phenoxy-6-phenyl- (CA INDEX NAME)



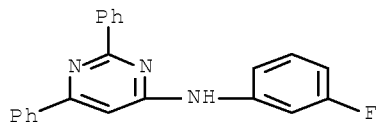
RN 330981-42-5 HCAPLUS  
CN Pyrimidine, 4-([1,1'-biphenyl]-4-yloxy)-2-(4-bromophenyl)-6-phenyl- (CA INDEX NAME)



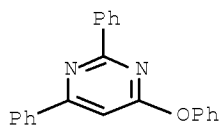
RN 330981-45-8 HCAPLUS  
CN Benzonitrile, 4-[[2-(4-bromophenyl)-6-phenyl-4-pyrimidinyl]oxy]- (CA INDEX NAME)



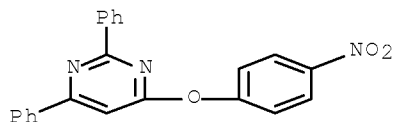
RN 330981-47-0 HCAPLUS  
CN 4-Pyrimidinamine, N-(3-fluorophenyl)-2,6-diphenyl- (CA INDEX NAME)



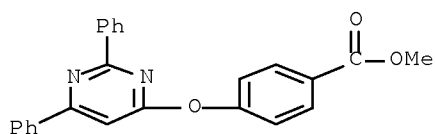
RN 330981-49-2 HCAPLUS  
CN Pyrimidine, 4-phenoxy-2,6-diphenyl- (CA INDEX NAME)



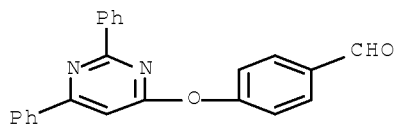
RN 330981-52-7 HCAPLUS  
 CN Pyrimidine, 4-(4-nitrophenoxy)-2,6-diphenyl- (CA INDEX NAME)



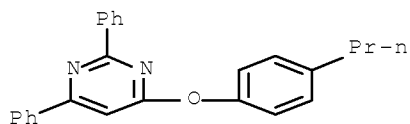
RN 330981-53-8 HCAPLUS  
 CN Benzoic acid, 4-[(2,6-diphenyl-4-pyrimidinyl)oxy]-, methyl ester (CA INDEX NAME)



RN 330981-54-9 HCAPLUS  
 CN Benzaldehyde, 4-[(2,6-diphenyl-4-pyrimidinyl)oxy]- (CA INDEX NAME)



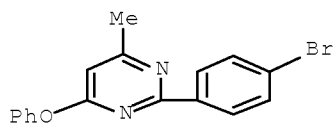
RN 330981-55-0 HCAPLUS  
 CN Pyrimidine, 2,4-diphenyl-6-(4-propylphenoxy)- (CA INDEX NAME)



Serial No.:10/595,734

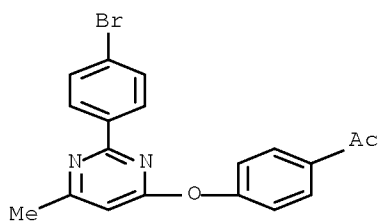
RN 330981-59-4 HCAPLUS

CN Pyrimidine, 2-(4-bromophenyl)-4-methyl-6-phenoxy- (CA INDEX NAME)



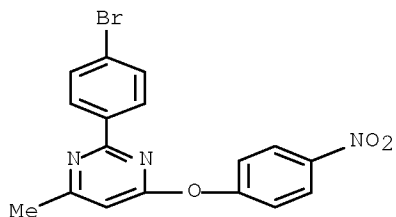
RN 330981-60-7 HCAPLUS

CN Ethanone, 1-[4-[[2-(4-bromophenyl)-6-methyl-4-pyrimidinyl]oxy]phenyl]- (CA INDEX NAME)



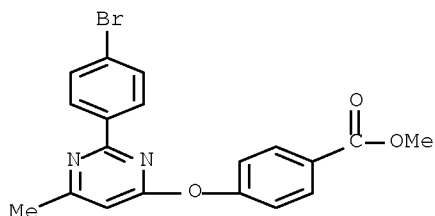
RN 330981-61-8 HCAPLUS

CN Pyrimidine, 2-(4-bromophenyl)-4-methyl-6-(4-nitrophenoxy)- (CA INDEX NAME)

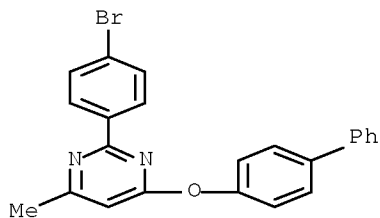


RN 330981-63-0 HCAPLUS

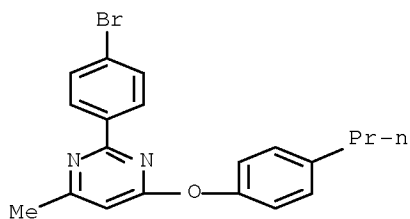
CN Benzoic acid, 4-[[2-(4-bromophenyl)-6-methyl-4-pyrimidinyl]oxy]-, methyl ester (CA INDEX NAME)



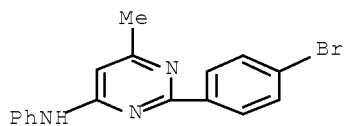
RN 330981-64-1 HCAPLUS  
 CN Pyrimidine, 4-([1,1'-biphenyl]-4-yloxy)-2-(4-bromophenyl)-6-methyl- (CA INDEX NAME)



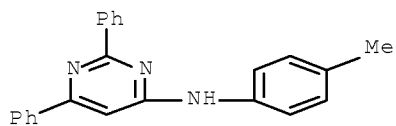
RN 330981-65-2 HCAPLUS  
 CN Pyrimidine, 2-(4-bromophenyl)-4-methyl-6-(4-propylphenoxy)- (CA INDEX NAME)



RN 330981-70-9 HCAPLUS  
 CN 4-Pyrimidinamine, 2-(4-bromophenyl)-6-methyl-N-phenyl- (CA INDEX NAME)



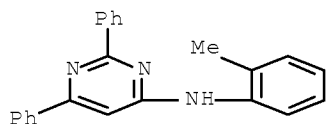
RN 330993-01-6 HCAPLUS  
 CN 4-Pyrimidinamine, N-(4-methylphenyl)-2,6-diphenyl- (CA INDEX NAME)



Serial No.:10/595,734

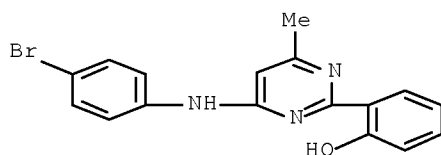
RN 330993-02-7 HCAPLUS

CN 4-Pyrimidinamine, N-(2-methylphenyl)-2,6-diphenyl- (CA INDEX NAME)



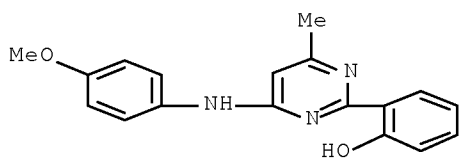
RN 331648-43-2 HCAPLUS

CN Phenol, 2-[4-[(4-bromophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



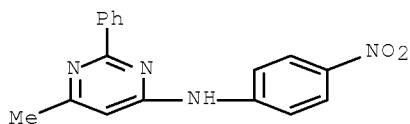
RN 331648-44-3 HCAPLUS

CN Phenol, 2-[4-[(4-methoxyphenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



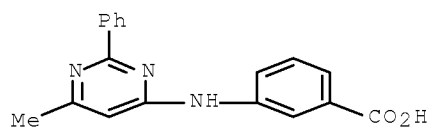
RN 332374-83-1 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl- (CA INDEX NAME)



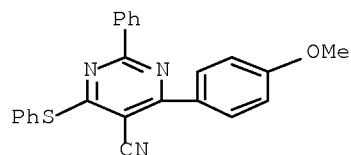
RN 333415-58-0 HCAPLUS

CN Benzoic acid, 3-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)



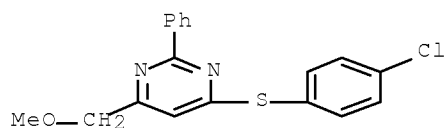
RN 338395-36-1 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-methoxyphenyl)-2-phenyl-6-(phenylthio)-  
(CA INDEX NAME)



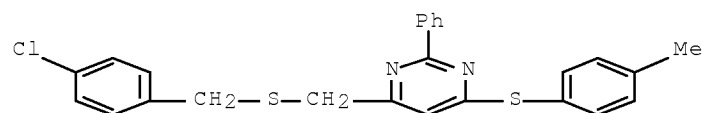
RN 338960-71-7 HCAPLUS

CN Pyrimidine, 4-[(4-chlorophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA  
INDEX NAME)



RN 338960-72-8 HCAPLUS

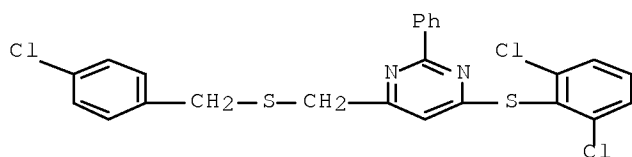
CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(4-methylphenyl)thio]-2-phenyl- (CA INDEX NAME)



RN 338960-73-9 HCAPLUS

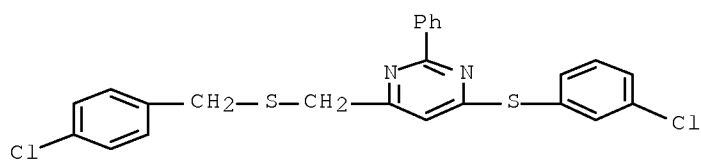
CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(2,6-dichlorophenyl)thio]-2-phenyl- (CA INDEX NAME)





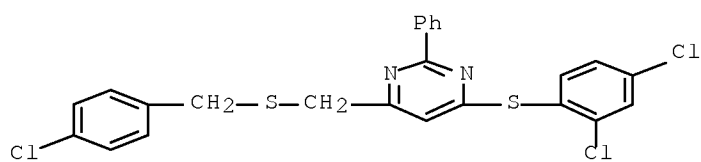
RN 338960-74-0 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(3-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



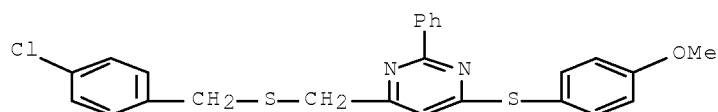
RN 338960-75-1 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(2,4-dichlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



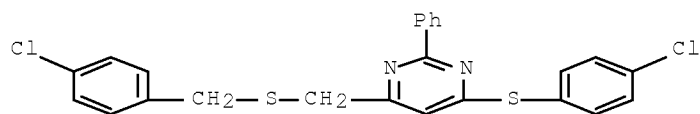
RN 338960-76-2 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(4-methoxyphenyl)thio]-2-phenyl- (CA INDEX NAME)



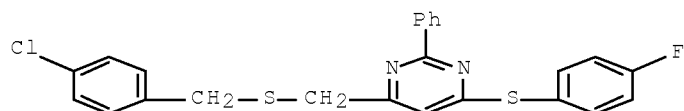
RN 338960-93-3 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(4-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



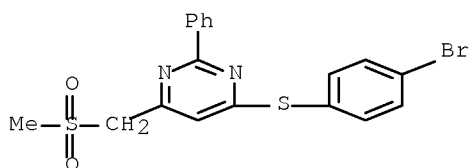
RN 338960-99-9 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(4-fluorophenyl)thio]-2-phenyl- (CA INDEX NAME)



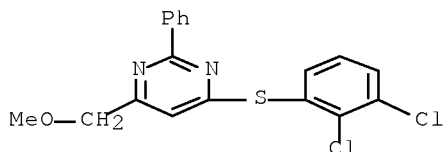
RN 338967-63-8 HCAPLUS

CN Pyrimidine, 4-[(4-bromophenyl)thio]-6-[(methylsulfonyl)methyl]-2-phenyl- (CA INDEX NAME)



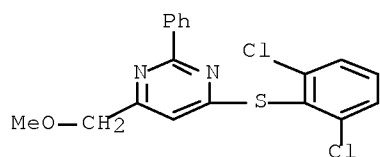
RN 339279-05-9 HCAPLUS

CN Pyrimidine, 4-[(2,3-dichlorophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA INDEX NAME)

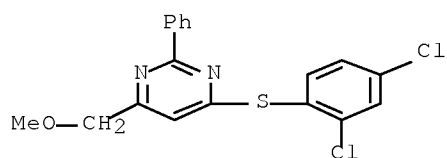


RN 339279-06-0 HCAPLUS

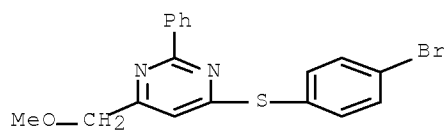
CN Pyrimidine, 4-[(2,6-dichlorophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA INDEX NAME)



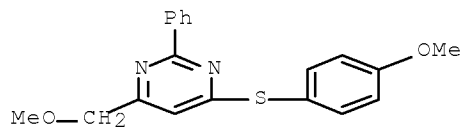
RN 339279-07-1 HCAPLUS  
 CN Pyrimidine, 4-[(2,4-dichlorophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA INDEX NAME)



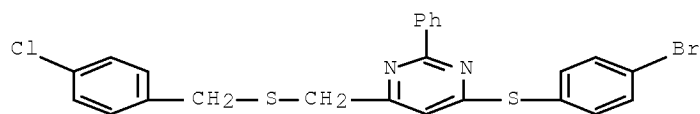
RN 339279-08-2 HCAPLUS  
 CN Pyrimidine, 4-[(4-bromophenyl)thio]-6-(methoxymethyl)-2-phenyl- (CA INDEX NAME)



RN 339279-21-9 HCAPLUS  
 CN Pyrimidine, 4-(methoxymethyl)-6-[(4-methoxyphenyl)thio]-2-phenyl- (CA INDEX NAME)

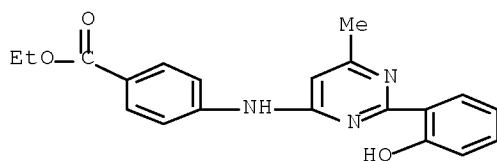


RN 339279-27-5 HCAPLUS  
 CN Pyrimidine, 4-[(4-bromophenyl)thio]-6-[[[(4-chlorophenyl)methyl]thio]methyl]-2-phenyl- (CA INDEX NAME)



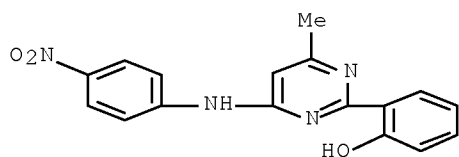
RN 371199-20-1 HCAPLUS

CN Benzoic acid, 4-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)



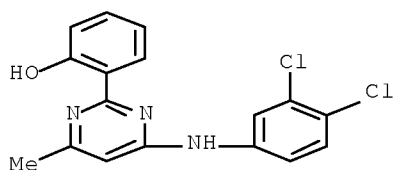
RN 371199-57-4 HCAPLUS

CN Phenol, 2-[4-methyl-6-[(4-nitrophenyl)amino]-2-pyrimidinyl]- (CA INDEX NAME)



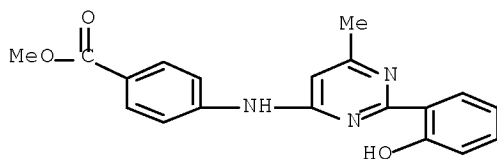
RN 380472-88-8 HCAPLUS

CN Phenol, 2-[4-[(3,4-dichlorophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



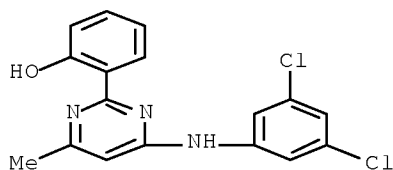
RN 380571-66-4 HCAPLUS

CN Benzoic acid, 4-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)



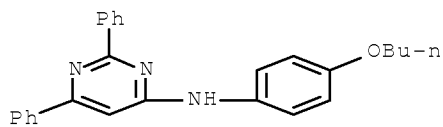
RN 381683-04-1 HCAPLUS

CN Phenol, 2-[4-[(3,5-dichlorophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



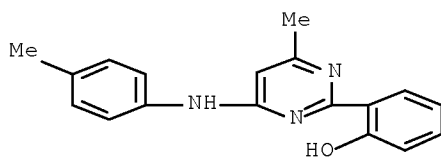
RN 415699-44-4 HCAPLUS

CN 4-Pyrimidinamine, N-(4-butoxyphenyl)-2,6-diphenyl- (CA INDEX NAME)



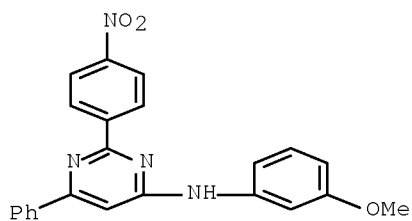
RN 419548-22-4 HCAPLUS

CN Phenol, 2-[4-methyl-6-[(4-methylphenyl)amino]-2-pyrimidinyl]- (CA INDEX NAME)



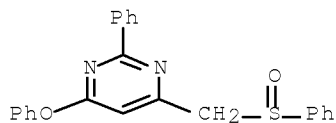
RN 420104-18-3 HCAPLUS

CN 4-Pyrimidinamine, N-(3-methoxyphenyl)-2-(4-nitrophenyl)-6-phenyl- (CA INDEX NAME)



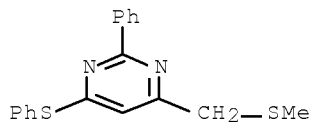
RN 477710-02-4 HCAPLUS

CN Pyrimidine, 4-phenoxy-2-phenyl-6-[(phenylsulfinyl)methyl]- (CA INDEX NAME)



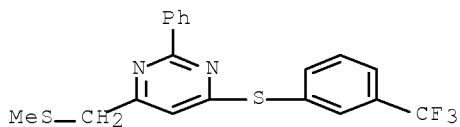
RN 477886-15-0 HCAPLUS

CN Pyrimidine, 4-[(methylthio)methyl]-2-phenyl-6-(phenylthio)- (CA INDEX NAME)



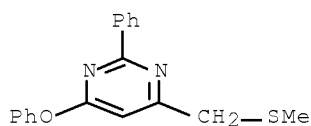
RN 477886-16-1 HCAPLUS

CN Pyrimidine, 4-[(methylthio)methyl]-2-phenyl-6-[[3-(trifluoromethyl)phenyl]thio]- (CA INDEX NAME)



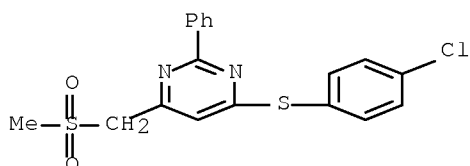
RN 477886-19-4 HCAPLUS

CN Pyrimidine, 4-[(methylthio)methyl]-6-phenoxy-2-phenyl- (CA INDEX NAME)



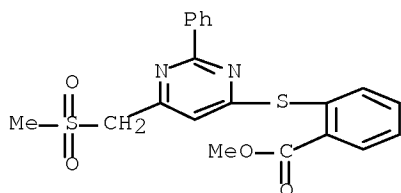
RN 478031-54-8 HCAPLUS

CN Pyrimidine, 4-[(4-chlorophenyl)thio]-6-[(methylsulfonyl)methyl]-2-phenyl-  
(CA INDEX NAME)



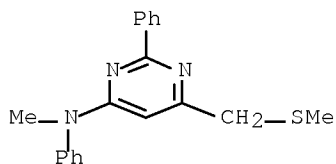
RN 478031-59-3 HCAPLUS

CN Benzoic acid, 2-[[6-[(methylsulfonyl)methyl]-2-phenyl-4-pyrimidinyl]thio]-  
, methyl ester (CA INDEX NAME)



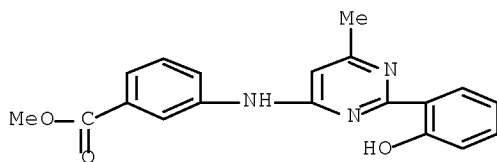
RN 478031-64-0 HCAPLUS

CN 4-Pyrimidinamine, N-methyl-6-[(methylthio)methyl]-N,2-diphenyl- (CA INDEX  
NAME)

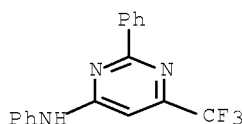


RN 487015-37-2 HCAPLUS

CN Benzoic acid, 3-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]amino]-,  
methyl ester (CA INDEX NAME)



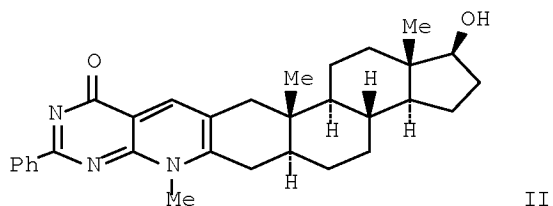
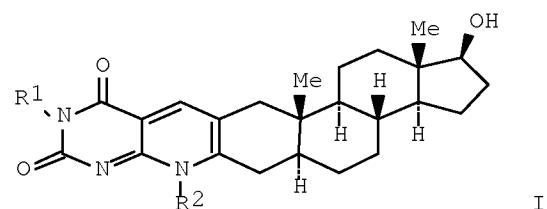
RN 499975-26-7 HCAPLUS  
 CN 4-Pyrimidinamine, N,2-diphenyl-6-(trifluoromethyl)- (CA INDEX NAME)



L53 ANSWER 4 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:340499 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:392564  
 TITLE: Preparation of pyridopyrimidine-fused steroids  
 anticoccidial agents via cyclocondensation  
 INVENTOR(S): Nagamatsu, Tomofumi  
 PATENT ASSIGNEE(S): Okayama University, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005104868	A	20050421	JP 2003-337640	20030929 <--
JP 3972103	B2	20070905		
PRIORITY APPLN. INFO.:			JP 2003-337640	20030929 <--
OTHER SOURCE(S):	MARPAT	142:392564		
ED Entered STN:	21 Apr	2005		
GI				





AB Pyridopyrimidine-fused steroids, e.g. of formula I [R1 = H, alkyl; R2 = alkyl, (substituted) Ph, etc.], are prepared via cyclocondensation. The compds. are useful as anticoccidial agents (no data). Thus, II was prepared from 6-(methylamino)-2-phenyl-4(1H)pyrimidinone and 2-(hydroxymethylene)dihydrotestosterone in 76% yield.

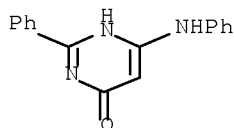
IT 31595-74-1 31595-75-2 658689-90-8  
658689-91-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyridopyrimidine-fused steroids as anticoccidial agents)

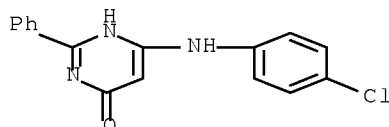
RN 31595-74-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-phenyl-6-(phenylamino)- (CA INDEX NAME)



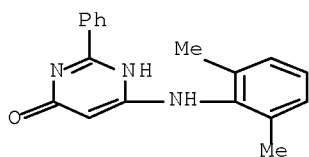
RN 31595-75-2 HCAPLUS

CN 4(1H)-Pyrimidinone, 6-[(4-chlorophenyl)amino]-2-phenyl- (9CI) (CA INDEX NAME)

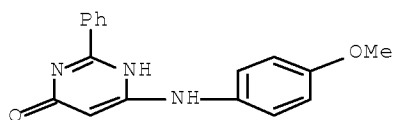


RN 658689-90-8 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-[(2,6-dimethylphenyl)amino]-2-phenyl- (CA INDEX NAME)



RN 658689-91-9 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 6-[(4-methoxyphenyl)amino]-2-phenyl- (CA INDEX NAME)



L53 ANSWER 5 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:878265 HCAPLUS Full-text  
 DOCUMENT NUMBER: 141:366255  
 TITLE: Preparation of substituted pyrimidinamines and triazinamines as protein kinase inhibitors  
 INVENTOR(S): Ding, Qiang; Sim, Tae-Bo; Zhang, Guobao; Adrian, Francisco; Gray, Nathanael S.; Schultz, Peter G.  
 PATENT ASSIGNEE(S): IRM LLC, Bermuda  
 SOURCE: PCT Int. Appl., 54 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089286	A2	20041021	WO 2004-US10083	20040402 <--
WO 2004089286	A3	20050421		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GM, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050014753	A1	20050120	US 2004-817328	20040401 <--
AU 2004227943	A1	20041021	AU 2004-227943	20040402 <--
AU 2004227943	B2	20080904		
CA 2521184	A1	20041021	CA 2004-2521184	20040402 <--
EP 1613595	A2	20060111	EP 2004-758738	20040402 <--

Serial No.:10/595,734

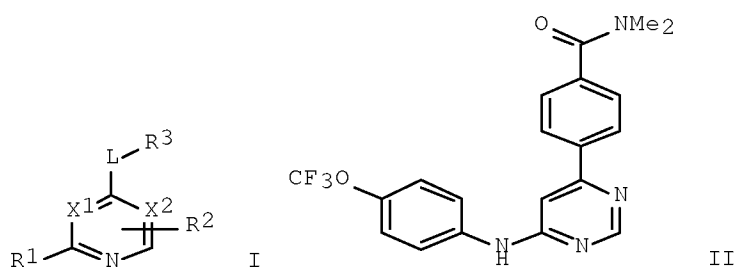
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

BR 2004009173	A	20060411	BR 2004-9173	20040402 <--
CN 1798734	A	20060705	CN 2004-80015433	20040402 <--
JP 2006522143	T	20060928	JP 2006-509594	20040402 <--
MX 2005PA10711	A	20051215	MX 2005-PA10711	20051004 <--
IN 2005CN02515	A	20070831	IN 2005-CN2515	20051004 <--
PRIORITY APPLN. INFO.:			US 2003-460838P	P 20030404 <--
			US 2004-817328	A 20040401
			WO 2004-US10083	W 20040402

OTHER SOURCE(S): MARPAT 141:366255

ED Entered STN: 22 Oct 2004

GI



AB The title compds. [I; X1, X2 = N, CR4 (wherein R4 = H, alkyl); L = a bond, O, NR5 (R5 = H, alkyl); R1 = X3NR6R7, X3OR7, X3R7 (X3 = a bond, alkylene; R6 = H, alkyl; R7 = aryl, heteroaryl); R2 = H, halo, NH2, etc.; R3 = (heterocycloalkyl)alkyl, heteroarylalkyl, arylalkyl, etc.], useful for treating or preventing diseases or disorders associated with abnormal or deregulated tyrosine kinase activity, particularly diseases associated with the activity of PDGF-R, c-Kit and Bcr-abl, were prepared E.g., a multi-step synthesis of II, starting from 4,6-dichloropyrimidine and p-trifluoromethoxyaniline, was given. The compds. I preferably show an IC50 in the range of 1x10<sup>-10</sup> to 1x10<sup>-5</sup>M for Bcr-abl (specific data for one of the exemplified compds. I are given). The pharmaceutical composition comprising the compound I is claimed.

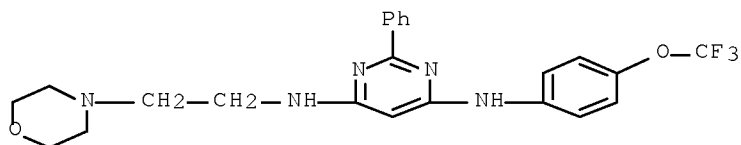
IT 778272-32-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted pyrimidinamines and triazinamines as protein kinase inhibitors for treating tumors)

RN 778272-32-5 HCAPLUS

CN 4,6-Pyrimidinediamine, N4-[2-(4-morpholinyl)ethyl]-2-phenyl-N6-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

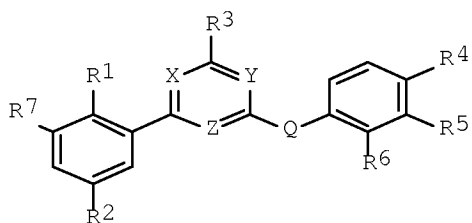


L53 ANSWER 6 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:857162 HCAPLUS Full-text  
 DOCUMENT NUMBER: 141:350185  
 TITLE: Preparation of pyrimidine derivatives with  
 lysophosphatidic acid acyltransferase  $\beta$   
 (LPAAT- $\beta$ ) inhibitory activity  
 INVENTOR(S): Bhatt, Rama; Gong, Baoqing; Hong, Feng; Jenkins, Scott  
 A.; Klein, J. Peter; Kohm, Cory T.; Tulinsky, John  
 PATENT ASSIGNEE(S): Cell Therapeutics, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 80 pp., which  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

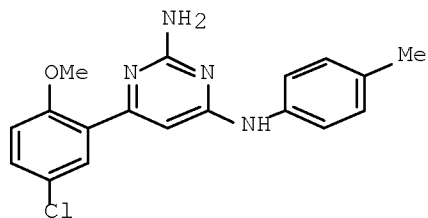
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040204386	A1	20041014	US 2003-671070	20030924 <--
US 7419984	B2	20080902		

PRIORITY APPLN. INFO.:  
 US 2002-419694P P 20021017 <--  
 US 2003-460776P P 20030404 <--

OTHER SOURCE(S): CASREACT 141:350185; MARPAT 141:350185  
 ED Entered STN: 18 Oct 2004  
 GI



I



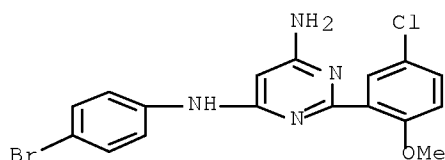
II

AB The title compds. I [X, Y, Z = N, CH, or CR with the proviso that two of X, Y and Z are N; R = alkyl, alkoxy, Cl, Br, (substituted)amino; Q = NR', R'N-(CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>)<sub>n</sub>-NR', O, O-(CH<sub>2</sub>)<sub>n</sub>, (CH<sub>2</sub>)<sub>n</sub>-O, S, S-(CH<sub>2</sub>)<sub>n</sub>, or (CH<sub>2</sub>)<sub>n</sub>-S; n = 1-10; R' = H or alkyl; R<sub>1</sub> = H, OH, alkyl, alkoxy, Cl, F, Br, etc.; R<sub>2</sub>, R<sub>7</sub> = H, OH, alkyl, alkoxy, Cl, F, Br, I, etc.; R<sub>3</sub> = H, alkyl, alkoxy, Cl, CCl<sub>3</sub>, (substituted)amino; R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> = H, OH, alkyl, alkenyl, alkynyl, alkoxy, etc. or R<sub>4</sub>, R<sub>5</sub> or R<sub>5</sub>, R<sub>6</sub> are taken together with benzene ring to form a heterocycle] are prepared as lysophosphatidic acid acyltransferase  $\beta$  (LPAAT- $\beta$ ) inhibitors for the treatment of diseases related to cell proliferation, such as cancer. For example, reaction of 6-chloro-N<sub>4</sub>-(4-methylphenyl)-pyrimidine-2,4-diamine (preparation given) with 5-chloro-2-methoxy-Ph boronic acid yielded compound II. The latter exhibits an IC<sub>50</sub> = 0.12  $\mu$ M in the LPAAT- $\beta$  assay.

IT 710334-89-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrimidine derivs. with lysophosphatidic acid acyltransferase  $\beta$  (LPAAT- $\beta$ ) inhibitory activity)

RN 710334-89-7 HCAPLUS

CN 4,6-Pyrimidinediamine, N<sub>4</sub>-(4-bromophenyl)-2-(5-chloro-2-methoxyphenyl)- (CA INDEX NAME)

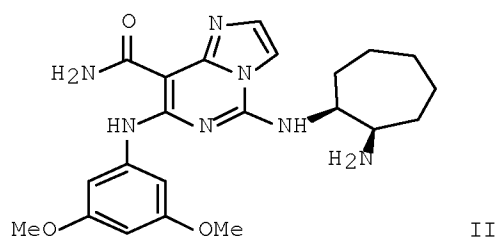
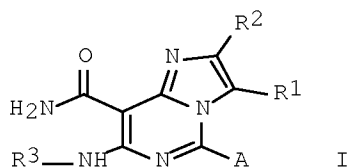


REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 7 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:588212 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 141:140458  
 TITLE: Preparation of imidazopyrimidines as tyrosine kinase inhibitors  
 INVENTOR(S): Hirabayashi, Akihito; Mukoyama, Harunobu; Shiohara, Hiroaki; Kobayashi, Hiroaki; Terao, Yoshihiro; Miyazawa, Keiji; Misawa, Keiko; Onoda, Hideki  
 PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 117 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
JP 2004203748	A	20040722	JP 2002-371196	20021224 <--
PRIORITY APPLN. INFO.:			JP 2002-371196	20021224 <--

OTHER SOURCE(S): MARPAT 141:140458  
 ED Entered STN: 23 Jul 2004  
 GI



AB Title compds. I [R1, R2 = H, alkyl, etc.; R3 = H, alkyl, etc.; A = H, alkyl, etc.] were disclosed. In Syk tyrosine kinase inhibition assays, the Ki value of compound II was 1.6 nM. Of note, compds. I have potent inhibition activity against ZAP-70 and/or Syk tyrosine kinase. Compds. I are claimed useful for the treatment of bronchial asthma, allergic rhinitis, etc.

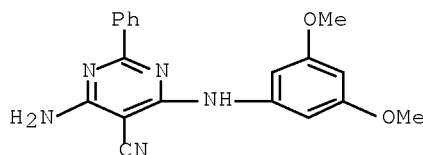
IT 725238-40-4F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazopyrimidines as tyrosine kinase inhibitors for treatment of bronchial asthma and allergic dermatitis)

RN 725238-40-4 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-6-[(3,5-dimethoxyphenyl)amino]-2-phenyl- (CA INDEX NAME)



L53 ANSWER 8 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:331897 HCAPLUS Full-text

DOCUMENT NUMBER: 140:350578

TITLE: Small organic compounds for modulation of cholesterol transport via regulation of the scavenger receptor SR-BI for HDL

## Serial No.:10/595,734

INVENTOR(S): Nieland, Thomas J. F.; Krieger, Monty; Kirchhausen, Tomas  
 PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA; Center for Blood Research, Inc.  
 SOURCE: PCT Int. Appl., 51 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004032716	A2	20040422	WO 2003-US31918	20031008 <--
WO 2004032716	A9	20040819		
WO 2004032716	A3	20040930		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2501685	A1	20040422	CA 2003-2501685	20031008 <--
AU 2003288925	A1	20040504	AU 2003-288925	20031008 <--
US 20040171073	A1	20040902	US 2003-681746	20031008 <--
EP 1562605	A2	20050817	EP 2003-781314	20031008 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006515274	T	20060525	JP 2004-543548	20031008 <--
PRIORITY APPLN. INFO.:			US 2002-417083P	P 20021008 <--
			WO 2003-US31918	W 20031008 <--

ED Entered STN: 23 Apr 2004

AB Methods for regulation of lipid and cholesterol uptake are described which are based on regulation of the expression or function of the SR-BI HDL receptor. The examples demonstrate that estrogen dramatically down-regulates SR-BI under conditions of tremendous upregulation of the LDL-receptor. The examples also demonstrate the upregulation of SR-BI in rat adrenal membranes and other non-placental steroidogenic tissues from animals treated with estrogen, but not in other non-placental non-steroidogenic tissues, including lung, liver, and skin. Examples further demonstrate the uptake of fluorescently labeled HDL into the liver cells of animal, which does not occur when the animals are treated with estrogen. Examples also demonstrate the in vivo effects of SR-BI expression on HDL metabolism, in mice transiently overexpressing hepatic SR-BI following recombinant adenovirus infection. Overexpression of the SR-BI in the hepatic tissue caused a dramatic decrease in cholesterol blood levels. These results demonstrate that modulation of SR-BI levels, either directly or indirectly, can be used to modulate levels of cholesterol in the blood. Over 200 small organic compds. are identified that alter the transfer of lipids between HDL and cells mediated by the HDL receptor SR-BI, cellular and selective lipid uptake of HDL cholesteryl ether, and efflux of cellular cholesterol to HDL; several compds. have IC50 values in the micromolar or lower range. They specifically alter SR-BI binding, as they required the expression of active SR-BI receptors and they did not interfere with several clathrin-dependent and independent endocytic pathways, the secretory pathway, nor the actin- or tubulin cytoskeletal networks. Strikingly, inhibition of

Serial No.:10/595,734

lipid transfer was accompanied by enhanced HDL binding affinity (reduced dissociation rates).

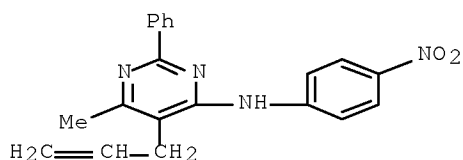
IT 330819-79-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(small organic compds. for modulation of cholesterol transport via regulation of the scavenger receptor SR-BI for HDL)

RN 330819-79-9 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)- (CA INDEX NAME)



L53 ANSWER 9 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:318779 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:74520

TITLE: The synthesis and antibacterial activity of 3-alkyl derivatives of some pyrimido[4,5-d] pyrimidines

AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Gubrynowicz, Olaf

CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, 50-137, Pol.

SOURCE: Acta Poloniae Pharmaceutica (2003), 60(6), 487-492

CODEN: APPHAX; ISSN: 0001-6837

PUBLISHER: Polish Pharmaceutical Society

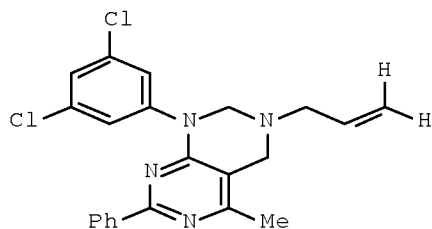
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:74520

ED Entered STN: 20 Apr 2004

GI



I

AB The synthesis of 4,5-diamino derivs. of pyrimidine and pyrimido[4,5-d]pyrimidines, e.g., I, is presented. The antibacterial and antifungal activity of the compds. was investigated on nine selected bacterial species, comparing the changes in the chemical structure with increase in the bioactive properties. The investigations have shown that the obtained derivs. of



pyrimido[4,5-d]pyrimidines show interesting antibacterial and antifungal activity.

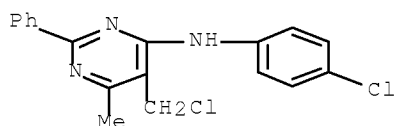
IT 164926-93-6 164927-17-7 186804-33-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

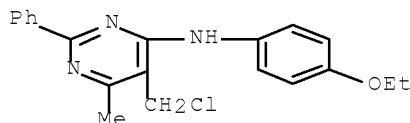
RN 164926-93-6 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(4-chlorophenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



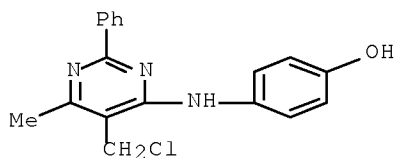
RN 164927-17-7 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(4-ethoxyphenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



RN 186804-33-1 HCAPLUS

CN Phenol, 4-[[5-(chloromethyl)-6-methyl-2-phenyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



IT 164926-95-8P 813436-01-0P 813436-04-3P

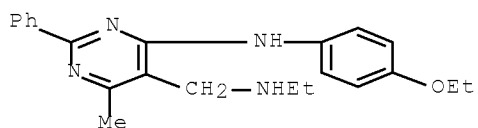
813436-05-4P 873427-25-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

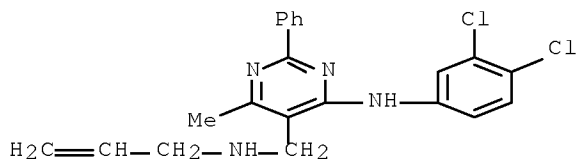
RN 164926-95-8 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(4-ethoxyphenyl)amino]-N-ethyl-6-methyl-2-phenyl- (CA INDEX NAME)



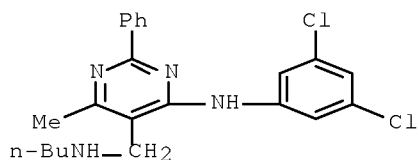
RN 813436-01-0 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(3,4-dichlorophenyl)amino]-6-methyl-2-phenyl-N-2-propen-1-yl- (CA INDEX NAME)



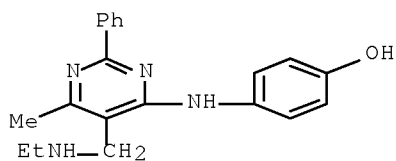
RN 813436-04-3 HCAPLUS

CN 5-Pyrimidinemethanamine, N-butyl-4-[(3,5-dichlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



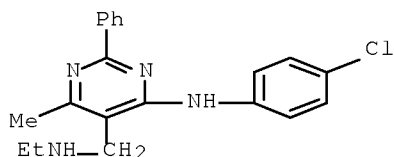
RN 813436-05-4 HCAPLUS

CN Phenol, 4-[[5-[(ethylamino)methyl]-6-methyl-2-phenyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



RN 873427-25-9 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(4-chlorophenyl)amino]-N-ethyl-6-methyl-2-phenyl- (CA INDEX NAME)



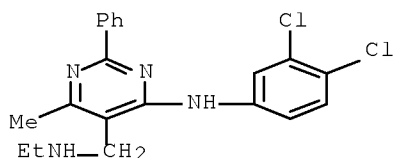
IT 813436-00-9P 813436-02-1P 813436-03-2P

RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation, antimicrobial activity, and SAR of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

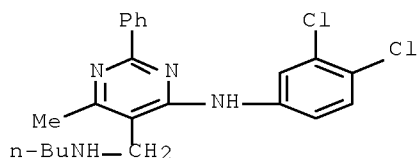
RN 813436-00-9 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(3,4-dichlorophenyl)amino]-N-ethyl-6-methyl-2-phenyl- (CA INDEX NAME)



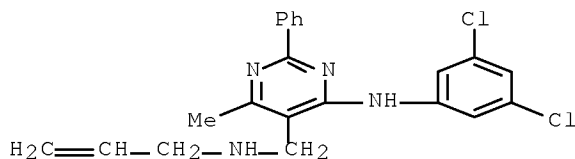
RN 813436-02-1 HCAPLUS

CN 5-Pyrimidinemethanamine, N-butyl-4-[(3,4-dichlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



RN 813436-03-2 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(3,5-dichlorophenyl)amino]-6-methyl-2-phenyl-N-2-propen-1-yl- (CA INDEX NAME)



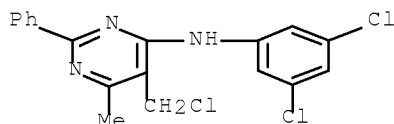
IT 164927-18-8 164927-19-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation, antimicrobial activity, and SAR of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

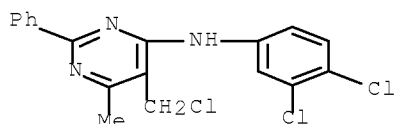
RN 164927-18-8 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(3,5-dichlorophenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



RN 164927-19-9 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(3,4-dichlorophenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 10 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:261678 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 138:287691

TITLE: Preparation of 4-aminopyrimidine derivatives as insulin secretion accelerators

INVENTOR(S): Yonetoku, Yasuhiro; Maruyama, Tatsuya; Negoro, Kenji; Moritomo, Hiroyuki; Imanishi, Naoki; Shimada, Itsuro; Moritomo, Ayako; Hamaguchi, Wataru; Misawa, Hana; Yoshida, Shigeru; Ohishi, Takahide

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

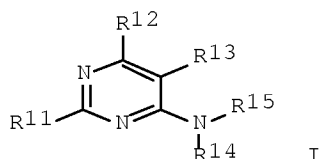
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026661	A1	20030403	WO 2002-JP9350	20020912 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,				

Serial No.:10/595,734

UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002330383 A1 20030407 AU 2002-330383 20020912 <--  
 PRIORITY APPLN. INFO.: JP 2001-279671 A 20010914 <--  
 JP 2002-121012 A 20020423 <--  
 WO 2002-JP9350 W 20020912 <--

OTHER SOURCE(S): MARPAT 138:287691  
 ED Entered STN: 04 Apr 2003  
 GI



AB Disclosed are insulin secretion accelerators containing the 4-aminopyrimidine derivs. [I; R11 = A11-D11 (wherein A11 = single bond, lower alkylene, lower alkenylene; D11 = each (un)substituted aryl, cycloalkyl, or aromatic or non-aromatic heterocyclyl); R12 = H, lower alkyl optionally substituted by ≥1 groups selected from aryl, halo, lower alkoxy, and OH; R13 = H, Me, F; R14 = H, lower alkyl optionally substituted by ≥1 halogens; R15 = A15-D15 (wherein A15 = single bond, lower alkylene, lower alkenylene; D15 = H, lower alkoxy, amino optionally substituted by 1 or 2 groups selected from lower alkyl and aryl, each (un)substituted aryl, cycloalkyl, or aromatic or non-aromatic heterocyclyl)] or pharmaceutically acceptable salts thereof as the active ingredients. These compds. are highly effective in promoting insulin secretion, increasing insulin content, and inhibiting blood sugar level from increasing and are usable for treatments for insulin-dependent diabetes, non-insulin-dependent diabetes, insulin-resistant diseases, and obesity. Thus, a mixture of 284 mg 2-(4-bromophenyl)-4-chloro-6-methylpyrimidine, 1 mL 70% aqueous ethylamine solution, 2 mL MeOH was stirred at room temperature for 2 h and at 60° for 3 h, treated again with 1 mL 70% aqueous ethylamine solution, and stirred at 60° for 5 h to give 198 mg N-[2-(4-bromophenyl)-6-methylpyrimidin-4-yl]ethylamine (II). II in vitro promoted the secretion of insulin in mouse spleen β-cells by 159% vs. 122% for Glibenclamide.

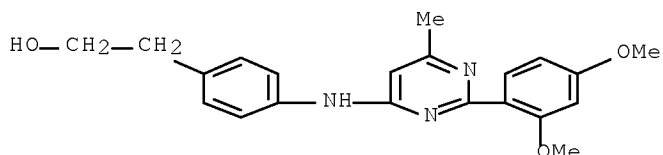
IT 504404-59-5, 2-[4-[[2-(2,4-Dimethoxyphenyl)-6-methylpyrimidine-4-yl]amino]phenyl]ethanol

RL: RCT (Reactant); RACT (Reactant or reagent)

(demethylation and bromination by hydrogen bromide in acetic acid;  
 preparation of 4-aminopyrimidine derivs. as insulin secretion accelerators  
 for treating diabetes, insulin-resistant diseases, and obesity)

RN 504404-59-5 HCAPLUS

CN Benzeneethanol, 4-[[2-(2,4-dimethoxyphenyl)-6-methyl-4-pyrimidinyl]amino]-  
 (CA INDEX NAME)



IT 504404-58-4, 2-[3-[[2-(2-Methoxyphenyl)-6-methylpyrimidine-4-yl]amino]phenyl]-N,N-dimethylacetamide

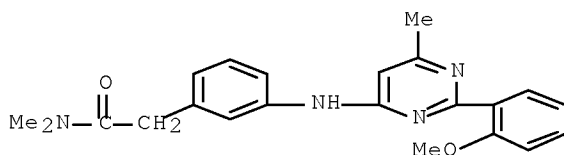
RL: RCT (Reactant); RACT (Reactant or reagent)

(demethylation with pyridine hydrochloride; preparation of 4-aminopyrimidine

derivs. as insulin secretion accelerators for treating diabetes, insulin-resistant diseases, and obesity)

RN 504404-58-4 HCAPLUS

CN Benzeneacetamide, 3-[[2-(2-methoxyphenyl)-6-methyl-4-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)



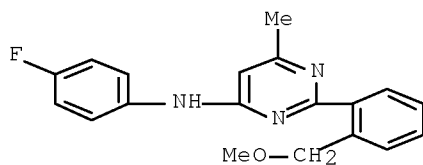
IT 504404-57-3P, 4-Fluoro-N-[2-[2-(methoxymethyl)phenyl]-6-methylpyrimidine-4-yl]aniline

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and demethylation with hydrochloric acid in aqueous propanol; preparation of 4-aminopyrimidine derivs. as insulin secretion accelerators for treating diabetes, insulin-resistant diseases, and obesity)

RN 504404-57-3 HCAPLUS

CN 4-Pyrimidinamine, N-(4-fluorophenyl)-2-[2-(methoxymethyl)phenyl]-6-methyl- (CA INDEX NAME)



IT 378217-44-8P 504399-71-7P 504399-74-0P  
 504399-75-1P 504399-76-2P 504399-77-3P  
 504399-79-5P 504399-80-8P 504399-82-0P  
 504399-83-1P 504399-85-3P 504399-88-6P  
 504399-90-0P 504399-91-1P 504399-92-2P  
 504401-66-5P 504401-67-6P 504404-14-2P  
 504404-24-4P

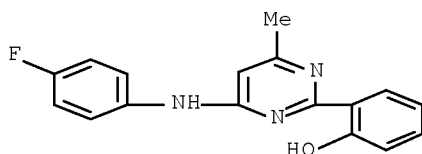
Serial No.:10/595,734

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-aminopyrimidine derivs. as insulin secretion accelerators for treating diabetes, insulin-resistant diseases, and obesity)

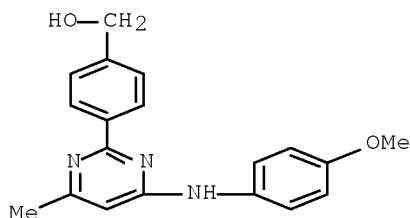
RN 378217-44-8 HCAPLUS

CN Phenol, 2-[4-[(4-fluorophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



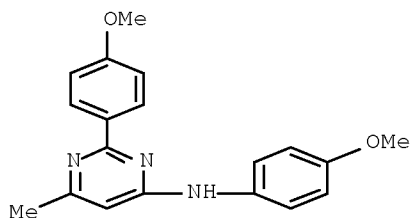
RN 504399-71-7 HCAPLUS

CN Benzenemethanol, 4-[4-[(4-methoxyphenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



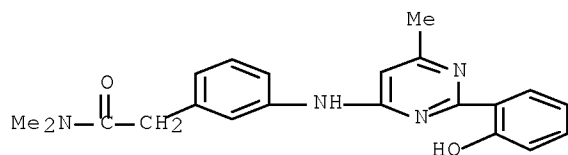
RN 504399-74-0 HCAPLUS

CN 4-Pyrimidinamine, N,2-bis(4-methoxyphenyl)-6-methyl- (CA INDEX NAME)



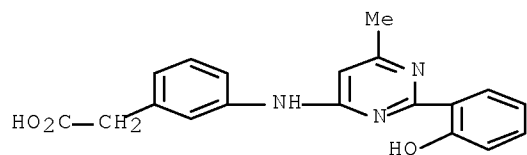
RN 504399-75-1 HCAPLUS

CN Benzeneacetamide, 3-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)



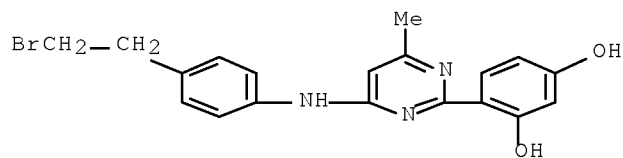
RN 504399-76-2 HCAPLUS

CN Benzeneacetic acid, 3-[[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]amino]-  
(CA INDEX NAME)



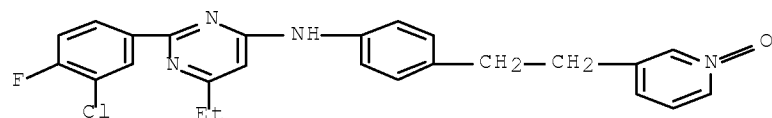
RN 504399-77-3 HCAPLUS

CN 1,3-Benzenediol, 4-[4-[[4-(2-bromoethyl)phenyl]amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



RN 504399-79-5 HCAPLUS

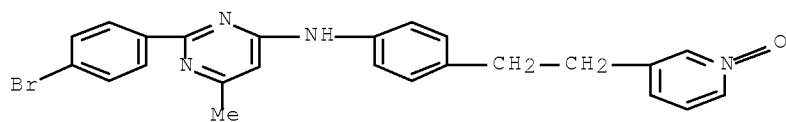
CN 4-Pyrimidinamine, 2-(3-chloro-4-fluorophenyl)-6-ethyl-N-[4-[2-(1-oxido-3-pyridinyl)ethyl]phenyl]- (CA INDEX NAME)



RN 504399-80-8 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-6-methyl-N-[4-[2-(1-oxido-3-pyridinyl)ethyl]phenyl]- (CA INDEX NAME)

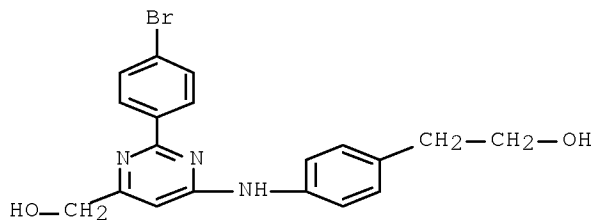




RN 504399-82-0 HCAPLUS  
 CN 4-Pyrimidinemethanol, 2-(4-bromophenyl)-6-[[4-(2-hydroxyethyl)phenyl]amino]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

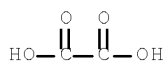
CM 1

CRN 504399-81-9  
 CMF C19 H18 Br N3 O2

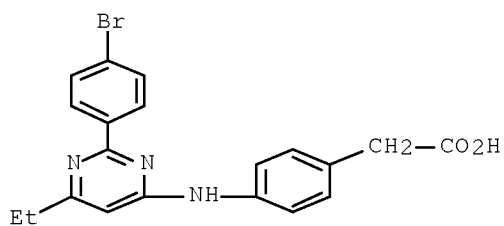


CM 2

CRN 144-62-7  
 CMF C2 H2 O4



RN 504399-83-1 HCAPLUS  
 CN Benzeneacetic acid, 4-[[2-(4-bromophenyl)-6-ethyl-4-pyrimidinyl]amino]-, hydrochloride (1:1) (CA INDEX NAME)

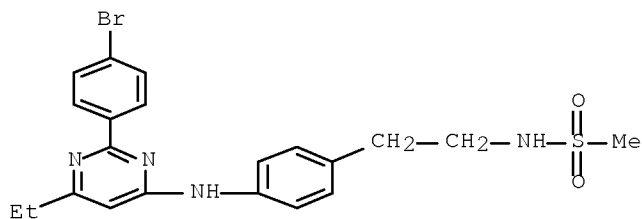


● HCl

RN 504399-85-3 HCAPLUS  
 CN Methanesulfonamide, N-[2-[4-[[2-(4-bromophenyl)-6-ethyl-4-pyrimidinyl]amino]phenyl]ethyl]-, ethanedioate (1:1) (CA INDEX NAME)

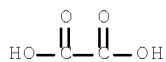
CM 1

CRN 504399-84-2  
 CMF C21 H23 Br N4 O2 S

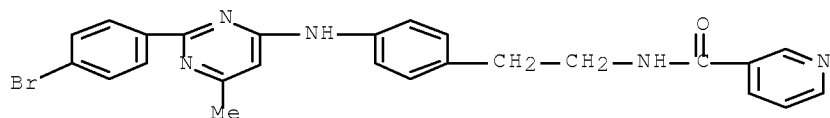


CM 2

CRN 144-62-7  
 CMF C2 H2 O4



RN 504399-88-6 HCAPLUS  
 CN 3-Pyridinecarboxamide, N-[2-[4-[[2-(4-bromophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]ethyl]- (CA INDEX NAME)



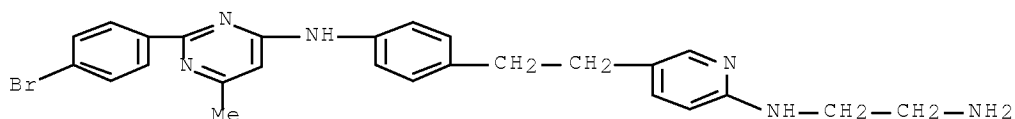
RN 504399-90-0 HCAPLUS

CN 1,2-Ethanediamine, N1-[5-[2-[4-[[2-(4-bromophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]ethyl]-2-pyridinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 504399-89-7

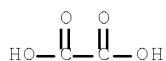
CMF C26 H27 Br N6



CM 2

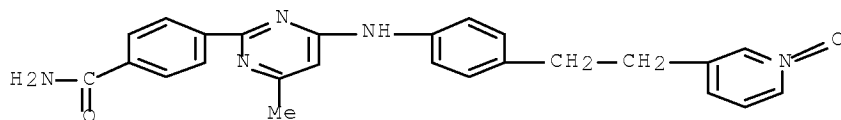
CRN 144-62-7

CMF C2 H2 O4



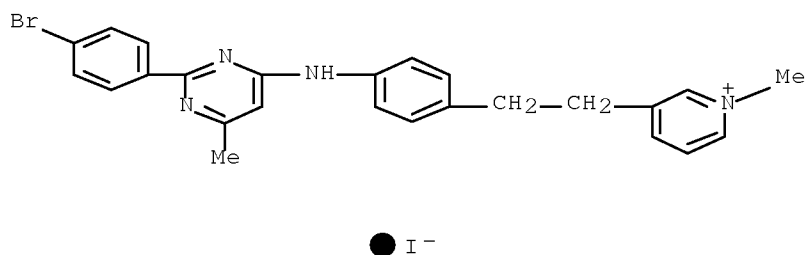
RN 504399-91-1 HCAPLUS

CN Benzamide, 4-[4-methyl-6-[[4-[2-(1-oxido-3-pyridinyl)ethyl]phenyl]amino]-2-pyrimidinyl]- (CA INDEX NAME)



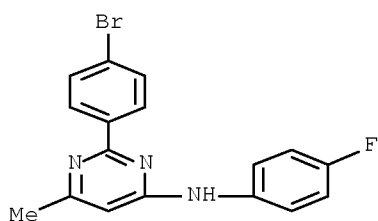
RN 504399-92-2 HCAPLUS

CN Pyridinium, 3-[2-[4-[[2-(4-bromophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]ethyl]-1-methyl-, iodide (1:1) (CA INDEX NAME)



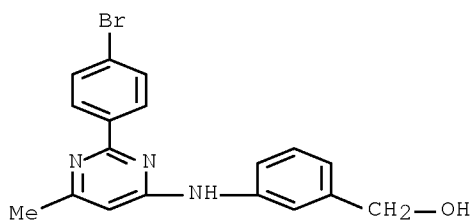
RN 504401-66-5 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(4-fluorophenyl)-6-methyl- (CA INDEX NAME)



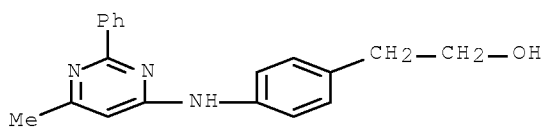
RN 504401-67-6 HCAPLUS

CN Benzenemethanol, 3-[[2-(4-bromophenyl)-6-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



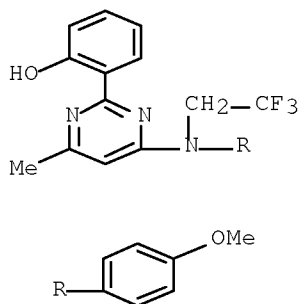
RN 504404-14-2 HCAPLUS

CN Benzeneethanol, 4-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)

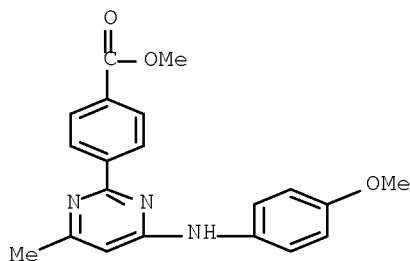


RN 504404-24-4 HCAPLUS

CN Phenol, 2-[4-[(4-methoxyphenyl)(2,2,2-trifluoroethyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



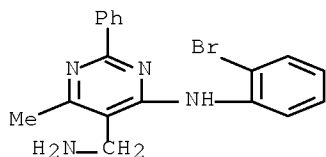
IT 504404-55-1, 4-[4-[(4-Methoxyphenyl)amino]-6-methylpyrimidine-2-yl]benzoic acid methyl ester  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (saponification to free acid; preparation of 4-aminopyrimidine derivs. as insulin secretion accelerators for treating diabetes, insulin-resistant diseases, and obesity)  
 RN 504404-55-1 HCAPLUS  
 CN Benzoic acid, 4-[4-[(4-methoxyphenyl)amino]-6-methyl-2-pyrimidinyl]-, methyl ester (CA INDEX NAME)



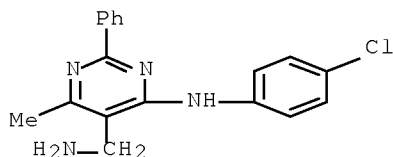
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 11 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:821003 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 138:338078  
 TITLE: Synthesis and antibacterial properties of pyrimidopyrimidines  
 AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Gubrynowicz, Olaf  
 CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, 50-137, Pol.  
 SOURCE: Scientia Pharmaceutica (2002), 70(3), 245-252  
 CODEN: SCPHA4; ISSN: 0036-8709  
 PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft  
 DOCUMENT TYPE: Journal

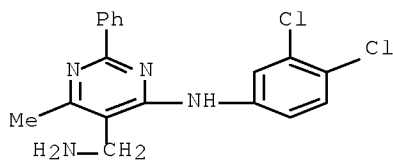
LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 138:338078  
 ED Entered STN: 29 Oct 2002  
 AB The paper presents the synthesis of newly prepared derivs. of 6-methyl-2-phenyl-4-phenylamino-5-aminomethylpyrimidine and 5-methyl-1,7-diphenyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidine and also the results of microbiol. studies. Pyrimidopyrimidine derivs. prepared show a certain analogy in their chemical structure to quinolone structures and also- as might have been expected - they inhibit to a large extent the growth of bacterial strains, in some cases better than some antibiotics and sulfonamides used at present.  
 IT 515167-37-0P 515167-41-6P 515167-43-8P  
 515167-45-0P 515167-47-2P 515167-49-4P  
 515167-51-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (synthesis and antibacterial properties of pyrimidopyrimidines)  
 RN 515167-37-0 HCAPLUS  
 CN 5-Pyrimidinemethanamine, 4-[(2-bromophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



RN 515167-41-6 HCAPLUS  
 CN 5-Pyrimidinemethanamine, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



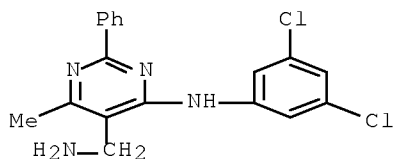
RN 515167-43-8 HCAPLUS  
 CN 5-Pyrimidinemethanamine, 4-[(3,4-dichlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



RN 515167-45-0 HCAPLUS

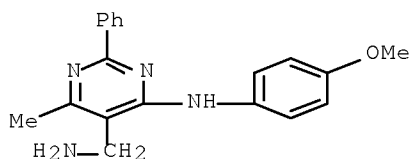
Serial No.:10/595,734

CN 5-Pyrimidinemethanamine, 4-[(3,5-dichlorophenyl)amino]-6-methyl-2-phenyl-  
(CA INDEX NAME)



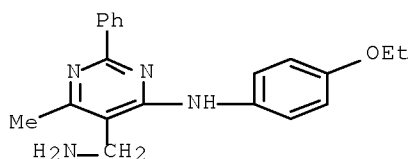
RN 515167-47-2 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(4-methoxyphenyl)amino]-6-methyl-2-phenyl-  
(CA INDEX NAME)



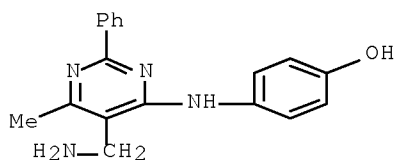
RN 515167-49-4 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(4-ethoxyphenyl)amino]-6-methyl-2-phenyl- (CA  
INDEX NAME)



RN 515167-51-8 HCAPLUS

CN Phenol, 4-[[5-(aminomethyl)-6-methyl-2-phenyl-4-pyrimidinyl]amino]- (CA  
INDEX NAME)



IT 515167-31-4P 515167-33-6P 515167-35-8P

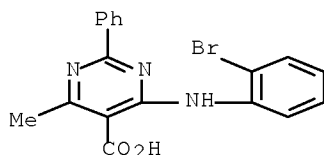
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

Serial No.:10/595,734

(synthesis and antibacterial properties of pyrimidopyrimidines)

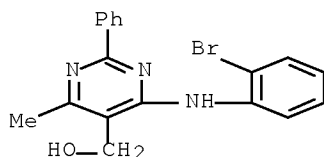
RN 515167-31-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(2-bromophenyl)amino]-6-methyl-2-phenyl-  
(CA INDEX NAME)



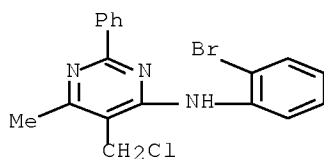
RN 515167-33-6 HCAPLUS

CN 5-Pyrimidinemethanol, 4-[(2-bromophenyl)amino]-6-methyl-2-phenyl- (CA  
INDEX NAME)



RN 515167-35-8 HCAPLUS

CN 4-Pyrimidinamine, N-(2-bromophenyl)-5-(chloromethyl)-6-methyl-2-phenyl-  
(CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 12 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:465821 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 137:47211

TITLE: Substituted 2-aryl-4-arylamino pyrimidines and analogs  
as activators of caspases and inducers of apoptosis,  
their preparation, and the use thereof as, e.g.,  
anticancer agents

INVENTOR(S): Cai, Sui Xiong; Drewe, John A.; Nguyen, Bao; Reddy, P.  
Sanjeeva; Pervin, Azra

PATENT ASSIGNEE(S): Cytovia, Inc., USA

SOURCE: PCT Int. Appl., 210 pp.

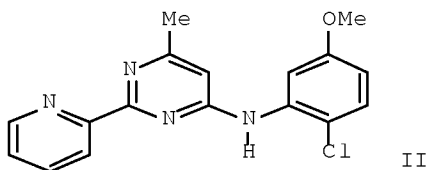
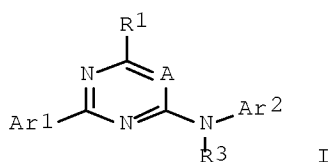
CODEN: PIXXD2

DOCUMENT TYPE: Patent



LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002047690	A1	20020620	WO 2001-US47498	20011212 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002028922	A	20020624	AU 2002-28922	20011212 <--
US 20030069239	A1	20030410	US 2001-12444	20011212 <--
US 6716851	B2	20040406		
EP 1351691	A1	20031015	EP 2001-990048	20011212 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20040097503	A1	20040520	US 2003-704448	20031110 <--
US 7226927	B2	20070605		
PRIORITY APPLN. INFO.:			US 2000-254581P	P 20001212 <--
			US 2001-12444	A3 20011212 <--
			WO 2001-US47498	W 20011212 <--
OTHER SOURCE(S): MARPAT 137:47211				
ED Entered STN: 21 Jun 2002				
GI				



AB The invention is directed to substituted 2-aryl-4-(arylamino)pyrimidines I and analogs thereof [Ar1, Ar2 = (independently) optionally substituted aryl or heteroaryl; A = N or C-R2; R1, R2 = (independently) H, halo, haloalkyl, aryl, fused aryl, carbocyclic, heterocyclic, heteroaryl, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl, carbocycloalkyl, heterocycloalkyl, hydroxyalkyl, nitro, amino, cyano, acylamido, OH, SH, acyloxy, N3, alkoxy, aryloxy, arylalkoxy, haloalkoxy, CO2H, carbonylamido, or alkylthio; and R3 = H, optionally substituted alkyl or cycloalkyl]. The invention also relates to the discovery that compds. I are activators of caspases and inducers of apoptosis. I may be used to induce cell death in a variety of clin. conditions in which uncontrolled growth and spread of abnormal cells occurs. In particular, a method of treating disorders responsive to the induction of apoptosis, comprising administration of I, or a pharmaceutically acceptable salt or

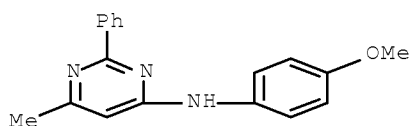
prodrug thereof, is claimed. Over 200 specific examples of I are described. For instance, condensation of 4-chloro-6-methyl-2-(2-pyridinyl)pyrimidine with 2-chloro-5-methoxyaniline gave title compound II in 44% yield. This compound induced apoptosis and activated caspase cascade in human breast cancer cell lines T-47D and ZR-75-1. Another compound I also showed marked selectivity for human breast cancer cells over other, non-breast cancer cell lines.

IT 300359-08-4P, 4-(4-Methoxyanilino)-6-methyl-2-phenylpyrimidine  
 438247-47-3P, 6-Chloro-4-(4-methoxyanilino)-2-phenylpyrimidine  
 438247-48-4P, 4-(4-Methoxyanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-49-5P, 4-(4-Methoxyanilino)-6-methyl-2-(3-methylphenyl)pyrimidine 438247-50-8P,  
 4-[4-(Dimethylamino)anilino]-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-51-9P, 4-[4-(Dimethylamino)anilino]-6-methyl-2-(3-methylphenyl)pyrimidine  
 438247-54-2P, 4-(3-Methoxyanilino)-6-methyl-2-(3-methylphenyl)pyrimidine 438247-57-5P, 4-(3-Methoxyanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-74-6P,  
 4-(2,5-Dimethoxyanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-81-5P, 6-Morpholino-4-(3-methoxyanilino)-2-phenylpyrimidine  
 438247-82-6P, 6-Morpholino-4-(2,5-dimethoxyanilino)-2-phenyl-4-pyrimidine 438247-91-7P, 4-(2-Chloro-5-methoxyanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-92-8P,  
 4-(5-Methoxy-2-methylanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438248-08-9P, 4-(3-Methoxyanilino)-2-phenyl-6-(trifluoromethyl)pyrimidine 438248-10-3P,  
 4-(2,5-Dimethoxyanilino)-2-phenyl-6-(trifluoromethyl)pyrimidine 438248-12-5P, 4-(3,4-Dimethoxyanilino)-2-phenyl-6-(trifluoromethyl)pyrimidine 438248-14-7P, 4-(5-Methoxy-2-methylanilino)-2-phenyl-6-(trifluoromethyl)pyrimidine 438248-16-9P  
 , 4-(2-Chloro-5-methoxyanilino)-2-phenyl-6-(trifluoromethyl)pyrimidine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted aryl(arylamino)pyrimidines and analogs as caspase activators, apoptosis inducers, and anticancer agents)

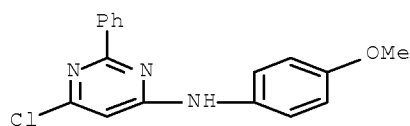
RN 300359-08-4 HCAPLUS

CN 4-Pyrimidinamine, N-(4-methoxyphenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



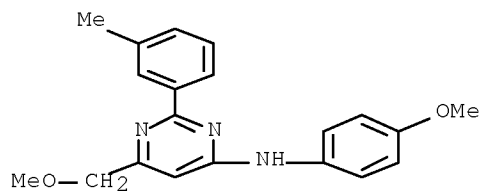
RN 438247-47-3 HCAPLUS

CN 4-Pyrimidinamine, 6-chloro-N-(4-methoxyphenyl)-2-phenyl- (CA INDEX NAME)



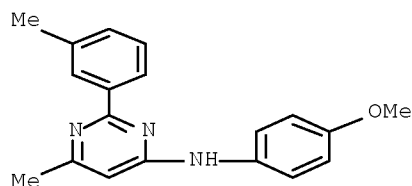
RN 438247-48-4 HCAPLUS

CN 4-Pyrimidinamine, 6-(methoxymethyl)-N-(4-methoxyphenyl)-2-(3-methylphenyl)-  
(CA INDEX NAME)



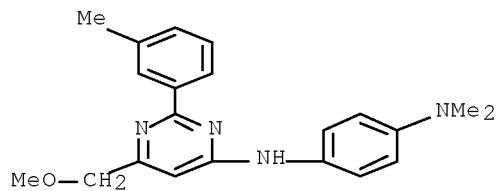
RN 438247-49-5 HCAPLUS

CN 4-Pyrimidinamine, N-(4-methoxyphenyl)-6-methyl-2-(3-methylphenyl)- (CA  
INDEX NAME)



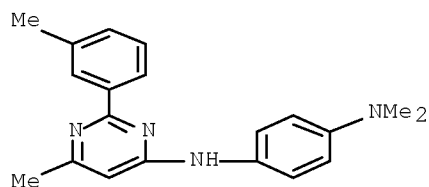
RN 438247-50-8 HCAPLUS

CN 1,4-Benzenediamine, N4-[6-(methoxymethyl)-2-(3-methylphenyl)-4-  
pyrimidinyl]-N1,N1-dimethyl- (CA INDEX NAME)

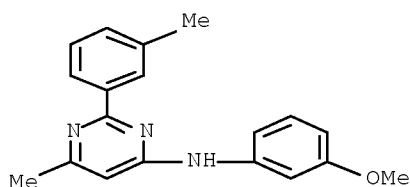


RN 438247-51-9 HCAPLUS

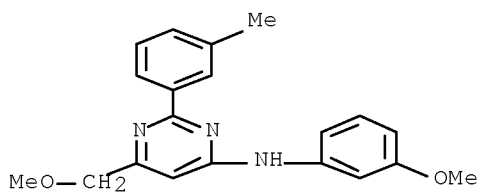
CN 1,4-Benzenediamine, N1,N1-dimethyl-N4-[6-methyl-2-(3-methylphenyl)-4-  
pyrimidinyl]- (CA INDEX NAME)



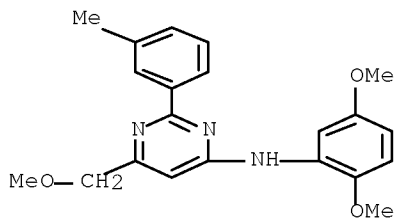
RN 438247-54-2 HCAPLUS  
CN 4-Pyrimidinamine, N-(3-methoxyphenyl)-6-methyl-2-(3-methylphenyl)- (CA INDEX NAME)



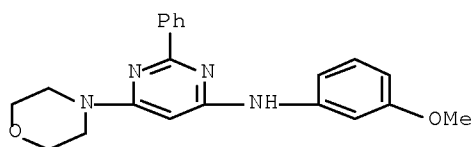
RN 438247-57-5 HCAPLUS  
CN 4-Pyrimidinamine, 6-(methoxymethyl)-N-(3-methoxyphenyl)-2-(3-methylphenyl)- (CA INDEX NAME)



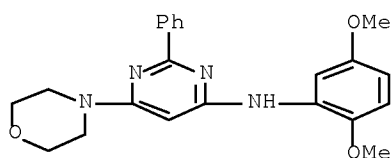
RN 438247-74-6 HCAPLUS  
CN 4-Pyrimidinamine, N-(2,5-dimethoxyphenyl)-6-(methoxymethyl)-2-(3-methylphenyl)- (CA INDEX NAME)



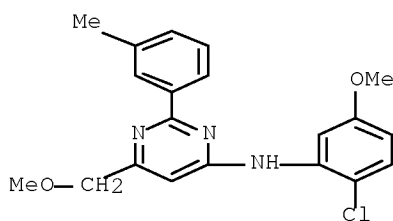
RN 438247-81-5 HCAPLUS  
CN 4-Pyrimidinamine, N-(3-methoxyphenyl)-6-(4-morpholinyl)-2-phenyl- (CA INDEX NAME)



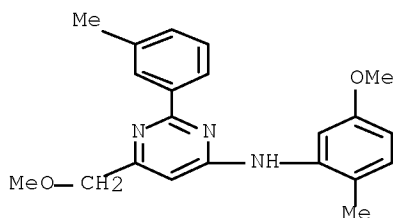
RN 438247-82-6 HCAPLUS  
 CN 4-Pyrimidinamine, N-(2,5-dimethoxyphenyl)-6-(4-morpholinyl)-2-phenyl- (CA INDEX NAME)



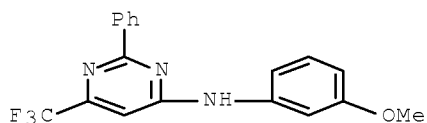
RN 438247-91-7 HCAPLUS  
 CN 4-Pyrimidinamine, N-(2-chloro-5-methoxyphenyl)-6-(methoxymethyl)-2-(3-methylphenyl)- (CA INDEX NAME)



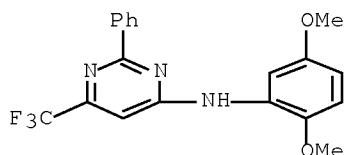
RN 438247-92-8 HCAPLUS  
 CN 4-Pyrimidinamine, 6-(methoxymethyl)-N-(5-methoxy-2-methylphenyl)-2-(3-methylphenyl)- (CA INDEX NAME)



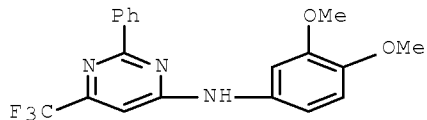
RN 438248-08-9 HCAPLUS  
 CN 4-Pyrimidinamine, N-(3-methoxyphenyl)-2-phenyl-6-(trifluoromethyl)- (CA INDEX NAME)



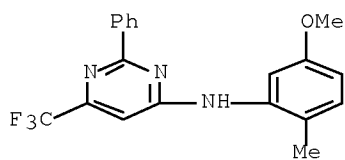
RN 438248-10-3 HCAPLUS  
 CN 4-Pyrimidinamine, N-(2,5-dimethoxyphenyl)-2-phenyl-6-(trifluoromethyl)-  
 (CA INDEX NAME)



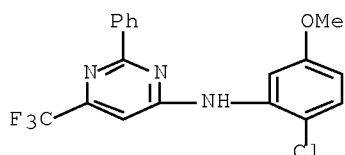
RN 438248-12-5 HCAPLUS  
 CN 4-Pyrimidinamine, N-(3,4-dimethoxyphenyl)-2-phenyl-6-(trifluoromethyl)-  
 (CA INDEX NAME)



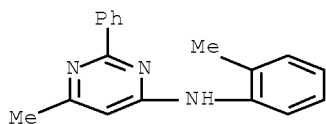
RN 438248-14-7 HCAPLUS  
 CN 4-Pyrimidinamine, N-(5-methoxy-2-methylphenyl)-2-phenyl-6-(trifluoromethyl)-  
 (CA INDEX NAME)



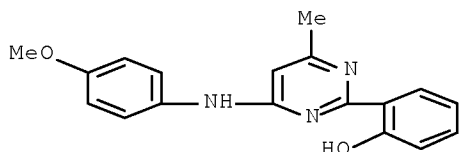
RN 438248-16-9 HCAPLUS  
 CN 4-Pyrimidinamine, N-(2-chloro-5-methoxyphenyl)-2-phenyl-6-(trifluoromethyl)-  
 (CA INDEX NAME)



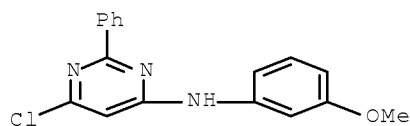
IT 300359-07-3, 4-(2-Methylanilino)-2-phenyl-6-methylpyrimidine  
 331648-44-3, 4-(4-Methoxyanilino)-2-(2-hydroxyphenyl)-6-methylpyrimidine 438249-80-0, 4-(3-Methoxyanilino)-2-phenyl-6-chloropyrimidine  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (drug candidate; preparation of substituted aryl(arylamino)pyrimidines and analogs as caspase activators, apoptosis inducers, and anticancer agents)  
 RN 300359-07-3 HCAPLUS  
 CN 4-Pyrimidinamine, 6-methyl-N-(2-methylphenyl)-2-phenyl- (CA INDEX NAME)



RN 331648-44-3 HCAPLUS  
 CN Phenol, 2-[4-[(4-methoxyphenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



RN 438249-80-0 HCAPLUS  
 CN 4-Pyrimidinamine, 6-chloro-N-(3-methoxyphenyl)-2-phenyl- (CA INDEX NAME)



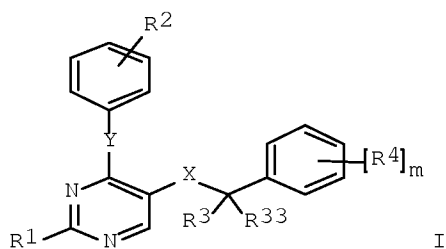
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

# Serial No.:10/595,734

L53 ANSWER 13 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:408655 HCAPLUS Full-text  
 DOCUMENT NUMBER: 137:6189  
 TITLE: Preparation of pyrimidine derivatives as NK1  
 antagonists  
 INVENTOR(S): Stadler, Heinz  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
 SOURCE: PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042280	A2	20020530	WO 2001-EP13084	20011113 <--
WO 2002042280	A3	20020822		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20020099207	A1	20020725	US 2001-977586	20011015 <--
US 6787539	B2	20040907		
CA 2429570	A1	20020530	CA 2001-2429570	20011113 <--
AU 2002027921	A	20020603	AU 2002-27921	20011113 <--
EP 1339698	A2	20030903	EP 2001-989463	20011113 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015480	A	20031021	BR 2001-15480	20011113 <--
HU 2003003045	A2	20031229	HU 2003-3045	20011113 <--
HU 2003003045	A3	20040329		
JP 2004514673	T	20040520	JP 2002-544415	20011113 <--
JP 3993100	B2	20071017		
NZ 525555	A	20041029	NZ 2001-525555	20011113 <--
CN 1628103	A	20050615	CN 2001-819116	20011113 <--
CN 1309710	C	20070411		
AU 2002227921	B2	20060216	AU 2002-227921	20011113 <--
RU 2284997	C2	20061010	RU 2003-117481	20011113 <--
ZA 2003003517	A	20040810	ZA 2003-3517	20030507 <--
MX 2003PA04453	A	20030819	MX 2003-PA4453	20030520 <--
NO 2003002291	A	20030521	NO 2003-2291	20030521 <--
NO 324865	B1	20071217		
IN 2003CN00786	A	20050415	IN 2003-CN786	20030521 <--
BG 107840	A	20040130	BG 2003-107840	20030522 <--
HK 1078079	A1	20070622	HK 2005-110085	20051111 <--
PRIORITY APPLN. INFO.:			EP 2000-125529	A 20001122 <--
			WO 2001-EP13084	W 20011113 <--
OTHER SOURCE(S): MARPAT 137:6189				
ED Entered STN: 31 May 2002				
GI				





AB The title compds. [I; R1 = alkyl, alkoxy, pyridinyl, pyrimidinyl, etc.; R2 = H, alkyl, alkoxy, halo, CF<sub>3</sub>; R3, R33 = H, alkyl; R4 = halo, CF<sub>3</sub>, alkoxy; R5 = H, alkyl; X = CONR, NRCO; Y = O, S, SO<sub>2</sub>, NR; m = 0-2] which have a good affinity to the NK1 receptor and therefore are suitable in the treatment of diseases, related to this receptor, were prepared and formulated. Thus, reacting 4-chloro-2-methylsulfanylpurimidine-5- carboxylic acid Et ester with o-cresol in the presence of Cs<sub>2</sub>CO<sub>3</sub> in MeCN (99%) followed by saponification (47%), and amidation of the resulting acid with [3,5-bis(trifluoromethyl)benzyl]methylamine (96%) afforded I [R1 = SMe; R2 = 2-Me; R3, R33 = H; R4 = 3,5-(CF<sub>3</sub>)<sub>2</sub>; Y = O; X = CONMe] which showed pK<sub>i</sub> of 7.38 against NK-1 receptor binding.

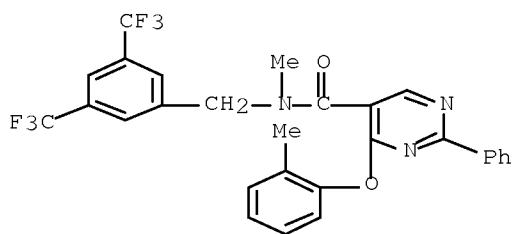
IT 432521-18-1F 432521-49-8F

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as NK1 antagonists)

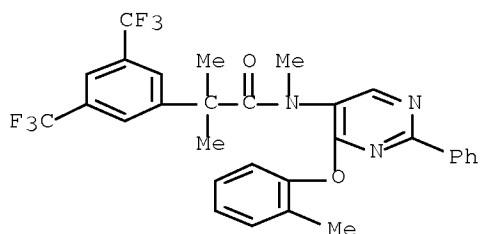
RN 432521-18-1 HCAPLUS

CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenoxy)-2-phenyl- (CA INDEX NAME)

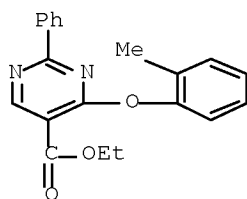


RN 432521-49-8 HCAPLUS

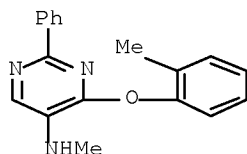
CN Benzeneacetamide, N,α,α-trimethyl-N-[4-(2-methylphenoxy)-2-phenyl-5-pyrimidinyl]-3,5-bis(trifluoromethyl)- (CA INDEX NAME)



IT 432521-69-2 432521-73-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of pyrimidine derivs. as NK1 antagonists)  
 RN 432521-69-2 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 4-(2-methylphenoxy)-2-phenyl-, ethyl ester  
 (CA INDEX NAME)



RN 432521-73-8 HCAPLUS  
 CN 5-Pyrimidinamine, N-methyl-4-(2-methylphenoxy)-2-phenyl- (CA INDEX NAME)



L53 ANSWER 14 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:220584 HCAPLUS Full-text  
 DOCUMENT NUMBER: 136:247584  
 TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease  
 INVENTOR(S): Bebbington, David; Knegt, Ronald; Golec, Julian M. C.; Li, Pan; Davies, Robert; Charrier, Jean-Damien  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 356 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 14

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022608	A1	20020321	WO 2001-US42152	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422380	A1	20020321	CA 2001-2422380	20010914 <--
AU 2001096871	A	20020326	AU 2001-96871	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317452	A1	20030611	EP 2001-977779	20010914 <--
EP 1317452	B1	20060517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509118	T	20040325	JP 2002-526861	20010914 <--
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
HU 2004001819	A2	20041228	HU 2004-1819	20010914 <--
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	T	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--
NZ 545284	A	20070629	NZ 1984-5452	20010914 <--
CN 100355750	C	20071219	CN 2001-817427	20010914 <--
CA 2432303	A1	20020829	CA 2001-2432303	20011219 <--
AU 2002255452	A1	20020904	AU 2002-255452	20011219 <--
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		

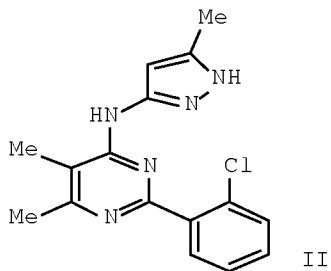
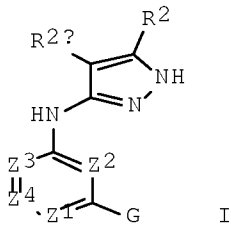
## Serial No.:10/595,734

AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--
AU 2001297619	B2	20060608		
EP 1345922	A1	20030924	EP 2001-271061	20011219 <--
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219 <--
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219 <--
JP 2004518743	T	20040624	JP 2002-565976	20011219 <--
JP 2004519479	T	20040702	JP 2002-567928	20011219 <--
HU 2004000842	A2	20040728	HU 2004-842	20011219 <--
NZ 526473	A	20050624	NZ 2001-526473	20011219 <--
EP 1702920	A1	20060920	EP 2006-11799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001697	A	20040301	ZA 2003-1697	20030228 <--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228 <--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228 <--
IN 2003KN00294	A	20050311	IN 2003-KN294	20030310 <--
NO 2003001188	A	20030513	NO 2003-1188	20030314 <--
MX 2003PA02299	A	20030606	MX 2003-PA2299	20030317 <--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609 <--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609 <--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609 <--
ZA 2003004475	A	20040624	ZA 2003-4475	20030609 <--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609 <--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609 <--
NO 2003002704	A	20030821	NO 2003-2704	20030613 <--
MX 2003PA05609	A	20031006	MX 2003-PA5609	20030620 <--
MX 2003PA05610	A	20031006	MX 2003-PA5610	20030620 <--
US 20040224944	A1	20041111	US 2003-624800	20030722 <--
US 7008948	B2	20060307		
US 20040116454	A1	20040617	US 2003-692355	20031023 <--
US 7390815	B2	20080624		
US 20040157893	A1	20040812	US 2003-722374	20031125 <--
HK 1057888	A1	20061124	HK 2003-108639	20031126 <--
US 20040132781	A1	20040708	US 2003-736426	20031215 <--
US 7087603	B2	20060808		
US 20040167141	A1	20040826	US 2004-775699	20040210 <--
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315 <--
JP 2005097322	A	20050414	JP 2004-366925	20041217 <--
US 20070270444	A1	20071122	US 2006-369220	20060306 <--
AU 2006201228	A1	20060413	AU 2006-201228	20060321 <--
AU 2006201229	A1	20060413	AU 2006-201229	20060321 <--
AU 2006201262	A1	20060427	AU 2006-201262	20060321 <--
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321 <--
AU 2006201264	A1	20060427	AU 2006-201264	20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265	20060321 <--
AU 2006201265	B2	20080904		
AU 2006201391	A1	20060427	AU 2006-201391	20060404 <--

Serial No.:10/595,734

AU 2006201396	A1	20060504	AU 2006-201396		20060404	<--
US 20060258658	A1	20061116	US 2006-492450		20060725	<--
IN 2007KN02703	A	20080801	IN 2007-KN2703		20070723	<--
JP 2008115195	A	20080522	JP 2008-15681		20080125	<--
JP 2008189682	A	20080821	JP 2008-95581		20080401	<--
JP 2008222719	A	20080925	JP 2008-97620		20080403	<--
JP 2008189687	A	20080821	JP 2008-98506		20080404	<--
JP 2008201808	A	20080904	JP 2008-121723		20080507	<--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915	<--
			US 2000-257887P	P	20001221	<--
			US 2001-286949P	P	20010427	<--
			AU 2001-296871	A3	20010914	<--
			AU 2001-90944	A3	20010914	<--
			AU 2001-91013	A3	20010914	<--
			AU 2001-94558	A3	20010914	<--
			AU 2001-96871	T0	20010914	<--
			EP 2001-971082	A3	20010914	<--
			JP 2002-526860	A3	20010914	<--
			US 2001-952671	A3	20010914	<--
			US 2001-953471	A3	20010914	<--
			US 2001-955601	A3	20010914	<--
			WO 2001-US42152	W	20010914	<--
			EP 2001-273861	A	20011219	<--
			EP 2001-994323	A3	20011219	<--
			JP 2002-557938	A3	20011219	<--
			JP 2002-559413	A3	20011219	<--
			JP 2002-563142	A3	20011219	<--
			JP 2002-567928	A3	20011219	<--
			US 2001-26966	A1	20011219	<--
			WO 2001-US49139	W	20011219	<--
			WO 2001-US50312	W	20011219	<--
			JP 2002-559414	A3	20011220	<--
			US 2001-34019	A3	20011220	<--
			US 2001-34683	A1	20011220	<--
			IN 2003-KN795	A3	20030619	<--
			US 2003-624800	A3	20030722	<--

OTHER SOURCE(S):                   MARPAT 136:247584  
ED   Entered STN:   22 Mar 2002  
GI



AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D =

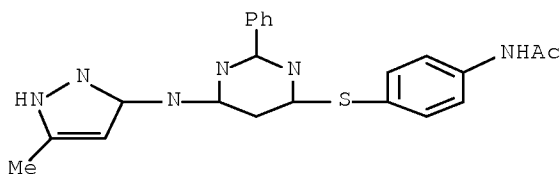
(un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2.

IT 404829-30-7P, [6-(4-Acetamidophenylsulfanyl)-2-phenylpyrimidin-4-yl](5-methyl-2H-pyrazol-3-yl)amine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404829-30-7 HCAPLUS

CN Acetamide, N-[4-[[6-[(5-methyl-1H-pyrazol-3-yl)amino]-2-phenyl-4-pyrimidinyl]thio]phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 15 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:220583 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 136:247583

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Davies, Robert; Bebbington, David; Knegt, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia;

## Serial No.:10/595,734

PATENT ASSIGNEE(S): Pierce, Albert; Kay, David  
 SOURCE: Vertex Pharmaceuticals Incorporated, USA  
 PCT Int. Appl., 373 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 14  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022607	A1	20020321	WO 2001-US28940	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422379	A1	20020321	CA 2001-2422379	20010914 <--
AU 2001091013	A	20020326	AU 2001-91013	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
BR 2001014088	A	20030617	BR 2001-14088	20010914 <--
EP 1318997	A1	20030618	EP 2001-971082	20010914 <--
EP 1318997	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003002173	A2	20030929	HU 2003-2173	20010914 <--
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509117	T	20040325	JP 2002-526860	20010914 <--
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
NZ 525008	A	20041224	NZ 2001-525008	20010914 <--
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	T	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--

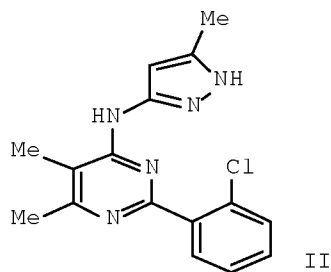
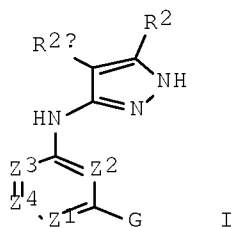
Serial No.:10/595,734

ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
CN 1926132	A	20070307	CN 2001-817434	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--
NZ 545284	A	20070629	NZ 1984-5452	20010914 <--
CN 100355750	C	20071219	CN 2001-817427	20010914 <--
CA 2432303	A1	20020829	CA 2001-2432303	20011219 <--
AU 2002255452	A1	20020904	AU 2002-255452	20011219 <--
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--
AU 2001297619	B2	20060608		
EP 1345922	A1	20030924	EP 2001-271061	20011219 <--
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219 <--
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219 <--
JP 2004518743	T	20040624	JP 2002-565976	20011219 <--
JP 2004519479	T	20040702	JP 2002-567928	20011219 <--
HU 2004000842	A2	20040728	HU 2004-842	20011219 <--
NZ 526473	A	20050624	NZ 2001-526473	20011219 <--
EP 1702920	A1	20060920	EP 2006-11799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001697	A	20040301	ZA 2003-1697	20030228 <--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228 <--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228 <--
IN 2003KN00295	A	20060922	IN 2003-KN295	20030310 <--
NO 2003001191	A	20030513	NO 2003-1191	20030314 <--
MX 2003PA02291	A	20030606	MX 2003-PA2291	20030317 <--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609 <--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609 <--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609 <--
ZA 2003004475	A	20040624	ZA 2003-4475	20030609 <--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609 <--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609 <--
NO 2003002704	A	20030821	NO 2003-2704	20030613 <--
MX 2003PA05609	A	20031006	MX 2003-PA5609	20030620 <--
MX 2003PA05610	A	20031006	MX 2003-PA5610	20030620 <--
US 20040224944	A1	20041111	US 2003-624800	20030722 <--
US 7008948	B2	20060307		
US 20040116454	A1	20040617	US 2003-692355	20031023 <--
US 7390815	B2	20080624		
US 20040157893	A1	20040812	US 2003-722374	20031125 <--
US 20040132781	A1	20040708	US 2003-736426	20031215 <--
US 7087603	B2	20060808		
HK 1058356	A1	20061201	HK 2003-109140	20031215 <--
US 20040167141	A1	20040826	US 2004-775699	20040210 <--
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315 <--
JP 2005097322	A	20050414	JP 2004-366925	20041217 <--

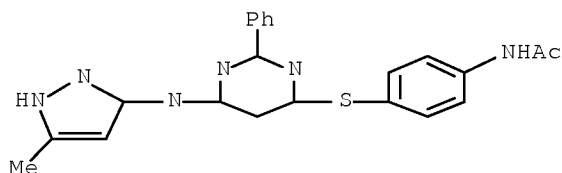


Serial No.:10/595,734

US 20070270444	A1	20071122	US 2006-369220	20060306 <--
AU 2006201228	A1	20060413	AU 2006-201228	20060321 <--
AU 2006201229	A1	20060413	AU 2006-201229	20060321 <--
AU 2006201262	A1	20060427	AU 2006-201262	20060321 <--
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321 <--
AU 2006201264	A1	20060427	AU 2006-201264	20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265	20060321 <--
AU 2006201265	B2	20080904		
AU 2006201391	A1	20060427	AU 2006-201391	20060404 <--
AU 2006201396	A1	20060504	AU 2006-201396	20060404 <--
US 20060258658	A1	20061116	US 2006-492450	20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723 <--
JP 2008115195	A	20080522	JP 2008-15681	20080125 <--
JP 2008189682	A	20080821	JP 2008-95581	20080401 <--
JP 2008222719	A	20080925	JP 2008-97620	20080403 <--
JP 2008189687	A	20080821	JP 2008-98506	20080404 <--
JP 2008201808	A	20080904	JP 2008-121723	20080507 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915 <--
			US 2000-257887P	P 20001221 <--
			US 2001-286949P	P 20010427 <--
			AU 2001-296871	A3 20010914 <--
			AU 2001-90944	A3 20010914 <--
			AU 2001-91013	A3 20010914 <--
			AU 2001-94558	A3 20010914 <--
			AU 2001-96871	T0 20010914 <--
			EP 2001-971082	A3 20010914 <--
			JP 2002-526860	A3 20010914 <--
			US 2001-952671	A3 20010914 <--
			US 2001-953471	A3 20010914 <--
			US 2001-955601	A3 20010914 <--
			WO 2001-US28940	W 20010914 <--
			EP 2001-273861	A 20011219 <--
			EP 2001-994323	A3 20011219 <--
			JP 2002-557938	A3 20011219 <--
			JP 2002-559413	A3 20011219 <--
			JP 2002-563142	A3 20011219 <--
			JP 2002-567928	A3 20011219 <--
			US 2001-26966	A1 20011219 <--
			WO 2001-US49139	W 20011219 <--
			WO 2001-US50312	W 20011219 <--
			JP 2002-559414	A3 20011220 <--
			US 2001-34019	A3 20011220 <--
			US 2001-34683	A1 20011220 <--
			IN 2003-KN795	A3 20030619 <--
			US 2003-624800	A3 20030722 <--
OTHER SOURCE(S):		MARPAT 136:247583		
ED Entered STN:		22 Mar 2002		
GI				



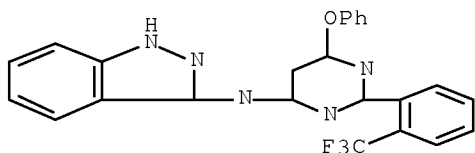
- AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring C]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2.
- IT 404829-30-7P, [6-(4-Acetamidophenylsulfanyl)-2-phenylpyrimidin-4-yl](5-methyl-2H-pyrazol-3-yl)amine 404873-36-5P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
- RN 404829-30-7 HCAPLUS
- CN Acetamide, N-[4-[[6-[(5-methyl-1H-pyrazol-3-yl)amino]-2-phenyl-4-pyrimidinyl]thio]phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404873-36-5 HCAPLUS

CN 1H-Indazol-3-amine, N-[6-phenoxy-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 16 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:220582 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 136:247582

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Bebbington, David; Binch, Hayley; Knegetel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 355 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022606	A1	20020321	WO 2001-US28803	20010914 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

Serial No.:10/595,734

CA 2422378	A1	20020321	CA 2001-2422378	20010914 <--
AU 2001090944	A	20020326	AU 2001-90944	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317448	A1	20030611	EP 2001-971006	20010914 <--
EP 1317448	B1	20050504		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003002411	A2	20031128	HU 2003-2411	20010914 <--
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509116	T	20040325	JP 2002-526859	20010914 <--
JP 4105949	B2	20080625		
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
AT 294797	T	20050515	AT 2001-971006	20010914 <--
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	T	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--
NZ 545284	A	20070629	NZ 1984-5452	20010914 <--
CN 100355750	C	20071219	CN 2001-817427	20010914 <--
CA 2432303	A1	20020829	CA 2001-2432303	20011219 <--
AU 2002255452	A1	20020904	AU 2002-255452	20011219 <--
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--
AU 2001297619	B2	20060608		
EP 1345922	A1	20030924	EP 2001-271061	20011219 <--
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219 <--
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219 <--
JP 2004518743	T	20040624	JP 2002-565976	20011219 <--

Serial No.:10/595,734

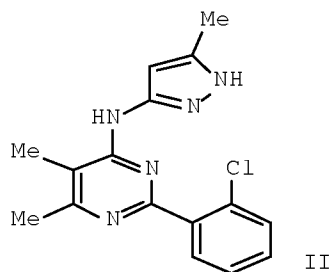
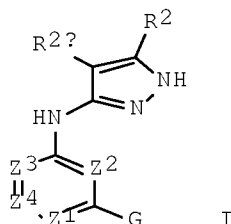
JP 2004519479	T	20040702	JP 2002-567928	20011219 <--
HU 2004000842	A2	20040728	HU 2004-842	20011219 <--
NZ 526473	A	20050624	NZ 2001-526473	20011219 <--
EP 1702920	A1	20060920	EP 2006-11799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001697	A	20040301	ZA 2003-1697	20030228 <--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228 <--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228 <--
IN 2003KN00293	A	20050311	IN 2003-KN293	20030310 <--
NO 2003001189	A	20030513	NO 2003-1189	20030314 <--
MX 2003PA02293	A	20030606	MX 2003-PA2293	20030317 <--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609 <--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609 <--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609 <--
ZA 2003004475	A	20040624	ZA 2003-4475	20030609 <--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609 <--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609 <--
NO 2003002704	A	20030821	NO 2003-2704	20030613 <--
MX 2003PA05609	A	20031006	MX 2003-PA5609	20030620 <--
MX 2003PA05610	A	20031006	MX 2003-PA5610	20030620 <--
US 20040224944	A1	20041111	US 2003-624800	20030722 <--
US 7008948	B2	20060307		
US 20040116454	A1	20040617	US 2003-692355	20031023 <--
US 7390815	B2	20080624		
US 20040157893	A1	20040812	US 2003-722374	20031125 <--
HK 1057890	A1	20051216	HK 2003-108726	20031128 <--
US 20040132781	A1	20040708	US 2003-736426	20031215 <--
US 7087603	B2	20060808		
US 20040167141	A1	20040826	US 2004-775699	20040210 <--
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315 <--
JP 2005097322	A	20050414	JP 2004-366925	20041217 <--
US 20070270444	A1	20071122	US 2006-369220	20060306 <--
AU 2006201228	A1	20060413	AU 2006-201228	20060321 <--
AU 2006201229	A1	20060413	AU 2006-201229	20060321 <--
AU 2006201262	A1	20060427	AU 2006-201262	20060321 <--
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321 <--
AU 2006201264	A1	20060427	AU 2006-201264	20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265	20060321 <--
AU 2006201265	B2	20080904		
AU 2006201391	A1	20060427	AU 2006-201391	20060404 <--
AU 2006201396	A1	20060504	AU 2006-201396	20060404 <--
US 20060258658	A1	20061116	US 2006-492450	20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723 <--
JP 2008115195	A	20080522	JP 2008-15681	20080125 <--
JP 2008189682	A	20080821	JP 2008-95581	20080401 <--
JP 2008222719	A	20080925	JP 2008-97620	20080403 <--
JP 2008189687	A	20080821	JP 2008-98506	20080404 <--
JP 2008201808	A	20080904	JP 2008-121723	20080507 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915 <--
			US 2000-257887P	P 20001221 <--
			US 2001-286949P	P 20010427 <--
			AU 2001-296871	A3 20010914 <--

AU	2001-90944	A3	20010914	<--
AU	2001-91013	A3	20010914	<--
AU	2001-94558	A3	20010914	<--
AU	2001-96871	T0	20010914	<--
EP	2001-971082	A3	20010914	<--
JP	2002-526860	A3	20010914	<--
US	2001-952671	A3	20010914	<--
US	2001-953471	A3	20010914	<--
US	2001-955601	A3	20010914	<--
WO	2001-US28803	W	20010914	<--
EP	2001-273861	A	20011219	<--
EP	2001-994323	A3	20011219	<--
JP	2002-557938	A3	20011219	<--
JP	2002-559413	A3	20011219	<--
JP	2002-563142	A3	20011219	<--
JP	2002-567928	A3	20011219	<--
US	2001-26966	A1	20011219	<--
WO	2001-US49139	W	20011219	<--
WO	2001-US50312	W	20011219	<--
JP	2002-559414	A3	20011220	<--
US	2001-34019	A3	20011220	<--
US	2001-34683	A1	20011220	<--
IN	2003-KN795	A3	20030619	<--
US	2003-624800	A3	20030722	<--

OTHER SOURCE(S): MARPAT 136:247582

ED Entered STN: 22 Mar 2002

GI



AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or

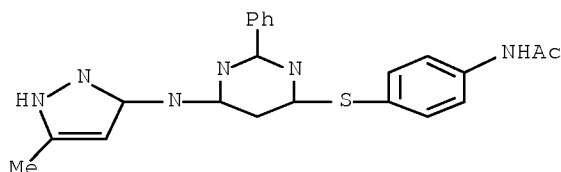
N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring D]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2.

IT 404829-30-7P, [6-(4-Acetamidophenylsulfanyl)-2-phenylpyrimidin-4-yl](5-methyl-2H-pyrazol-3-yl)amine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404829-30-7 HCAPLUS

CN Acetamide, N-[4-[[6-[(5-methyl-1H-pyrazol-3-yl)amino]-2-phenyl-4-pyrimidinyl]thio]phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 17 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:220581 HCAPLUS Full-text

DOCUMENT NUMBER: 136:247581

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Golec, Julian M. C.; Charrier, Jean-Damien; Knegetel, Ronald; Bebbington, David; Davies, Robert; Li, Pan

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 357 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022605	A1	20020321	WO 2001-US28793	20010914 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,			

Serial No.:10/595,734

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,  
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,  
US, UZ, VN, YU, ZA, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2422377	A1	20020321	CA 2001-2422377	20010914 <--
AU 2001092670	A	20020326	AU 2001-92670	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317449	A1	20030611	EP 2001-973050	20010914 <--
EP 1317449	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509115	T	20040325	JP 2002-526858	20010914 <--
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
NZ 525014	A	20050930	NZ 2001-525014	20010914 <--
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	T	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--
NZ 545284	A	20070629	NZ 1984-5452	20010914 <--
CN 100355750	C	20071219	CN 2001-817427	20010914 <--
CA 2432303	A1	20020829	CA 2001-2432303	20011219 <--
AU 2002255452	A1	20020904	AU 2002-255452	20011219 <--
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--
AU 2001297619	B2	20060608		
EP 1345922	A1	20030924	EP 2001-271061	20011219 <--
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219 <--
EP 1355905	B1	20070221		



# Serial No.:10/595,734

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

NZ 526472	A	20040430	NZ 2001-526472	20011219	<--
JP 2004518743	T	20040624	JP 2002-565976	20011219	<--
JP 2004519479	T	20040702	JP 2002-567928	20011219	<--
HU 2004000842	A2	20040728	HU 2004-842	20011219	<--
NZ 526473	A	20050624	NZ 2001-526473	20011219	<--
EP 1702920	A1	20060920	EP 2006-11799	20011219	<--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

ZA 2003001697	A	20040301	ZA 2003-1697	20030228	<--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228	<--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228	<--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228	<--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228	<--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228	<--
MX 2003PA02289	A	20030606	MX 2003-PA2289	20030317	<--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609	<--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609	<--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609	<--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609	<--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609	<--
ZA 2003004475	A	20040624	ZA 2003-4475	20030609	<--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609	<--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609	<--
NO 2003002704	A	20030821	NO 2003-2704	20030613	<--
MX 2003PA05609	A	20031006	MX 2003-PA5609	20030620	<--
MX 2003PA05610	A	20031006	MX 2003-PA5610	20030620	<--
US 20040224944	A1	20041111	US 2003-624800	20030722	<--
US 7008948	B2	20060307			
US 20040116454	A1	20040617	US 2003-692355	20031023	<--
US 7390815	B2	20080624			
HK 1057887	A1	20061215	HK 2003-108524	20031121	<--
US 20040157893	A1	20040812	US 2003-722374	20031125	<--
US 20040132781	A1	20040708	US 2003-736426	20031215	<--
US 7087603	B2	20060808			
US 20040167141	A1	20040826	US 2004-775699	20040210	<--
US 7427681	B2	20080923			
HK 1060347	A1	20061201	HK 2004-101883	20040315	<--
JP 2005097322	A	20050414	JP 2004-366925	20041217	<--
US 20070270444	A1	20071122	US 2006-369220	20060306	<--
AU 2006201228	A1	20060413	AU 2006-201228	20060321	<--
AU 2006201229	A1	20060413	AU 2006-201229	20060321	<--
AU 2006201262	A1	20060427	AU 2006-201262	20060321	<--
AU 2006201262	B2	20080904			
AU 2006201263	A1	20060427	AU 2006-201263	20060321	<--
AU 2006201264	A1	20060427	AU 2006-201264	20060321	<--
AU 2006201265	A1	20060427	AU 2006-201265	20060321	<--
AU 2006201265	B2	20080904			
AU 2006201391	A1	20060427	AU 2006-201391	20060404	<--
AU 2006201396	A1	20060504	AU 2006-201396	20060404	<--
US 20060258658	A1	20061116	US 2006-492450	20060725	<--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723	<--
JP 2008115195	A	20080522	JP 2008-15681	20080125	<--
JP 2008189682	A	20080821	JP 2008-95581	20080401	<--
JP 2008222719	A	20080925	JP 2008-97620	20080403	<--
JP 2008189687	A	20080821	JP 2008-98506	20080404	<--
JP 2008201808	A	20080904	JP 2008-121723	20080507	<--

PRIORITY APPLN. INFO.:

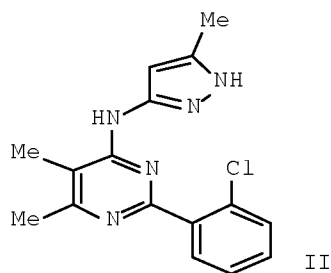
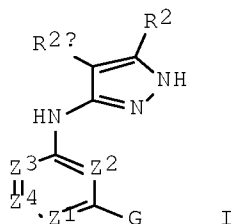
US 2000-232795P	P	20000915	<--
US 2000-257887P	P	20001221	<--

US	2001-286949P	P	20010427	<--
AU	2001-296871	A3	20010914	<--
AU	2001-90944	A3	20010914	<--
AU	2001-91013	A3	20010914	<--
AU	2001-94558	A3	20010914	<--
AU	2001-96871	T0	20010914	<--
EP	2001-971082	A3	20010914	<--
JP	2002-526860	A3	20010914	<--
US	2001-952671	A3	20010914	<--
US	2001-953471	A3	20010914	<--
US	2001-955601	A3	20010914	<--
WO	2001-US28793	W	20010914	<--
EP	2001-273861	A	20011219	<--
EP	2001-994323	A3	20011219	<--
JP	2002-557938	A3	20011219	<--
JP	2002-559413	A3	20011219	<--
JP	2002-563142	A3	20011219	<--
JP	2002-567928	A3	20011219	<--
US	2001-26966	A1	20011219	<--
WO	2001-US49139	W	20011219	<--
WO	2001-US50312	W	20011219	<--
JP	2002-559414	A3	20011220	<--
US	2001-34019	A3	20011220	<--
US	2001-34683	A1	20011220	<--
IN	2003-KN795	A3	20030619	<--
US	2003-624800	A3	20030722	<--

OTHER SOURCE(S): MARPAT 136:247581

ED Entered STN: 22 Mar 2002

GI



AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7,

COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrazolamines and indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N; at least one of Z1 or Z3 = N]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2.

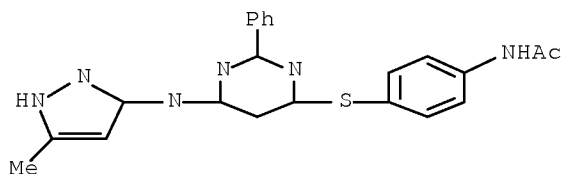
IT 404829-30-7F, [6-(4-Acetamidophenylsulfanyl)-2-phenylpyrimidin-4-yl](5-methyl-2H-pyrazol-3-yl)amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404829-30-7 HCAPLUS

CN Acetamide, N-[4-[[6-[(5-methyl-1H-pyrazol-3-yl)amino]-2-phenyl-4-pyrimidinyl]thio]phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 18 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:220580 HCAPLUS Full-text

DOCUMENT NUMBER: 136:247606

TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.

INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knegetel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 357 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

## Serial No.:10/595,734

WO 2002022604	A1	20020321	WO 2001-US28792	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422371	A1	20020321	CA 2001-2422371	20010914 <--
AU 2001094558	A	20020326	AU 2001-94558	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317450	A1	20030611	EP 2001-975210	20010914 <--
EP 1317450	B1	20061122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003002172	A2	20030929	HU 2003-2172	20010914 <--
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004512277	T	20040422	JP 2002-526857	20010914 <--
JP 4105948	B2	20080625		
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
NZ 525009	A	20050527	NZ 2001-525009	20010914 <--
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	T	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--
NZ 545284	A	20070629	NZ 1984-5452	20010914 <--
CN 100355750	C	20071219	CN 2001-817427	20010914 <--
CA 2432303	A1	20020829	CA 2001-2432303	20011219 <--
AU 2002255452	A1	20020904	AU 2002-255452	20011219 <--
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--

## Serial No.:10/595,734

AU 2001297619	B2	20060608		
EP 1345922	A1	20030924	EP 2001-271061	20011219 <--
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219 <--
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219 <--
JP 2004518743	T	20040624	JP 2002-565976	20011219 <--
JP 2004519479	T	20040702	JP 2002-567928	20011219 <--
HU 2004000842	A2	20040728	HU 2004-842	20011219 <--
NZ 526473	A	20050624	NZ 2001-526473	20011219 <--
EP 1702920	A1	20060920	EP 2006-11799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001697	A	20040301	ZA 2003-1697	20030228 <--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228 <--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228 <--
IN 2003KN00289	A	20050311	IN 2003-KN289	20030307 <--
NO 2003001190	A	20030513	NO 2003-1190	20030314 <--
MX 2003PA02292	A	20030606	MX 2003-PA2292	20030317 <--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609 <--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609 <--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609 <--
ZA 2003004475	A	20040624	ZA 2003-4475	20030609 <--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609 <--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609 <--
NO 2003002704	A	20030821	NO 2003-2704	20030613 <--
MX 2003PA05609	A	20031006	MX 2003-PA5609	20030620 <--
MX 2003PA05610	A	20031006	MX 2003-PA5610	20030620 <--
US 20040224944	A1	20041111	US 2003-624800	20030722 <--
US 7008948	B2	20060307		
US 20040116454	A1	20040617	US 2003-692355	20031023 <--
US 7390815	B2	20080624		
US 20040157893	A1	20040812	US 2003-722374	20031125 <--
HK 1057748	A1	20070615	HK 2003-108588	20031125 <--
US 20040132781	A1	20040708	US 2003-736426	20031215 <--
US 7087603	B2	20060808		
US 20040167141	A1	20040826	US 2004-775699	20040210 <--
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315 <--
JP 2005097322	A	20050414	JP 2004-366925	20041217 <--
US 20070270444	A1	20071122	US 2006-369220	20060306 <--
AU 2006201228	A1	20060413	AU 2006-201228	20060321 <--
AU 2006201229	A1	20060413	AU 2006-201229	20060321 <--
AU 2006201262	A1	20060427	AU 2006-201262	20060321 <--
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321 <--
AU 2006201264	A1	20060427	AU 2006-201264	20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265	20060321 <--
AU 2006201265	B2	20080904		
AU 2006201391	A1	20060427	AU 2006-201391	20060404 <--
AU 2006201396	A1	20060504	AU 2006-201396	20060404 <--

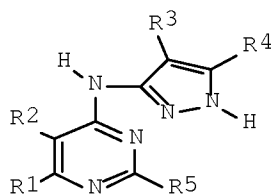
Serial No.:10/595,734

US 20060258658	A1	20061116	US 2006-492450	20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723 <--
JP 2008115195	A	20080522	JP 2008-15681	20080125 <--
JP 2008189682	A	20080821	JP 2008-95581	20080401 <--
JP 2008222719	A	20080925	JP 2008-97620	20080403 <--
JP 2008189687	A	20080821	JP 2008-98506	20080404 <--
JP 2008201808	A	20080904	JP 2008-121723	20080507 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915 <--
			US 2000-257887P	P 20001221 <--
			US 2001-286949P	P 20010427 <--
			AU 2001-296871	A3 20010914 <--
			AU 2001-90944	A3 20010914 <--
			AU 2001-91013	A3 20010914 <--
			AU 2001-94558	A3 20010914 <--
			AU 2001-96871	T0 20010914 <--
			EP 2001-971082	A3 20010914 <--
			JP 2002-526860	A3 20010914 <--
			US 2001-952671	A3 20010914 <--
			US 2001-953471	A3 20010914 <--
			US 2001-955601	A3 20010914 <--
			WO 2001-US28792	W 20010914 <--
			EP 2001-273861	A 20011219 <--
			EP 2001-994323	A3 20011219 <--
			JP 2002-557938	A3 20011219 <--
			JP 2002-559413	A3 20011219 <--
			JP 2002-563142	A3 20011219 <--
			JP 2002-567928	A3 20011219 <--
			US 2001-26966	A1 20011219 <--
			WO 2001-US49139	W 20011219 <--
			WO 2001-US50312	W 20011219 <--
			JP 2002-559414	A3 20011220 <--
			US 2001-34019	A3 20011220 <--
			US 2001-34683	A1 20011220 <--
			IN 2003-KN795	A3 20030619 <--
			US 2003-624800	A3 20030722 <--

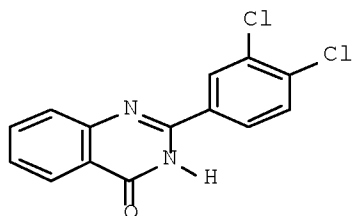
OTHER SOURCE(S): MARPAT 136:247606

ED Entered STN: 22 Mar 2002

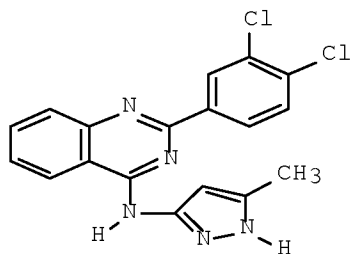
GI



I



II



III

AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3 $\beta$  (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

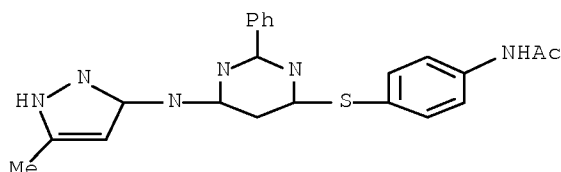
IT 404829-30-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

RN 404829-30-7 HCAPLUS

CN Acetamide, N-[4-[[6-[(5-methyl-1H-pyrazol-3-yl)amino]-2-phenyl-4-pyrimidinyl]thio]phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 19 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:220579 HCAPLUS Full-text

DOCUMENT NUMBER: 136:247580

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Davies, Robert; Li, Pan; Golec, Julian; Bebbington, David

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 406 pp.

CODEN: PIXXD2

## Serial No.:10/595,734

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 14  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022603	A1	20020321	WO 2001-US28738	20010914 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422367	A1	20020321	CA 2001-2422367	20010914 <--
AU 2001090912	A	20020326	AU 2001-90912	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317447	A1	20030611	EP 2001-970969	20010914 <--
EP 1317447	B1	20060517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
JP 2004525075	T	20040819	JP 2002-526856	20010914 <--
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	T	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--
NZ 545284	A	20070629	NZ 1984-5452	20010914 <--
CN 100355750	C	20071219	CN 2001-817427	20010914 <--
CA 2432303	A1	20020829	CA 2001-2432303	20011219 <--
AU 2002255452	A1	20020904	AU 2002-255452	20011219 <--
AU 2002255452	B2	20060608		



## Serial No.:10/595,734

CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--
AU 2001297619	B2	20060608		
EP 1345922	A1	20030924	EP 2001-271061	20011219 <--
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219 <--
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219 <--
JP 2004518743	T	20040624	JP 2002-565976	20011219 <--
JP 2004519479	T	20040702	JP 2002-567928	20011219 <--
HU 2004000842	A2	20040728	HU 2004-842	20011219 <--
NZ 526473	A	20050624	NZ 2001-526473	20011219 <--
EP 1702920	A1	20060920	EP 2006-11799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001697	A	20040301	ZA 2003-1697	20030228 <--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228 <--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228 <--
MX 2003PA02295	A	20030606	MX 2003-PA2295	20030317 <--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609 <--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609 <--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609 <--
ZA 2003004475	A	20040624	ZA 2003-4475	20030609 <--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609 <--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609 <--
NO 2003002704	A	20030821	NO 2003-2704	20030613 <--
MX 2003PA05609	A	20031006	MX 2003-PA5609	20030620 <--
MX 2003PA05610	A	20031006	MX 2003-PA5610	20030620 <--
US 20040224944	A1	20041111	US 2003-624800	20030722 <--
US 7008948	B2	20060307		
US 20040116454	A1	20040617	US 2003-692355	20031023 <--
US 7390815	B2	20080624		
HK 1057747	A1	20061201	HK 2003-108474	20031120 <--
US 20040157893	A1	20040812	US 2003-722374	20031125 <--
US 20040132781	A1	20040708	US 2003-736426	20031215 <--
US 7087603	B2	20060808		
US 20040167141	A1	20040826	US 2004-775699	20040210 <--
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315 <--
JP 2005097322	A	20050414	JP 2004-366925	20041217 <--
US 20070270444	A1	20071122	US 2006-369220	20060306 <--
AU 2006201228	A1	20060413	AU 2006-201228	20060321 <--
AU 2006201229	A1	20060413	AU 2006-201229	20060321 <--
AU 2006201262	A1	20060427	AU 2006-201262	20060321 <--
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321 <--
AU 2006201264	A1	20060427	AU 2006-201264	20060321 <--
AU 2006201265	A1	20060427	AU 2006-201265	20060321 <--
AU 2006201265	B2	20080904		
AU 2006201391	A1	20060427	AU 2006-201391	20060404 <--

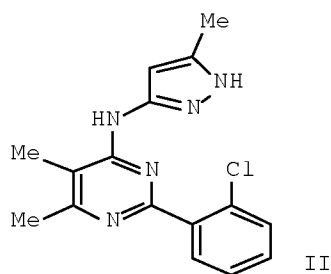
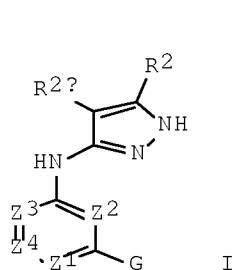
Serial No.:10/595,734

AU 2006201396	A1	20060504	AU 2006-201396	20060404 <--
US 20060258658	A1	20061116	US 2006-492450	20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723 <--
JP 2008115195	A	20080522	JP 2008-15681	20080125 <--
JP 2008189682	A	20080821	JP 2008-95581	20080401 <--
JP 2008222719	A	20080925	JP 2008-97620	20080403 <--
JP 2008189687	A	20080821	JP 2008-98506	20080404 <--
JP 2008201808	A	20080904	JP 2008-121723	20080507 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915 <--
			US 2000-257887P	P 20001221 <--
			US 2001-286949P	P 20010427 <--
			AU 2001-296871	A3 20010914 <--
			AU 2001-90944	A3 20010914 <--
			AU 2001-91013	A3 20010914 <--
			AU 2001-94558	A3 20010914 <--
			AU 2001-96871	T0 20010914 <--
			EP 2001-971082	A3 20010914 <--
			JP 2002-526860	A3 20010914 <--
			US 2001-952671	A3 20010914 <--
			US 2001-953471	A3 20010914 <--
			US 2001-955601	A3 20010914 <--
			WO 2001-US28738	W 20010914 <--
			EP 2001-273861	A 20011219 <--
			EP 2001-994323	A3 20011219 <--
			JP 2002-557938	A3 20011219 <--
			JP 2002-559413	A3 20011219 <--
			JP 2002-563142	A3 20011219 <--
			JP 2002-567928	A3 20011219 <--
			US 2001-26966	A1 20011219 <--
			WO 2001-US49139	W 20011219 <--
			WO 2001-US50312	W 20011219 <--
			JP 2002-559414	A3 20011220 <--
			US 2001-34019	A3 20011220 <--
			US 2001-34683	A1 20011220 <--
			IN 2003-KN795	A3 20030619 <--
			US 2003-624800	A3 20030722 <--

OTHER SOURCE(S): MARPAT 136:247580

ED Entered STN: 22 Mar 2002

GI



AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z<sup>1</sup> = N or CR<sup>9</sup>; Z<sup>2</sup> = N or CH; Z<sup>3</sup> = N or CR<sup>x</sup>; Z<sup>4</sup> =

N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolamines I [wherein Z1, Z2, and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2.

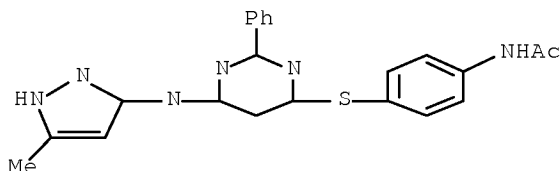
IT 404829-30-7P, [6-(4-Acetamidophenylsulfanyl)-2-phenylpyrimidin-4-yl](5-methyl-2H-pyrazol-3-yl)amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404829-30-7 HCAPLUS

CN Acetamide, N-[4-[[6-[(5-methyl-1H-pyrazol-3-yl)amino]-2-phenyl-4-pyrimidinyl]thio]phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 20 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:220578 HCAPLUS Full-text

DOCUMENT NUMBER: 136:263164

TITLE: Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Bebbington, David; Knegt, Ronald; Binch, Haley; Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 377 pp.

## Serial No.:10/595,734

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

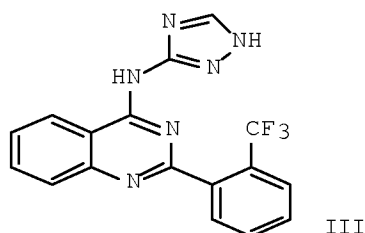
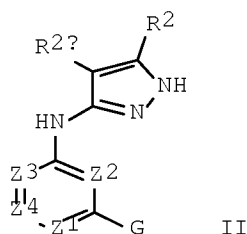
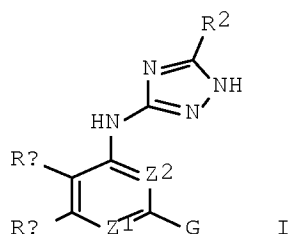
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022602	A2	20020321	WO 2001-US42162	20010914 <--
WO 2002022602	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2422299	A1	20020321	CA 2001-2422299	20010914 <--
AU 2001096875	A	20020326	AU 2001-96875	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1318814	A2	20030618	EP 2001-977783	20010914 <--
EP 1318814	B1	20070530		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509114	T	20040325	JP 2002-526855	20010914 <--
JP 4105947	B2	20080625		
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	T	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--
NZ 545284	A	20070629	NZ 1984-5452	20010914 <--
CN 100355750	C	20071219	CN 2001-817427	20010914 <--

Serial No.:10/595,734

CA 2432303	A1	20020829	CA 2001-2432303	20011219 <--
AU 2002255452	A1	20020904	AU 2002-255452	20011219 <--
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--
AU 2001297619	B2	20060608		
EP 1345922	A1	20030924	EP 2001-271061	20011219 <--
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219 <--
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219 <--
JP 2004518743	T	20040624	JP 2002-565976	20011219 <--
JP 2004519479	T	20040702	JP 2002-567928	20011219 <--
HU 2004000842	A2	20040728	HU 2004-842	20011219 <--
NZ 526473	A	20050624	NZ 2001-526473	20011219 <--
EP 1702920	A1	20060920	EP 2006-11799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001697	A	20040301	ZA 2003-1697	20030228 <--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228 <--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228 <--
MX 2003PA02297	A	20030606	MX 2003-PA2297	20030317 <--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609 <--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609 <--
ZA 2003004473	A	20040624	ZA 2003-4473	20030609 <--
ZA 2003004475	A	20040624	ZA 2003-4475	20030609 <--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609 <--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609 <--
NO 2003002704	A	20030821	NO 2003-2704	20030613 <--
MX 2003PA05609	A	20031006	MX 2003-PA5609	20030620 <--
MX 2003PA05610	A	20031006	MX 2003-PA5610	20030620 <--
US 20040224944	A1	20041111	US 2003-624800	20030722 <--
US 7008948	B2	20060307		
US 20040116454	A1	20040617	US 2003-692355	20031023 <--
US 7390815	B2	20080624		
US 20040157893	A1	20040812	US 2003-722374	20031125 <--
HK 1057702	A1	20071102	HK 2003-108682	20031127 <--
US 20040132781	A1	20040708	US 2003-736426	20031215 <--
US 7087603	B2	20060808		
US 20040167141	A1	20040826	US 2004-775699	20040210 <--
US 7427681	B2	20080923		
HK 1060347	A1	20061201	HK 2004-101883	20040315 <--
JP 2005097322	A	20050414	JP 2004-366925	20041217 <--
US 20070270444	A1	20071122	US 2006-369220	20060306 <--
AU 2006201228	A1	20060413	AU 2006-201228	20060321 <--
AU 2006201229	A1	20060413	AU 2006-201229	20060321 <--
AU 2006201262	A1	20060427	AU 2006-201262	20060321 <--
AU 2006201262	B2	20080904		
AU 2006201263	A1	20060427	AU 2006-201263	20060321 <--
AU 2006201264	A1	20060427	AU 2006-201264	20060321 <--

Serial No.:10/595,734

AU 2006201265	A1	20060427	AU 2006-201265	20060321 <--
AU 2006201265	B2	20080904		
AU 2006201391	A1	20060427	AU 2006-201391	20060404 <--
AU 2006201396	A1	20060504	AU 2006-201396	20060404 <--
US 20060258658	A1	20061116	US 2006-492450	20060725 <--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723 <--
JP 2008115195	A	20080522	JP 2008-15681	20080125 <--
JP 2008189682	A	20080821	JP 2008-95581	20080401 <--
JP 2008222719	A	20080925	JP 2008-97620	20080403 <--
JP 2008189687	A	20080821	JP 2008-98506	20080404 <--
JP 2008201808	A	20080904	JP 2008-121723	20080507 <--
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915 <--
			US 2000-257887P	P 20001221 <--
			US 2001-286949P	P 20010427 <--
			AU 2001-296871	A3 20010914 <--
			AU 2001-90944	A3 20010914 <--
			AU 2001-91013	A3 20010914 <--
			AU 2001-94558	A3 20010914 <--
			AU 2001-96871	T0 20010914 <--
			EP 2001-971082	A3 20010914 <--
			JP 2002-526860	A3 20010914 <--
			US 2001-952671	A3 20010914 <--
			US 2001-953471	A3 20010914 <--
			US 2001-955601	A3 20010914 <--
			WO 2001-US42162	W 20010914 <--
			EP 2001-273861	A 20011219 <--
			EP 2001-994323	A3 20011219 <--
			JP 2002-557938	A3 20011219 <--
			JP 2002-559413	A3 20011219 <--
			JP 2002-563142	A3 20011219 <--
			JP 2002-567928	A3 20011219 <--
			US 2001-26966	A1 20011219 <--
			WO 2001-US49139	W 20011219 <--
			WO 2001-US50312	W 20011219 <--
			JP 2002-559414	A3 20011220 <--
			US 2001-34019	A3 20011220 <--
			US 2001-34683	A1 20011220 <--
			IN 2003-KN795	A3 20030619 <--
			US 2003-624800	A3 20030722 <--
OTHER SOURCE(S):		MARPAT 136:263164		
ED Entered STN:		22 Mar 2002		
GI				



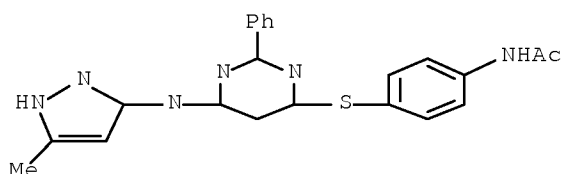
AB      Triazolamines I and pyrazolamines II [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; R9 is defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 1.0-20  $\mu$ M for Aurora-2.

IT      404829-30-7P, [6-(4-Acetamidophenylsulfanyl)-2-phenylpyrimidin-4-yl](5-methyl-2H-pyrazol-3-yl)amine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of triazolamines, pyrazolamines, and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN      404829-30-7 HCAPLUS

CN      Acetamide, N-[4-[[6-[(5-methyl-1H-pyrazol-3-yl)amino]-2-phenyl-4-pyrimidinyl]thio]phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L53 ANSWER 21 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:220577 HCAPLUS Full-text

DOCUMENT NUMBER: 136:247579

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Knegtel, Ronald; Bebbington, David; Binch, Hayley; Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 376 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022601	A1	20020321	WO 2001-US28740	20010914 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2422354	A1	20020321	CA 2001-2422354	20010914 <--
AU 2001090914	A	20020326	AU 2001-90914	20010914 <--
US 20030055044	A1	20030320	US 2001-953505	20010914 <--
US 6638926	B2	20031028		
US 20030064981	A1	20030403	US 2001-952836	20010914 <--
US 6613776	B2	20030902		
US 20030064982	A1	20030403	US 2001-952875	20010914 <--
US 20030073687	A1	20030417	US 2001-952671	20010914 <--
US 6660731	B2	20031209		
US 20030078166	A1	20030424	US 2001-955601	20010914 <--
US 6696452	B2	20040224		
US 20030083327	A1	20030501	US 2001-952833	20010914 <--
US 6610677	B2	20030826		
EP 1317444	A1	20030611	EP 2001-970971	20010914 <--
EP 1317444	B1	20060531		



# Serial No.:10/595,734

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

ZA 2003001701	A	20040301	ZA 2003-1701	20010914 <--
ZA 2003001703	A	20040302	ZA 2003-1703	20010914 <--
JP 2004509113	T	20040325	JP 2002-526854	20010914 <--
JP 4111824	B2	20080702		
US 20040097501	A1	20040520	US 2001-953471	20010914 <--
US 7115739	B2	20061003		
US 20050004110	A1	20050106	US 2001-952878	20010914 <--
US 7098330	B2	20060829		
ES 2242771	T3	20051116	ES 2001-971006	20010914 <--
AT 326458	T	20060615	AT 2001-970969	20010914 <--
AT 327990	T	20060615	AT 2001-970971	20010914 <--
AT 327992	T	20060615	AT 2001-971082	20010914 <--
AT 327991	T	20060615	AT 2001-973050	20010914 <--
AT 326459	T	20060615	AT 2001-977779	20010914 <--
EP 1698627	A1	20060906	EP 2006-10798	20010914 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1318997	T	20061031	PT 2001-971082	20010914 <--
AT 346064	T	20061215	AT 2001-975210	20010914 <--
ES 2266258	T3	20070301	ES 2001-970971	20010914 <--
ES 2266259	T3	20070301	ES 2001-971082	20010914 <--
AT 363284	T	20070615	AT 2001-977783	20010914 <--
NZ 545284	A	20070629	NZ 1984-5452	20010914 <--
CN 100355750	C	20071219	CN 2001-817427	20010914 <--
CA 2432303	A1	20020829	CA 2001-2432303	20011219 <--
AU 2002255452	A1	20020904	AU 2002-255452	20011219 <--
AU 2002255452	B2	20060608		
CA 2432223	A1	20020906	CA 2001-2432223	20011219 <--
CA 2432223	C	20080520		
AU 2001297619	A1	20020912	AU 2001-297619	20011219 <--
AU 2001297619	B2	20060608		
EP 1345922	A1	20030924	EP 2001-271061	20011219 <--
EP 1345922	B1	20060531		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219 <--
EP 1355905	B1	20070221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 526472	A	20040430	NZ 2001-526472	20011219 <--
JP 2004518743	T	20040624	JP 2002-565976	20011219 <--
JP 2004519479	T	20040702	JP 2002-567928	20011219 <--
HU 2004000842	A2	20040728	HU 2004-842	20011219 <--
NZ 526473	A	20050624	NZ 2001-526473	20011219 <--
EP 1702920	A1	20060920	EP 2006-11799	20011219 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001697	A	20040301	ZA 2003-1697	20030228 <--
ZA 2003001699	A	20040301	ZA 2003-1699	20030228 <--
ZA 2003001700	A	20040301	ZA 2003-1700	20030228 <--
ZA 2003001702	A	20040301	ZA 2003-1702	20030228 <--
ZA 2003001704	A	20040301	ZA 2003-1704	20030228 <--
ZA 2003001698	A	20040302	ZA 2003-1698	20030228 <--
MX 2003PA02294	A	20050908	MX 2003-PA2294	20030317 <--
ZA 2003004468	A	20040624	ZA 2003-4468	20030609 <--
ZA 2003004469	A	20040624	ZA 2003-4469	20030609 <--
ZA 2003004470	A	20040624	ZA 2003-4470	20030609 <--
ZA 2003004471	A	20040624	ZA 2003-4471	20030609 <--

Serial No.:10/595,734

ZA 2003004473	A	20040624	ZA 2003-4473	20030609	<--
ZA 2003004475	A	20040624	ZA 2003-4475	20030609	<--
ZA 2003004472	A	20040625	ZA 2003-4472	20030609	<--
ZA 2003004474	A	20040625	ZA 2003-4474	20030609	<--
NO 2003002704	A	20030821	NO 2003-2704	20030613	<--
MX 2003PA05609	A	20031006	MX 2003-PA5609	20030620	<--
MX 2003PA05610	A	20031006	MX 2003-PA5610	20030620	<--
US 20040224944	A1	20041111	US 2003-624800	20030722	<--
US 7008948	B2	20060307			
US 20040116454	A1	20040617	US 2003-692355	20031023	<--
US 7390815	B2	20080624			
HK 1057543	A1	20061215	HK 2003-108309	20031114	<--
US 20040157893	A1	20040812	US 2003-722374	20031125	<--
US 20040132781	A1	20040708	US 2003-736426	20031215	<--
US 7087603	B2	20060808			
US 20040167141	A1	20040826	US 2004-775699	20040210	<--
US 7427681	B2	20080923			
HK 1060347	A1	20061201	HK 2004-101883	20040315	<--
JP 2005097322	A	20050414	JP 2004-366925	20041217	<--
US 20070270444	A1	20071122	US 2006-369220	20060306	<--
AU 2006201228	A1	20060413	AU 2006-201228	20060321	<--
AU 2006201229	A1	20060413	AU 2006-201229	20060321	<--
AU 2006201262	A1	20060427	AU 2006-201262	20060321	<--
AU 2006201262	B2	20080904			
AU 2006201263	A1	20060427	AU 2006-201263	20060321	<--
AU 2006201264	A1	20060427	AU 2006-201264	20060321	<--
AU 2006201265	A1	20060427	AU 2006-201265	20060321	<--
AU 2006201265	B2	20080904			
AU 2006201391	A1	20060427	AU 2006-201391	20060404	<--
AU 2006201396	A1	20060504	AU 2006-201396	20060404	<--
US 20060258658	A1	20061116	US 2006-492450	20060725	<--
IN 2007KN02703	A	20080801	IN 2007-KN2703	20070723	<--
JP 2008115195	A	20080522	JP 2008-15681	20080125	<--
JP 2008189682	A	20080821	JP 2008-95581	20080401	<--
JP 2008222719	A	20080925	JP 2008-97620	20080403	<--
JP 2008189687	A	20080821	JP 2008-98506	20080404	<--
JP 2008201808	A	20080904	JP 2008-121723	20080507	<--
PRIORITY APPLN. INFO.:			US 2000-232795P	P	20000915 <--
			US 2000-257887P	P	20001221 <--
			US 2001-286949P	P	20010427 <--
			AU 2001-296871	A3	20010914 <--
			AU 2001-90944	A3	20010914 <--
			AU 2001-91013	A3	20010914 <--
			AU 2001-94558	A3	20010914 <--
			AU 2001-96871	T0	20010914 <--
			EP 2001-971082	A3	20010914 <--
			JP 2002-526860	A3	20010914 <--
			US 2001-952671	A3	20010914 <--
			US 2001-953471	A3	20010914 <--
			US 2001-955601	A3	20010914 <--
			WO 2001-US28740	W	20010914 <--
			EP 2001-273861	A	20011219 <--
			EP 2001-994323	A3	20011219 <--
			JP 2002-557938	A3	20011219 <--
			JP 2002-559413	A3	20011219 <--
			JP 2002-563142	A3	20011219 <--
			JP 2002-567928	A3	20011219 <--
			US 2001-26966	A1	20011219 <--
			WO 2001-US49139	W	20011219 <--
			WO 2001-US50312	W	20011219 <--

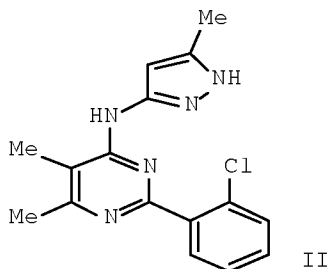
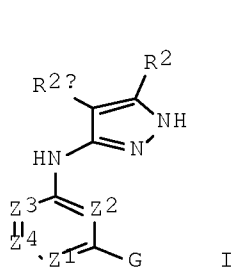
Serial No.:10/595,734

JP 2002-559414	A3 20011220 <--
US 2001-34019	A3 20011220 <--
US 2001-34683	A1 20011220 <--
IN 2003-KN795	A3 20030619 <--
US 2003-624800	A3 20030722 <--

OTHER SOURCE(S): MARPAT 136:247579

ED Entered STN: 22 Mar 2002

GI



AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z<sup>1</sup> = N or CR<sub>9</sub>; Z<sup>2</sup> = N or CH; Z<sup>3</sup> = N or CR<sub>x</sub>; Z<sup>4</sup> = N or CR<sub>y</sub>; R<sub>x</sub> and R<sub>y</sub> = independently TR<sub>3</sub>, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R<sub>2</sub> and R<sub>2a</sub> = independently R, TWR<sub>6</sub>; or C<sub>2</sub>R<sub>2</sub>R<sub>2a</sub> = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R<sub>6</sub>)<sub>2</sub>O, C(R<sub>6</sub>)<sub>2</sub>SO-2, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>, CO, CO<sub>2</sub>, CR<sub>6</sub>OCO, CR<sub>6</sub>CONR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CO, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CO<sub>2</sub>, CR<sub>6</sub>:NNR<sub>6</sub>, CR<sub>6</sub>:NO, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>NR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>SO<sub>2</sub>NR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CONR<sub>6</sub>, or CONR<sub>6</sub>; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R<sub>3</sub> = R, halo, O, OR, COR, CO<sub>2</sub>R, COCOR, COCH<sub>2</sub>COR, NO<sub>2</sub>, CN, SO<sub>0</sub>-2R, N(R<sub>4</sub>)<sub>2</sub>, CON(R<sub>4</sub>)<sub>2</sub>, SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, OCOR, NR<sub>4</sub>COR, NR<sub>4</sub>CO<sub>2</sub>(aliphatic), NR<sub>4</sub>N(R<sub>4</sub>)<sub>2</sub>, C:NN(R<sub>4</sub>)<sub>2</sub>, C:NOR, NR<sub>4</sub>CO(R<sub>4</sub>)<sub>2</sub>, NR<sub>4</sub>SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, NR<sub>4</sub>SO<sub>2</sub>R, or OCON(R<sub>4</sub>)<sub>2</sub>; R<sub>4</sub> = R<sub>7</sub>, COR<sub>7</sub>, CO<sub>2</sub>(aliphatic), CON(R<sub>7</sub>)<sub>2</sub>, or SO<sub>2</sub>R<sub>7</sub>; or N(R<sub>4</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; R<sub>6</sub> and R<sub>7</sub> = independently H or (un)substituted aliphatic group; or N(R<sub>6</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; or N(R<sub>7</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; R<sub>9</sub> = R, halo, OR, COR, CO<sub>2</sub>R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyrazolamines and indazolamines I [wherein Z<sup>1</sup> = N, CR<sub>a</sub>, or CH; Z<sup>2</sup> = N or CH; and at least one of Z<sup>1</sup> or Z<sup>2</sup> = N; Z<sup>3</sup> = CR<sub>x</sub>; Z<sup>4</sup> = CR<sub>y</sub>; R<sub>a</sub> = halo, OR, COR, CO<sub>2</sub>R, COCOR, NO<sub>2</sub>, CN, SO<sub>0</sub>-2R, N(R<sub>4</sub>)<sub>2</sub>, CON(R<sub>4</sub>)<sub>2</sub>, SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, OCOR, NR<sub>4</sub>COR, etc.; R and R<sub>4</sub> are defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β<sub>3</sub>, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited K<sub>i</sub> values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT 404829-30-7P, [6-(4-Acetamidophenylsulfanyl)-2-phenylpyrimidin-4-yl](5-methyl-2H-pyrazol-3-yl)amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

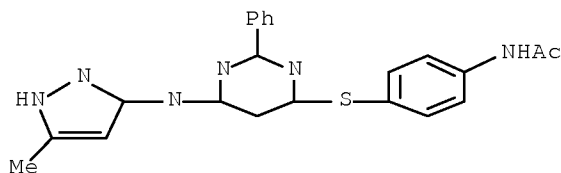
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and

Serial No.:10/595,734

analogs as protein kinase inhibitors for treatment of cancer, diabetes,  
and Alzheimer's disease)

RN 404829-30-7 HCAPLUS

CN Acetamide, N-[4-[[6-[(5-methyl-1H-pyrazol-3-yl)amino]-2-phenyl-4-  
pyrimidinyl]thio]phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 22 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:235559 HCAPLUS Full-text

DOCUMENT NUMBER: 134:266319

TITLE: CD40 function inhibitors containing (hetero)aryl  
compounds and their preparation

INVENTOR(S): Saito, Shoichi; Akane, Katsura; Fujimoto, Katsumi;  
Shiraishi, Akio; Kurakata, Shinichi; Maeda, Hiroaki;  
Tatsuta, Toru

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 139 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

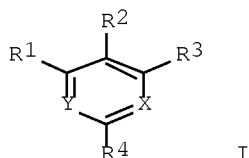
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
JP 2001089452	A	20010403	JP 1999-267909	19990922 <--
PRIORITY APPLN. INFO.:			JP 1999-267909	19990922 <--

OTHER SOURCE(S): MARPAT 134:266319

ED Entered STN: 04 Apr 2001

GI



AB Title inhibitors, useful for prevention and treatment of allergy, rheumatoid,  
autoimmune disease, and arteriosclerosis, contain aromatic compds. I [R1, R3,

Serial No.:10/595,734

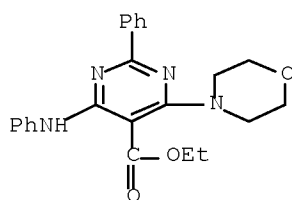
R4 = H, OH, halo, C1-15 alkyl(oxy), C1-15 alkylthio, (un)substituted (hetero)aryl, etc.; R2 = NO2, nitrile, CO2H, C2-6 alkoxy-carbonyl; R1CCR2 may form (un)substituted (hetero)aryl; X, Y = N, CH] or their salts as active ingredients. Thus, MeOCPh:C(CO2Et)2 was refluxed with benzamidine HCl salt and NaH in EtOH for 5 h, evaporated, neutralized, extracted with AcOEt, the organic phase concentrated, and treated with POCl3 and morpholine to give 52% I (R1 = R4 = Ph, R2 = CO2Et, R3 = 4-morpholino, X = Y = N), which at 25  $\mu$ M inhibited 88% formation of IL-12.

IT 332071-54-2P 332071-59-7P 332071-60-0P  
332071-64-4P 332071-65-5P 332071-67-7P  
332071-68-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of (hetero)aryl compds. as CD40 function inhibitors)

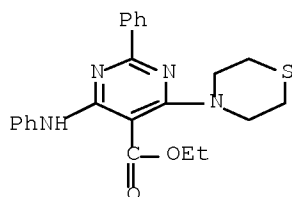
RN 332071-54-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(4-morpholinyl)-2-phenyl-6-(phenylamino)-, ethyl ester (CA INDEX NAME)



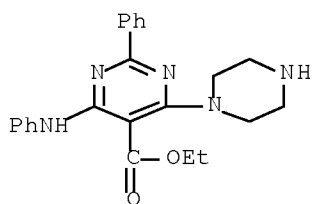
RN 332071-59-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-phenyl-4-(phenylamino)-6-(4-thiomorpholinyl)-, ethyl ester (CA INDEX NAME)



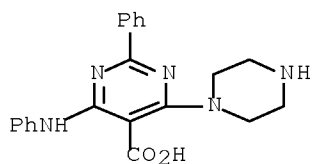
RN 332071-60-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-phenyl-4-(phenylamino)-6-(1-piperazinyl)-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)



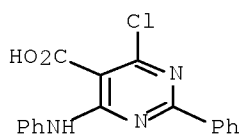
● HCl

RN 332071-64-4 HCAPLUS  
CN 5-Pyrimidinecarboxylic acid, 2-phenyl-4-(phenylamino)-6-(1-piperazinyl)-, sodium salt (1:1) (CA INDEX NAME)



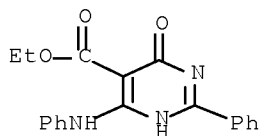
● Na

RN 332071-65-5 HCAPLUS  
CN 5-Pyrimidinecarboxylic acid, 4-chloro-2-phenyl-6-(phenylamino)-, sodium salt (1:1) (CA INDEX NAME)



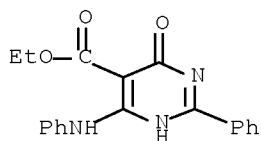
● Na

RN 332071-67-7 HCAPLUS  
CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2-phenyl-4-(phenylamino)-, ethyl ester (CA INDEX NAME)



RN 332071-68-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 1,6-dihydro-6-oxo-2-phenyl-4-(phenylamino)-, ethyl ester, sodium salt (1:1) (CA INDEX NAME)



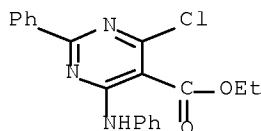
IT 90832-87-4P 332072-02-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (hetero)aryl compds. as CD40 function inhibitors)

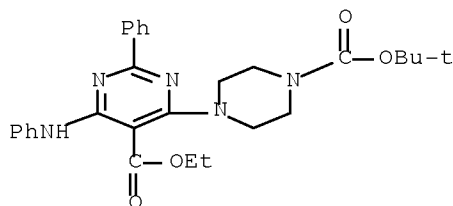
RN 90832-87-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-chloro-2-phenyl-6-(phenylamino)-, ethyl ester (CA INDEX NAME)



RN 332072-02-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl]-2-phenyl-6-(phenylamino)-, ethyl ester (CA INDEX NAME)



L53 ANSWER 23 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:401654 HCAPLUS Full-text

DOCUMENT NUMBER: 133:43533

TITLE: Preparation of aryl and heterocyclyl substituted

## Serial No.:10/595,734

pyrimidines as anti-coagulants  
 INVENTOR(S): Davey, David D.; Phillips, Gary B.  
 PATENT ASSIGNEE(S): Berlex Laboratories, Inc., USA  
 SOURCE: PCT Int. Appl., 54 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033844	A1	20000615	WO 1999-US28537	19991203 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6127376	A	20001003	US 1998-205498	19981204 <--
CA 2354040	A1	20000615	CA 1999-2354040	19991203 <--
BR 9915938	A	20010821	BR 1999-15938	19991203 <--
EP 1135131	A1	20010926	EP 1999-965087	19991203 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
SI 20637	A	20020228	SI 1999-20090	19991203 <--
HU 2001004508	A2	20020529	HU 2001-4508	19991203 <--
HU 2001004508	A3	20020729		
JP 2002531506	T	20020924	JP 2000-586336	19991203 <--
EE 200100298	A	20021216	EE 2001-298	19991203 <--
AU 760370	B2	20030515	AU 2000-31075	19991203 <--
NZ 512104	A	20031031	NZ 1999-512104	19991203 <--
RO 120971	B1	20061030	RO 2001-606	19991203 <--
US 6372751	B1	20020416	US 2000-539812	20000330 <--
ZA 2001004235	A	20020823	ZA 2001-4235	20010523 <--
NO 2001002701	A	20010725	NO 2001-2701	20010601 <--
BG 105557	A	20011231	BG 2001-105557	20010601 <--
IN 2001MN00631	A	20050304	IN 2001-MN631	20010601 <--
MX 2001PA05656	A	20020424	MX 2001-PA5656	20010604 <--
LT 4912	B	20020425	LT 2001-61	20010612 <--
LV 12783	B	20021020	LV 2001-100	20010704 <--
HR 2001000499	A1	20030430	HR 2001-499	20010704 <--
PRIORITY APPLN. INFO.:			US 1998-205498	A 19981204 <--
			WO 1999-US28537	W 19991203 <--
OTHER SOURCE(S): MARPAT 133:43533				
ED Entered STN: 16 Jun 2000				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I-III; Z1 = O, NR7, CH2O, SOn (n = 0-2); Z2 = O, NR7, OCH2, SOn (n = 0-2); R1, R4 = H, halo, alkyl, etc.; R2 = C(NH)NH2, C(NH)NHOR7, C(NH)NHCOR7, etc.; R3 = H, halo, alkyl, etc.; R5 = H, halo, alkyl, etc.; R6 = (un)substituted aryl, aralkyl, heterocyclyl, etc.] which inhibit the enzyme, factor Xa and therefore are useful as anti-coagulants, were prepared and



Serial No.:10/595,734

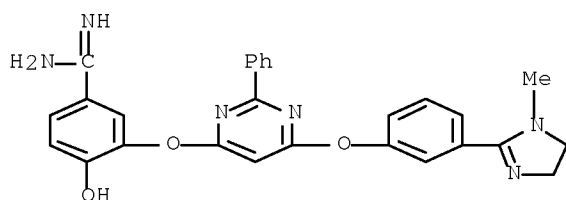
formulated. E.g., a multi-step synthesis of I.F3CCO2H [Z1 = Z2 = O; R1 = 2-OH; R2 = 5-C(NH)NH2; R3 = 3-(1-methylimidazolin-2-yl); R4, R5 = H; R6 = Ph] was given. Comps. I demonstrated the selective ability to inhibit human factor Xa and human thrombin, and are effective in treating a 70 kg person at 100-500 mg/day.

IT 274673-39-1P 274673-40-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of aryl and heterocyclyl substituted pyrimidines as anti-coagulants)

RN 274673-39-1 HCAPLUS

CN Benzenecarboximidamide, 3-[[6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-2-phenyl-4-pyrimidinyl]oxy]-4-hydroxy- (CA INDEX NAME)



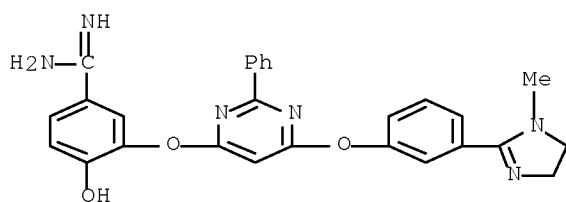
RN 274673-40-4 HCAPLUS

CN Benzenecarboximidamide, 3-[[6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-2-phenyl-4-pyrimidinyl]oxy]-4-hydroxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 274673-39-1

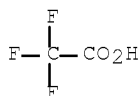
CMF C27 H24 N6 O3



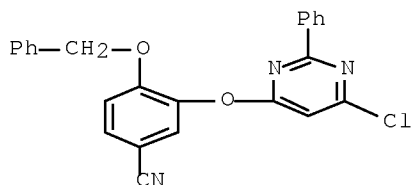
CM 2

CRN 76-05-1

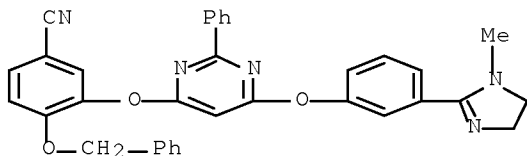
CMF C2 H F3 O2



IT 274673-44-8P 274673-45-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of aryl and heterocyclyl substituted pyrimidines as  
 anti-coagulants)  
 RN 274673-44-8 HCAPLUS  
 CN Benzonitrile, 3-[(6-chloro-2-phenyl-4-pyrimidinyl)oxy]-4-(phenylmethoxy)-  
 (CA INDEX NAME)



RN 274673-45-9 HCAPLUS  
 CN Benzonitrile, 3-[[6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-2-  
 phenyl-4-pyrimidinyl]oxy]-4-(phenylmethoxy)- (CA INDEX NAME)

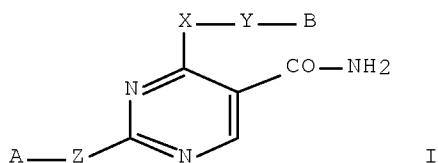


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 24 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:404941 HCAPLUS Full-text  
 DOCUMENT NUMBER: 131:44844  
 TITLE: preparation of novel pyrimidine-5-carboxamide  
 derivatives as tyrosinase inhibitors  
 INVENTOR(S): Hisamichi, Hiroyuki; Naito, Ryo; Kawazoe, Souichirou;  
 Toyoshima, Akira; Tanabe, Kazuhito; Nakai, Eiichi;  
 Ichikawa, Atsushi; Orita, Akiko; Takeuchi, Makoto  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931073	A1	19990624	WO 1998-JP5643	19981214 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9915071	A	19990705	AU 1999-15071	19981214 <--
EP 1054004	A1	20001122	EP 1998-959197	19981214 <--
EP 1054004	B1	20080716		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
AT 401312	T	20080815	AT 1998-959197	19981214 <--
JP 4135318	B2	20080820	JP 2000-539000	19981214 <--
US 6432963	B1	20020813	US 2000-581595	20000615 <--
PRIORITY APPLN. INFO.:			JP 1997-344588	A 19971215 <--
			WO 1998-JP5643	W 19981214 <--
OTHER SOURCE(S): MARPAT 131:44844				
ED Entered STN: 01 Jul 1999				
GI				



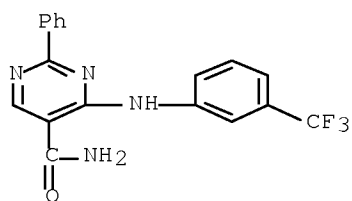
AB Pyrimidine-5-carboxamide derivs. or salts [I; X = O, S, NR1, CO, NR1CO, CONR1, C=NOR1, a bond; Y = lower alkylene optionally substituted by OR1 or NHR1, a bond; Z = O, NR2, a bond; A = H, optionally substituted lower alkyl, lower alkyl optionally having CO, optionally substituted aryl or heteroaryl, optionally substituted cycloalkyl, optionally substituted and saturated N heterocycle; B = optionally substituted aryl or heteroaryl; R1, R2 = H or lower alkyl optionally containing CO], effective tyrosinase inhibitors useful as 5-HT antagonists, antiallergics, were prepared I showed IC50 < 0.1  $\mu$ M in scintillation proximity assay. I were effective at 0.1-10 mg/kg-day p.o.

IT 227450-18-2P

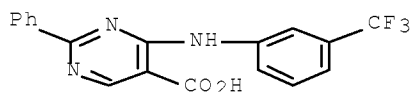
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of novel pyrimidine-5-carboxamide derivs. as tyrosinase inhibitors)

RN 227450-18-2 HCAPLUS

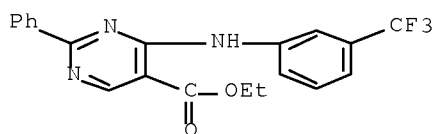
CN 5-Pyrimidinecarboxamide, 2-phenyl-4-[[3-(trifluoromethyl)phenyl]amino]-  
(CA INDEX NAME)



IT 15969-42-3P 16100-40-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of novel pyrimidine-5-carboxamide derivs. as tyrosinase  
 inhibitors)  
 RN 15969-42-3 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 2-phenyl-4-[[3-(trifluoromethyl)phenyl]amino]-  
 (CA INDEX NAME)



RN 16100-40-6 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 2-phenyl-4-[[3-(trifluoromethyl)phenyl]amino]-  
 , ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 25 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:387716 HCAPLUS Full-text  
 DOCUMENT NUMBER: 131:78466  
 TITLE: Adenosine A3 antagonists  
 INVENTOR(S): Sugiura, Yoshihiro; Miwatari, Seiji; Kimura, Hiroyuki;  
 Knzaki, Naoyuki  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

## Serial No.:10/595,734

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11158073	A	19990615	JP 1998-270755	19980925 <--
PRIORITY APPLN. INFO.:			JP 1997-262525	A 19970926 <--

OTHER SOURCE(S): MARPAT 131:78466

ED Entered STN: 23 Jun 1999

AB Adenosine A3 receptor antagonists contain (un)substituted amino-substituted N2-3-containing heterocyclic [5-8 ring-containing] compds. such as 2-chloro-4-ethylamino-6-phenylamino-1,3,5-triazine and 2,4-bis[phenylamino]-6-cyclohexylamino-1,3,5-triazine. Of 6 compds. tested, the IC50 values of adenosine A3 receptor antagonist activities ranged from 0.7 to 285.9 nM as determined in human adenosine A3 receptor-expressing plasmid-transformed CHO (dhfr-) cell cultures. Tablets were formulated containing 2,4-bis[phenylamino]-6-cyclohexylamino- 1,3,5-triazine 50, lactose 34, corn starch 10.6, corn starch paste 5, magnesium stearate 0.4 and calcium CM-cellulose 20 mg. The drugs are useful for treating e.g. brain ischemic disease.

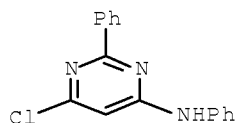
IT 228575-10-8 228575-14-2 228575-15-3  
 228575-16-4 228575-17-5 228575-18-6  
 228575-19-7 228575-20-0 228575-21-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(adenosine A3 receptor antagonists and pharmaceutical compns.)

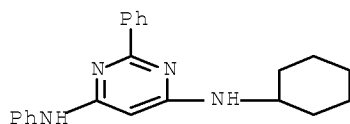
RN 228575-10-8 HCAPLUS

CN 4-Pyrimidinamine, 6-chloro-N,2-diphenyl- (CA INDEX NAME)



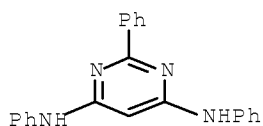
RN 228575-14-2 HCAPLUS

CN 4,6-Pyrimidinediamine, N4-cyclohexyl-N6,2-diphenyl- (CA INDEX NAME)



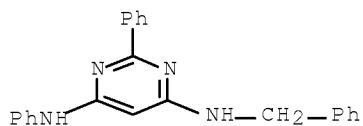
RN 228575-15-3 HCAPLUS

CN 4,6-Pyrimidinediamine, N4,N6,2-triphenyl- (CA INDEX NAME)

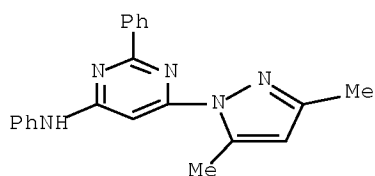


Serial No.:10/595,734

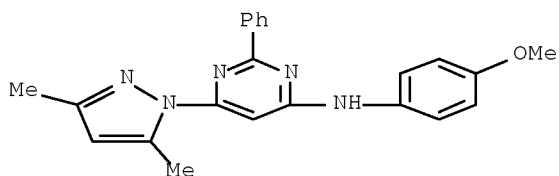
RN 228575-16-4 HCAPLUS  
CN 4,6-Pyrimidinediamine, N4,2-diphenyl-N6-(phenylmethyl)- (CA INDEX NAME)



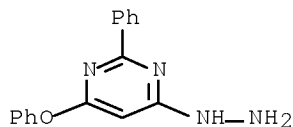
RN 228575-17-5 HCAPLUS  
CN 4-Pyrimidinamine, 6-(3,5-dimethyl-1H-pyrazol-1-yl)-N,2-diphenyl- (CA INDEX NAME)



RN 228575-18-6 HCAPLUS  
CN 4-Pyrimidinamine, 6-(3,5-dimethyl-1H-pyrazol-1-yl)-N-(4-methoxyphenyl)-2-phenyl- (CA INDEX NAME)

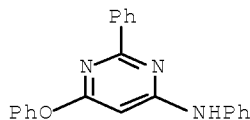


RN 228575-19-7 HCAPLUS  
CN Pyrimidine, 4-hydrazinyl-6-phenoxy-2-phenyl-, hydrochloride (1:2) (CA INDEX NAME)

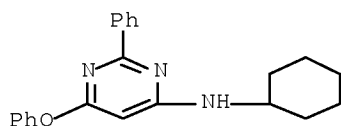


●2 HCl

RN 228575-20-0 HCAPLUS  
CN 4-Pyrimidinamine, 6-phenoxy-N,2-diphenyl- (CA INDEX NAME)



RN 228575-21-1 HCAPLUS  
CN 4-Pyrimidinamine, N-cyclohexyl-6-phenoxy-2-phenyl-, hydrochloride (1:1)  
(CA INDEX NAME)



● HCl

L53 ANSWER 26 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:101958 HCAPLUS Full-text

DOCUMENT NUMBER: 126:157468

ORIGINAL REFERENCE NO.: 126:30451a,30454a

TITLE: Synthesis and biological activity of some pyrimidine derivatives

AUTHOR(S): Pluta, J.; Flendrich, M.; Cieplik, J.

CORPORATE SOURCE: Dep. Applied Pharmacy, School Medicine, Wroclaw, 50-137, Pol.

SOURCE: Bollettino Chimico Farmaceutico (1996), 135(8), 459-464

CODEN: BCFAAI; ISSN: 0006-6648

PUBLISHER: Societa Editoriale Farmaceutica

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 13 Feb 1997

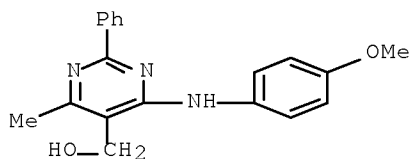
AB Some new pyrimidine derivs. were prepared and the influence of their structure (particularly, the significance of substitution at C-5) on their antibacterial properties was investigated.

IT 186804-30-8F

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and bactericidal activity of pyrimidine derivs.)

RN 186804-30-8 HCAPLUS

CN 5-Pyrimidinemethanol, 4-[(4-methoxyphenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)

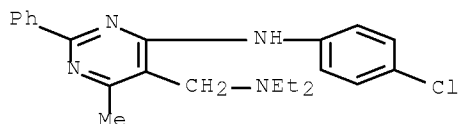


IT 164926-96-9P 186804-28-4P 186804-29-5P  
 186804-31-9P 186804-32-0P 186804-33-1P  
 186804-34-2P 186804-35-3P 186804-36-4P  
 186804-37-5P 186804-38-6P 186804-39-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and bactericidal activity of pyrimidine derivs.)

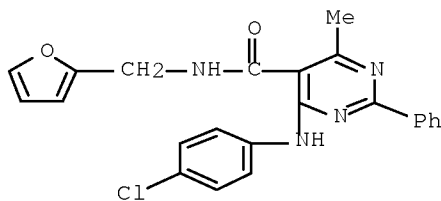
RN 164926-96-9 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(4-chlorophenyl)amino]-N,N-diethyl-6-methyl-2-phenyl- (CA INDEX NAME)



RN 186804-28-4 HCAPLUS

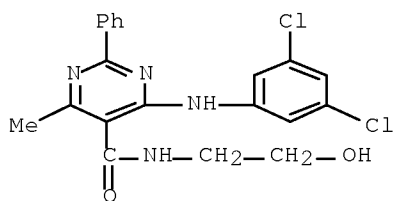
CN 5-Pyrimidinecarboxamide, 4-[(4-chlorophenyl)amino]-N-(2-furanylmethyl)-6-methyl-2-phenyl- (CA INDEX NAME)



RN 186804-29-5 HCAPLUS

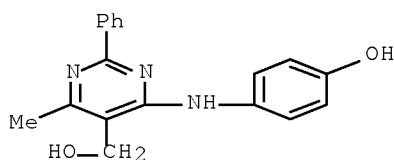
CN 5-Pyrimidinecarboxamide, 4-[(3,5-dichlorophenyl)amino]-N-(2-hydroxyethyl)-6-methyl-2-phenyl- (CA INDEX NAME)





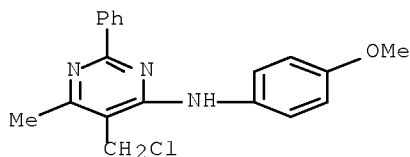
RN 186804-31-9 HCAPLUS

CN 5-Pyrimidinemethanol, 4-[(4-hydroxyphenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



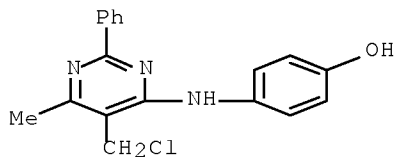
RN 186804-32-0 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(4-methoxyphenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



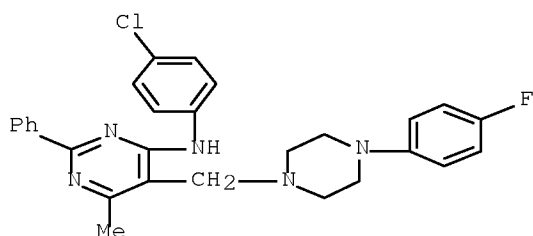
RN 186804-33-1 HCAPLUS

CN Phenol, 4-[[5-(chloromethyl)-6-methyl-2-phenyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



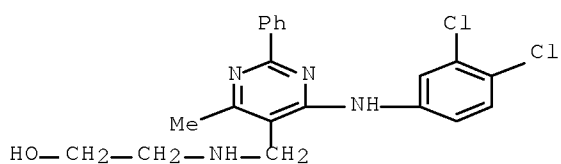
RN 186804-34-2 HCAPLUS

CN 4-Pyrimidinamine, N-(4-chlorophenyl)-5-[[4-(4-fluorophenyl)-1-piperazinyl]methyl]-6-methyl-2-phenyl- (CA INDEX NAME)



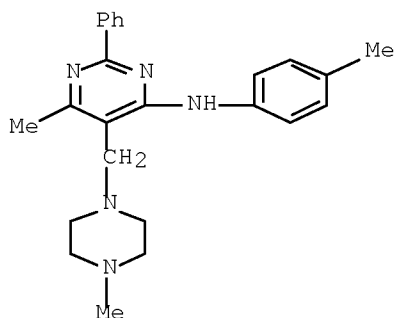
RN 186804-35-3 HCAPLUS

CN Ethanol, 2-[[[4-[(3,4-dichlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methyl]amino]- (CA INDEX NAME)



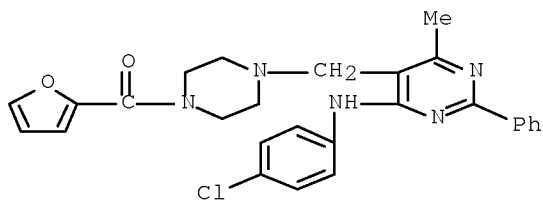
RN 186804-36-4 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-methylphenyl)-5-[(4-methyl-1-piperazinyl)methyl]-2-phenyl- (CA INDEX NAME)



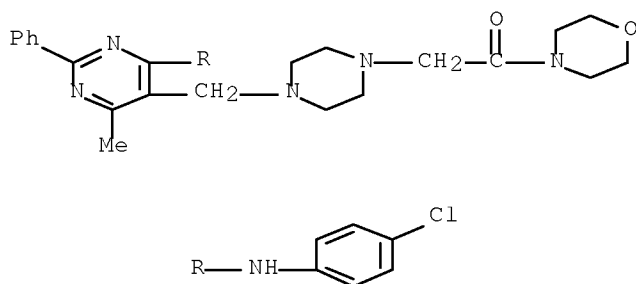
RN 186804-37-5 HCAPLUS

CN Methanone, [4-[[[4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methyl]-1-piperazinyl]-2-furanyl]- (CA INDEX NAME)



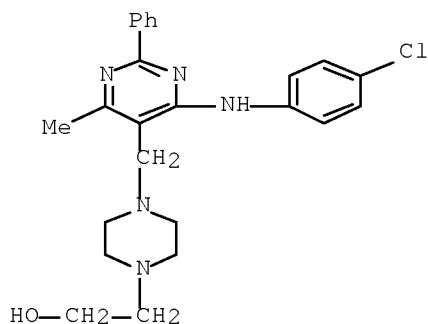
RN 186804-38-6 HCAPLUS

CN Ethanone, 2-[4-[[4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methyl]-1-piperazinyl]-1-(4-morpholinyl)- (CA INDEX NAME)



RN 186804-39-7 HCAPLUS

CN 1-Piperazineethanol, 4-[[4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methyl]- (CA INDEX NAME)



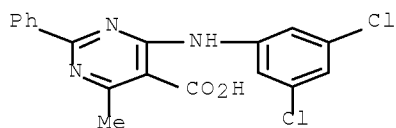
IT 160944-65-0 164926-93-6 178380-71-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and bactericidal activity of pyrimidine derivs.)

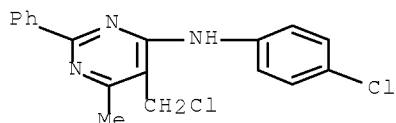
RN 160944-65-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(3,5-dichlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



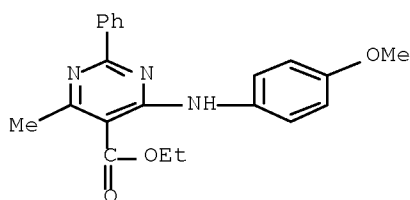
RN 164926-93-6 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(4-chlorophenyl)-6-methyl-2-phenyl-  
(CA INDEX NAME)



RN 178380-71-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(4-methoxyphenyl)amino]-6-methyl-2-phenyl-  
, ethyl ester (CA INDEX NAME)

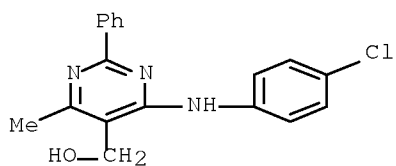


IT 186804-11-5P 186804-12-6P 186804-13-7P  
186804-14-8P 186804-15-9P 186804-16-0P  
186804-17-1P 186804-18-2P 186804-19-3P  
186804-20-6P 186804-21-7P 186804-22-8P  
186804-23-9P 186804-24-0P 186804-25-1P  
186804-44-4P 186804-46-6P 186804-48-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and bactericidal activity of pyrimidine derivs.)

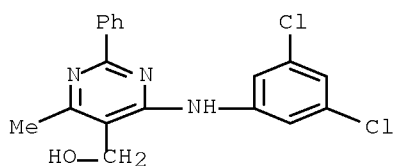
RN 186804-11-5 HCAPLUS

CN 5-Pyrimidinemethanol, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-, sodium  
salt (1:1) (CA INDEX NAME)



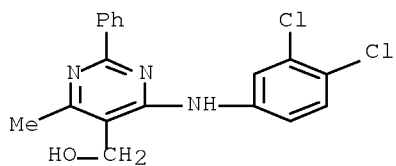
● Na

RN 186804-12-6 HCAPLUS  
CN 5-Pyrimidinemethanol, 4-[(3,5-dichlorophenyl)amino]-6-methyl-2-phenyl-, sodium salt (1:1) (CA INDEX NAME)



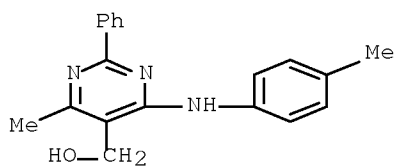
● Na

RN 186804-13-7 HCAPLUS  
CN 5-Pyrimidinemethanol, 4-[(3,4-dichlorophenyl)amino]-6-methyl-2-phenyl-, sodium salt (1:1) (CA INDEX NAME)



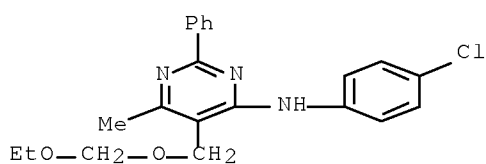
● Na

RN 186804-14-8 HCAPLUS  
CN 5-Pyrimidinemethanol, 4-methyl-6-[(4-methylphenyl)amino]-2-phenyl-, sodium salt (1:1) (CA INDEX NAME)



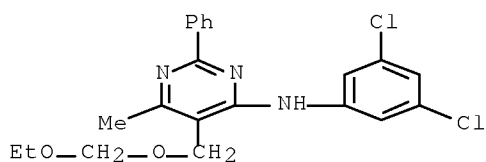
RN 186804-15-9 HCAPLUS

CN 4-Pyrimidinamine, N-(4-chlorophenyl)-5-[(ethoxymethoxy)methyl]-6-methyl-2-phenyl- (CA INDEX NAME)



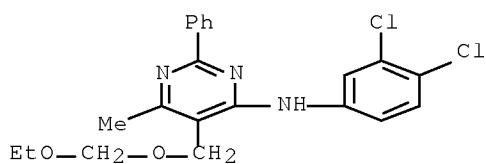
RN 186804-16-0 HCAPLUS

CN 4-Pyrimidinamine, N-(3,5-dichlorophenyl)-5-[(ethoxymethoxy)methyl]-6-methyl-2-phenyl- (CA INDEX NAME)



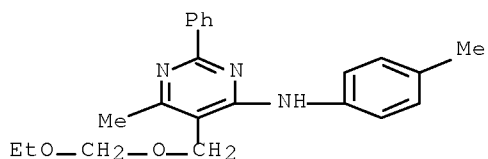
RN 186804-17-1 HCAPLUS

CN 4-Pyrimidinamine, N-(3,4-dichlorophenyl)-5-[(ethoxymethoxy)methyl]-6-methyl-2-phenyl- (CA INDEX NAME)



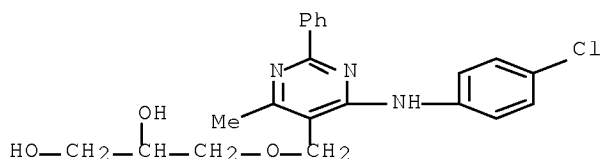
RN 186804-18-2 HCAPLUS

CN 4-Pyrimidinamine, 5-[(ethoxymethoxy)methyl]-6-methyl-N-(4-methylphenyl)-2-phenyl- (CA INDEX NAME)



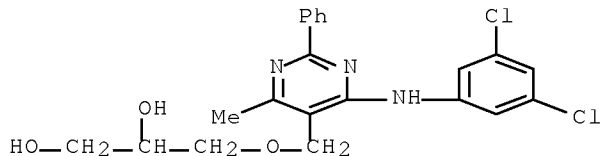
RN 186804-19-3 HCAPLUS

CN 1,2-Propanediol, 3-[[4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methoxy]- (CA INDEX NAME)



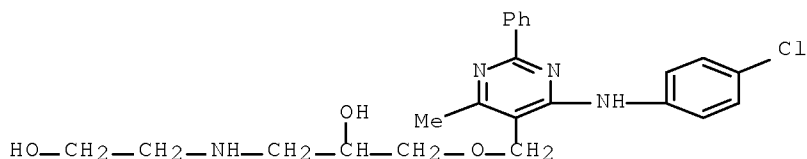
RN 186804-20-6 HCAPLUS

CN 1,2-Propanediol, 3-[[4-[(3,5-dichlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methoxy]- (CA INDEX NAME)



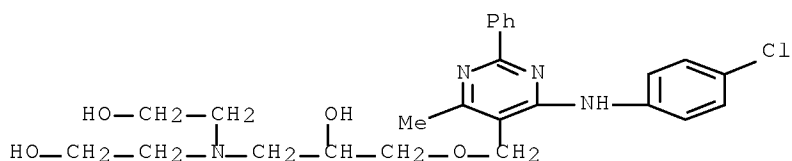
RN 186804-21-7 HCAPLUS

CN 2-Propanol, 1-[[4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methoxy]-3-[(2-hydroxyethyl)amino]- (CA INDEX NAME)



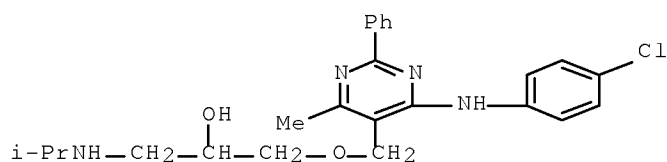
RN 186804-22-8 HCAPLUS

CN 2-Propanol, 1-bis[(2-hydroxyethyl)amino]-3-[[4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methoxy]- (CA INDEX NAME)



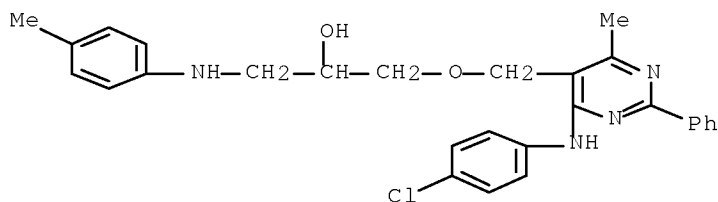
RN 186804-23-9 HCAPLUS

CN 2-Propanol, 1-[[4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methoxy]-3-[(1-methylethyl)amino]- (CA INDEX NAME)



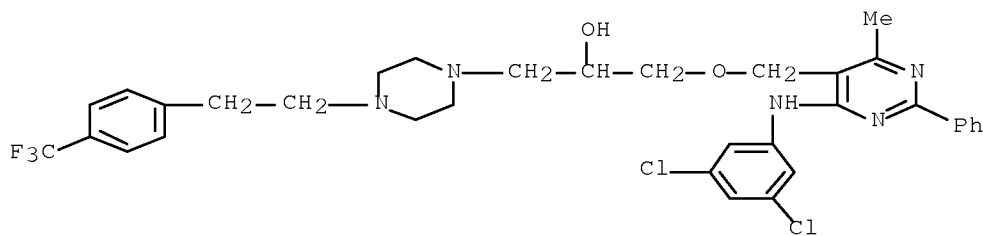
RN 186804-24-0 HCAPLUS

CN 2-Propanol, 1-[[4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methoxy]-3-[(4-methylphenyl)amino]- (CA INDEX NAME)



RN 186804-25-1 HCAPLUS

CN 1-Piperazineethanol,  $\alpha$ -[[[4-[(3,5-dichlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methoxy]methyl]-4-[2-[4-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)

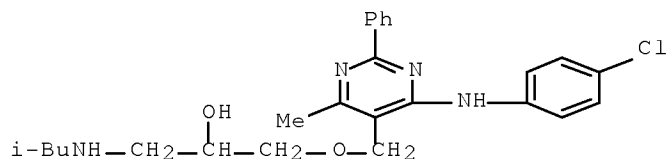


RN 186804-44-4 HCAPLUS



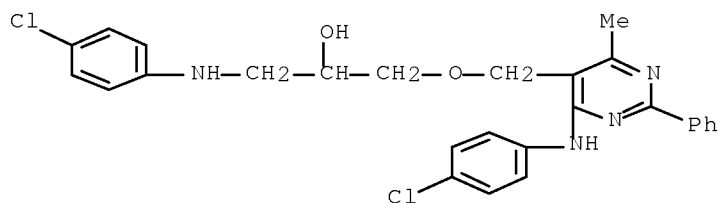
Serial No.:10/595,734

CN 2-Propanol, 1-[[4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methoxy]-3-[(2-methylpropyl)amino]- (CA INDEX NAME)



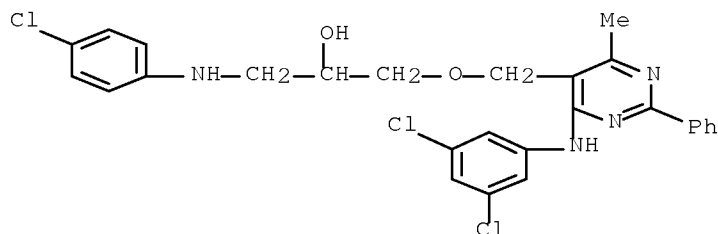
RN 186804-46-6 HCAPLUS

CN 2-Propanol, 1-[(4-chlorophenyl)amino]-3-[[4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methoxy]- (CA INDEX NAME)



RN 186804-48-8 HCAPLUS

CN 2-Propanol, 1-[(4-chlorophenyl)amino]-3-[[4-[(3,5-dichlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methoxy]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 27 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:682845 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 123:83387

ORIGINAL REFERENCE NO.: 123:14929a,14932a

TITLE: Method of preparing 2-phenyl-4-(4'-chlorophenylamino)-6-methyl-5-(hydroxymethyl)pyrimidine

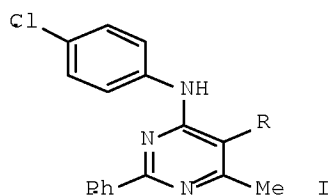
INVENTOR(S): Machon, Zdzislaw; Cieplik, Jerzy; Wieczorek, Zbigniew; Zimecki, Michal

PATENT ASSIGNEE(S): Akademia Medyczna, Pol.

SOURCE: Pol., 3 pp.

CODEN: POXXA7  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Polish  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 164076	B1	19940630	PL 1990-284351	19900315 <--
PRIORITY APPLN. INFO.:			PL 1990-284351	19900315 <--
OTHER SOURCE(S):	CASREACT	123:83387		
ED Entered STN:	19 Jul	1995		
GI				

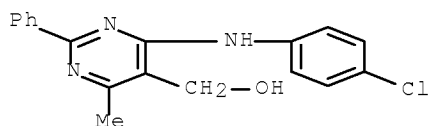


AB Title compound I (R = CH<sub>2</sub>OH) (II) is prepared by reduction of I (R = CO<sub>2</sub>Et) with LiAlH<sub>4</sub> in anhydrous THF. An example gave 82.2% yield of II. Strong immunostimulant activity was demonstrated by II both in vitro and in vivo, e.g., using the Jerne test and GvH tests (no addnl. data).

IT 154957-61-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of phenyl(chlorophenyl)aminomethyl(hydroxymethyl)pyrimidine as immunostimulant)

RN 154957-61-6 HCAPLUS

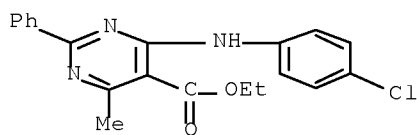
CN 5-Pyrimidinemethanol, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



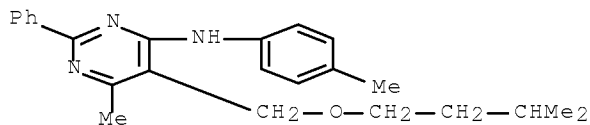
IT 94037-17-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reduction; preparation of  
 phenyl(chlorophenyl)aminomethyl(hydroxymethyl)pyrimidine as immunostimulant)

RN 94037-17-9 HCAPLUS

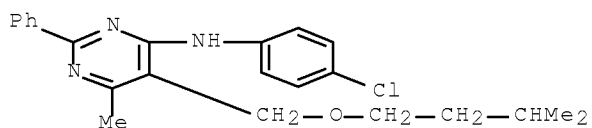
CN 5-Pyrimidinecarboxylic acid, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-, ethyl ester (CA INDEX NAME)



L53 ANSWER 28 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:484203 HCAPLUS Full-text  
 DOCUMENT NUMBER: 123:55795  
 ORIGINAL REFERENCE NO.: 123:10047a,10050a  
 TITLE: Synthesis and immunomodulatory activity of  
 6-methyl-2-phenyl-5-substituted pyrimidines  
 AUTHOR(S): Cieplik, Jerzy; Machon, Zdzislaw; Zimecki, Michal;  
 Wieczorek, Zbigniew  
 CORPORATE SOURCE: Dep. Org. Chemistry, Medical Academy, Wroclaw, 50-137,  
 Pol.  
 SOURCE: Farmaco (1995), 50(2), 131-6  
 CODEN: FRMCE8  
 PUBLISHER: Societa Chimica Italiana  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 12 Apr 1995  
 AB Various new 4-arylamino-6-methyl-2-phenyl-5-methylamino- and 5-  
 alkoxyethylpyrimidines were synthesized in two chemical series from 4-  
 arylamino-6-methyl-2-phenyl-5-hydroxymethylpyrimidines. Some of these  
 products display immunomodulatory activities comparable to that of levamisole.  
 IT 164927-13-3P 164927-14-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
 study); PREP (Preparation)  
 (synthesis and immunomodulatory activity of substituted pyrimidines)  
 RN 164927-13-3 HCAPLUS  
 CN 4-Pyrimidinamine, 6-methyl-5-[(3-methylbutoxy)methyl]-N-(4-methylphenyl)-2-  
 phenyl- (CA INDEX NAME)



RN 164927-14-4 HCAPLUS  
 CN 4-Pyrimidinamine, N-(4-chlorophenyl)-6-methyl-5-[(3-methylbutoxy)methyl]-2-  
 phenyl- (CA INDEX NAME)



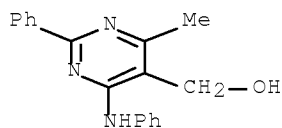
IT 154957-59-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and immunomodulatory activity of substituted pyrimidines)

RN 154957-59-2 HCAPLUS

CN 5-Pyrimidinemethanol, 4-methyl-2-phenyl-6-(phenylamino)- (CA INDEX NAME)



IT 164926-92-5P 164926-93-6P 164927-16-6P

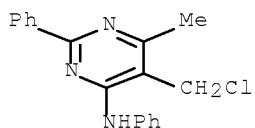
164927-17-7P 164927-18-8P 164927-19-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and immunomodulatory activity of substituted pyrimidines)

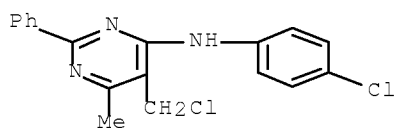
RN 164926-92-5 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-6-methyl-N,2-diphenyl- (CA INDEX NAME)



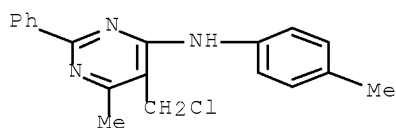
RN 164926-93-6 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(4-chlorophenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



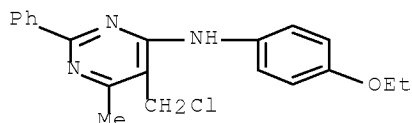
RN 164927-16-6 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-6-methyl-N-(4-methylphenyl)-2-phenyl- (CA INDEX NAME)



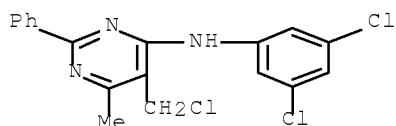
RN 164927-17-7 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(4-ethoxyphenyl)-6-methyl-2-phenyl-  
(CA INDEX NAME)



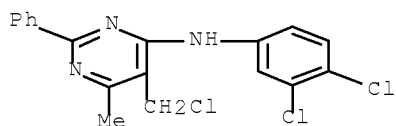
RN 164927-18-8 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(3,5-dichlorophenyl)-6-methyl-2-phenyl-  
(CA INDEX NAME)



RN 164927-19-9 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(3,4-dichlorophenyl)-6-methyl-2-phenyl-  
(CA INDEX NAME)



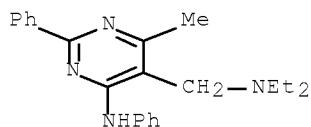
IT 164926-94-7P 164926-95-8P 164926-96-9P  
164926-97-0P 164926-98-1P 164926-99-2P  
164927-00-8P 164927-01-9P 164927-02-0P  
164927-03-1P 164927-04-2P 164927-05-3P  
164927-06-4P 164927-07-5P 164927-08-6P  
164927-09-7P 164927-10-0P 164927-11-1P  
164927-12-2P 164927-15-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis and immunomodulatory activity of substituted pyrimidines)

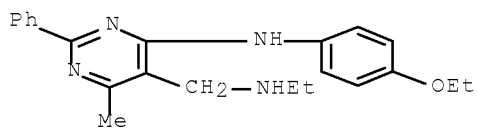
RN 164926-94-7 HCAPLUS

CN 5-Pyrimidinemethanamine, N,N-diethyl-4-methyl-2-phenyl-6-(phenylamino)-  
(CA INDEX NAME)



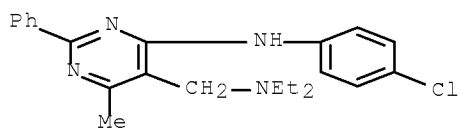
RN 164926-95-8 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(4-ethoxyphenyl)amino]-N-ethyl-6-methyl-2-phenyl- (CA INDEX NAME)



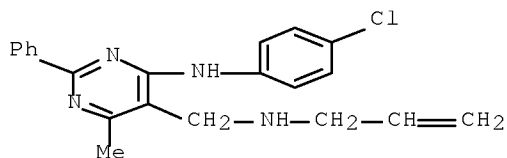
RN 164926-96-9 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(4-chlorophenyl)amino]-N,N-diethyl-6-methyl-2-phenyl- (CA INDEX NAME)



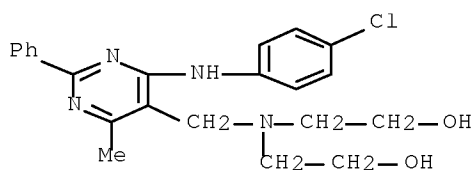
RN 164926-97-0 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-N-2-propen-1-yl- (CA INDEX NAME)



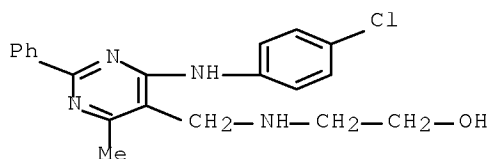
RN 164926-98-1 HCAPLUS

CN Ethanol, 2,2'-[[[4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methyl]imino]bis- (9CI) (CA INDEX NAME)



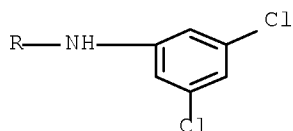
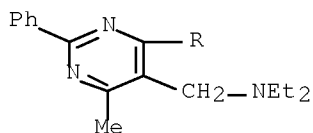
RN 164926-99-2 HCAPLUS

CN Ethanol, 2-[[[4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-5-pyrimidinyl]methyl]amino]- (CA INDEX NAME)



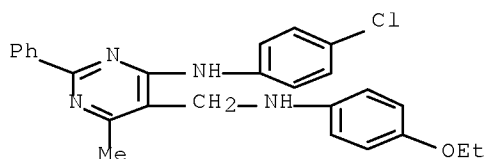
RN 164927-00-8 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(3,5-dichlorophenyl)amino]-N,N-diethyl-6-methyl-2-phenyl- (CA INDEX NAME)



RN 164927-01-9 HCAPLUS

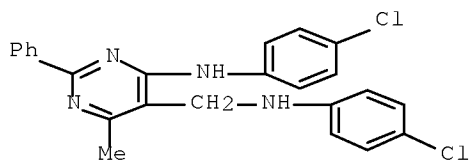
CN 5-Pyrimidinemethanamine, 4-[(4-chlorophenyl)amino]-N-(4-ethoxyphenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



RN 164927-02-0 HCAPLUS

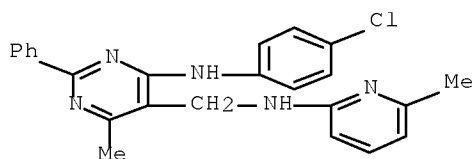
Serial No.:10/595,734

CN 5-Pyrimidinemethanamine, N-(4-chlorophenyl)-4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



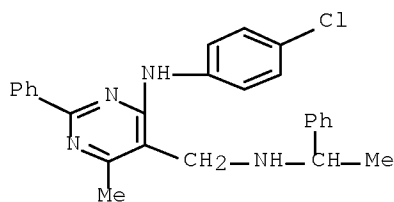
RN 164927-03-1 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(4-chlorophenyl)amino]-6-methyl-N-(6-methyl-2-pyridinyl)-2-phenyl- (CA INDEX NAME)



RN 164927-04-2 HCAPLUS

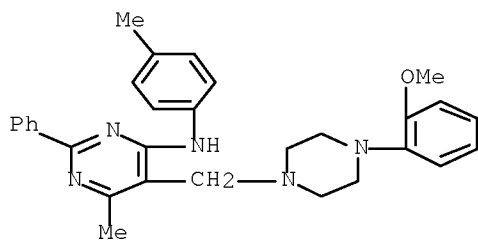
CN 5-Pyrimidinemethanamine, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-N-(1-phenylethyl)- (CA INDEX NAME)



RN 164927-05-3 HCAPLUS

CN 4-Pyrimidinamine, 5-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-6-methyl-N-(4-methylphenyl)-2-phenyl-, hydrochloride (1:2) (CA INDEX NAME)

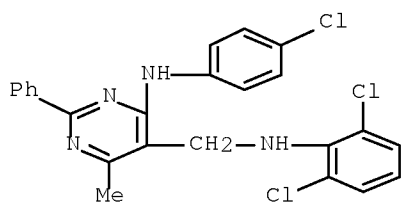




● 2 HCl

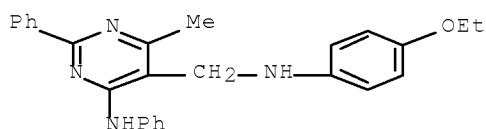
RN 164927-06-4 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(4-chlorophenyl)amino]-N-(2,6-dichlorophenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



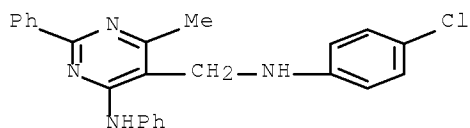
RN 164927-07-5 HCAPLUS

CN 5-Pyrimidinemethanamine, N-(4-ethoxyphenyl)-4-methyl-2-phenyl-6-(phenylamino)- (CA INDEX NAME)



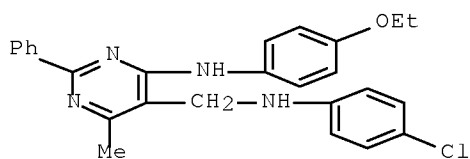
RN 164927-08-6 HCAPLUS

CN 5-Pyrimidinemethanamine, N-(4-chlorophenyl)-4-methyl-2-phenyl-6-(phenylamino)- (CA INDEX NAME)



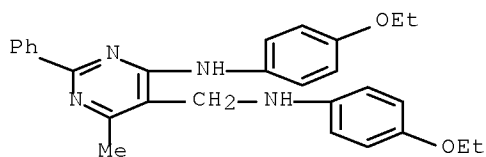
RN 164927-09-7 HCAPLUS

CN 5-Pyrimidinemethanamine, N-(4-chlorophenyl)-4-[(4-ethoxyphenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



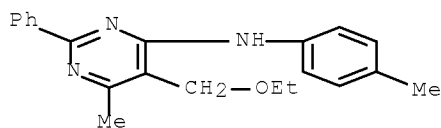
RN 164927-10-0 HCAPLUS

CN 5-Pyrimidinemethanamine, N-(4-ethoxyphenyl)-4-[(4-ethoxyphenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



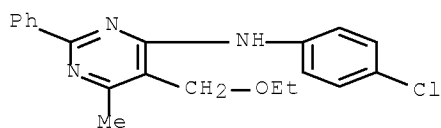
RN 164927-11-1 HCAPLUS

CN 4-Pyrimidinamine, 5-(ethoxymethyl)-6-methyl-N-(4-methylphenyl)-2-phenyl- (CA INDEX NAME)



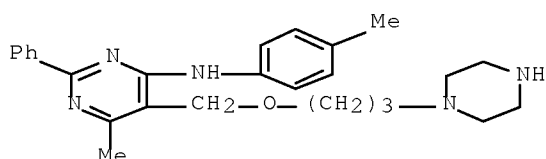
RN 164927-12-2 HCAPLUS

CN 4-Pyrimidinamine, N-(4-chlorophenyl)-5-(ethoxymethyl)-6-methyl-2-phenyl- (CA INDEX NAME)

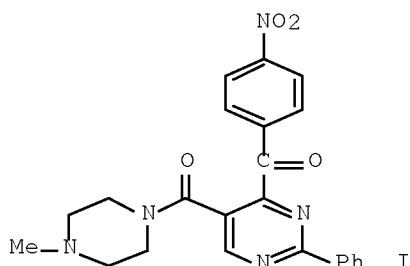


RN 164927-15-5 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-methylphenyl)-2-phenyl-5-[[3-(1-piperazinyl)propoxy]methyl]- (CA INDEX NAME)

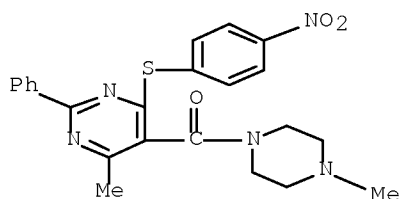


L53 ANSWER 29 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:75794 HCAPLUS Full-text  
 DOCUMENT NUMBER: 122:55996  
 ORIGINAL REFERENCE NO.: 122:10851a,10854a  
 TITLE: Studies of cerebral protective agents. VI. Synthesis of novel 4-(4-nitrobenzoyl)pyrimidine and related compounds with antianoxic activity  
 AUTHOR(S): Ohkubo, Mitsuru; Kuno, Atsushi; Sakai, Hiroyoshi; Sugiyama, Yoshie; Takasugi, Hisashi  
 CORPORATE SOURCE: New Drug Res. Lab., Fujisawa Pharmaceutical Co., Ltd., Osaka, 532, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (1994), 42(6), 1279-85  
 CODEN: CPBTAL; ISSN: 0009-2363  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 08 Nov 1994  
 GI



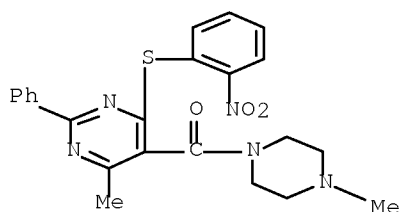
AB Novel pyrimidine derivs., possessing linkages between the aryl group and the pyrimidine nucleus an the C-4 position, were prepared and tested for antianoxic activity in mice. Among them, 5-(4-methylpiperazin-1-ylcarbonyl)-4-(4-nitrobenzoyl)-2-phenylpyrimidine (FR 76659) (I) possessed significant antianoxic activity (10-100 mg/kg, i.p.) with low acute toxicity (LD50 > 1000 mg/kg, i.p.). Structure-activity relationship in regard to antianoxic activity of this series of compds. were examined  
 IT 116904-26-8P 116904-27-9P 116904-57-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of antianoxic cerebral protective agent  
 [(pyrimidinyl)carbonyl]piperazine)  
 RN 116904-26-8 HCAPLUS  
 CN Methanone, [4-methyl-6-[(4-nitrophenyl)thio]-2-phenyl-5-pyrimidinyl] (4-

methyl-1-piperazinyl)- (CA INDEX NAME)



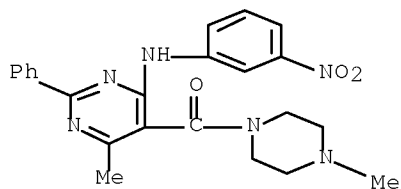
RN 116904-27-9 HCAPLUS

CN Methanone, [4-methyl-6-[(2-nitrophenyl)thio]-2-phenyl-5-pyrimidinyl] (4-methyl-1-piperazinyl)- (CA INDEX NAME)



RN 116904-57-5 HCAPLUS

CN Methanone, [4-methyl-6-[(3-nitrophenyl)amino]-2-phenyl-5-pyrimidinyl] (4-methyl-1-piperazinyl)- (CA INDEX NAME)



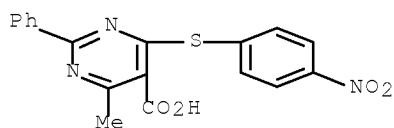
IT 116904-43-9P 116904-44-0P 116904-54-2P  
116904-55-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

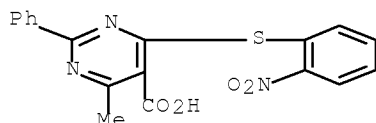
(preparation of antianoxic cerebral protective agent  
[(pyrimidinyl)carbonyl]piperazine)

RN 116904-43-9 HCAPLUS

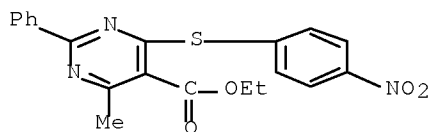
CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-[(4-nitrophenyl)thio]-2-phenyl- (CA INDEX NAME)



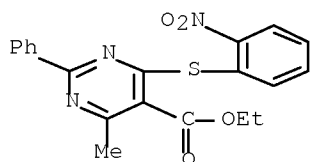
RN 116904-44-0 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-[(2-nitrophenyl)thio]-2-phenyl-  
 (CA INDEX NAME)



RN 116904-54-2 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-[(4-nitrophenyl)thio]-2-phenyl-,  
 ethyl ester (CA INDEX NAME)



RN 116904-55-3 HCAPLUS  
 CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-[(2-nitrophenyl)thio]-2-phenyl-,  
 ethyl ester (CA INDEX NAME)



L53 ANSWER 30 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1994:298579 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 120:298579  
 ORIGINAL REFERENCE NO.: 120:52621a,52624a  
 TITLE: Synthesis and biological properties of  
 5-(hydroxymethyl)pyrimidines  
 AUTHOR(S): Cieplik, Jerzy; Machon, Zdzislaw; Zimecki, Michal;  
 Wieczorek, Zbigniew

Serial No.:10/595,734

CORPORATE SOURCE: Org. Chem. Dep., Med. Acad., Wroclaw, 50-137, Pol.  
SOURCE: Archivum Immunologiae et Therapiae Experimentalis (1993), 41(1), 11-15  
CODEN: AITEAT; ISSN: 0004-069X

DOCUMENT TYPE: Journal  
LANGUAGE: English

ED Entered STN: 11 Jun 1994

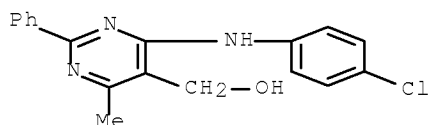
AB Reduction of 4-(arylamino)-6-methyl-2-phenyl-5-pyrimidinecarboxylic acid and its Et ester as well as 5,7-dihydrofuro[3,4-d]pyrimidines gave 4-(arylamino)-6-methyl-2-phenyl-5-(hydroxymethyl)pyrimidines exhibiting strong immunomodulatory and cytostatic properties.

IT 154957-61-6P 154957-64-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and antitumor and immunomodulatory activity of)

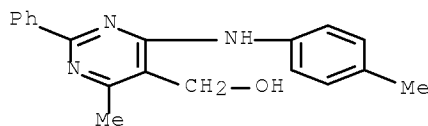
RN 154957-61-6 HCAPLUS

CN 5-Pyrimidinemethanol, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



RN 154957-64-9 HCAPLUS

CN 5-Pyrimidinemethanol, 4-methyl-6-[(4-methylphenyl)amino]-2-phenyl- (CA INDEX NAME)

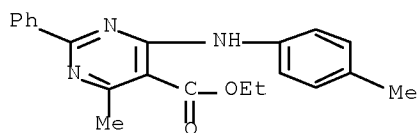


IT 154957-57-0P 154957-58-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reduction of)

RN 154957-57-0 HCAPLUS

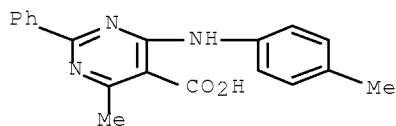
CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-[(4-methylphenyl)amino]-2-phenyl-, ethyl ester (CA INDEX NAME)



RN 154957-58-1 HCAPLUS

Serial No.:10/595,734

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-[(4-methylphenyl)amino]-2-phenyl-  
(CA INDEX NAME)



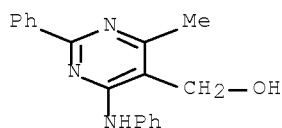
IT 154957-59-2P 154957-60-5P 154957-62-7P

154957-63-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

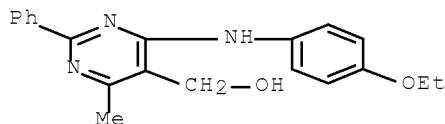
RN 154957-59-2 HCAPLUS

CN 5-Pyrimidinemethanol, 4-methyl-2-phenyl-6-(phenylamino)- (CA INDEX NAME)



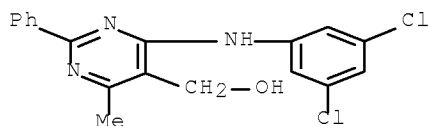
RN 154957-60-5 HCAPLUS

CN 5-Pyrimidinemethanol, 4-[(4-ethoxyphenyl)amino]-6-methyl-2-phenyl- (CA  
INDEX NAME)



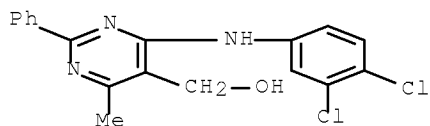
RN 154957-62-7 HCAPLUS

CN 5-Pyrimidinemethanol, 4-[(3,5-dichlorophenyl)amino]-6-methyl-2-phenyl-  
(CA INDEX NAME)

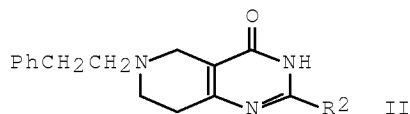
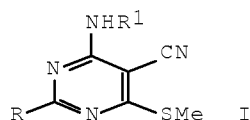


RN 154957-63-8 HCAPLUS

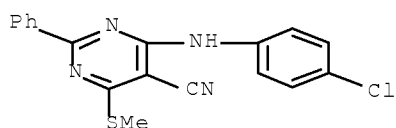
CN 5-Pyrimidinemethanol, 4-[(3,4-dichlorophenyl)amino]-6-methyl-2-phenyl-  
(CA INDEX NAME)



L53 ANSWER 31 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1993:625911 HCAPLUS Full-text  
 DOCUMENT NUMBER: 119:225911  
 ORIGINAL REFERENCE NO.: 119:40327a,40330a  
 TITLE: Chemotherapeutic agents. Part XXIII. Synthesis of  
 $\pi$ -deficient pyrimidines and fused pyrimidines as  
 leishmanicides  
 AUTHOR(S): Ram, Vishnu J.; Haque, Navedul; Nath, Mahendra  
 CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226  
 001, India  
 SOURCE: Indian Journal of Chemistry, Section B: Organic  
 Chemistry Including Medicinal Chemistry (1993  
 ), 32B(7), 754-9  
 CODEN: IJSBDB; ISSN: 0376-4699  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 119:225911  
 ED Entered STN: 27 Nov 1993  
 GI

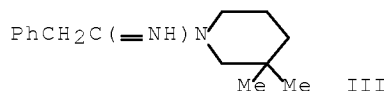
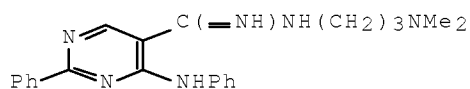


AB Various  $\pi$ -deficient pyrimidines, e.g., I (R = Me, Ph, 4-pyridyl; R1 = H, aryl)  
 and fused pyrimidines, e.g., II (R2 = 4-pyridyl, morpholino, SCH2Ph) have been  
 synthesized and evaluated for their leishmanicidal activity against L.  
 donovani. None of the compds. showed significant activity.  
 IT 150808-02-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 150808-02-9 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 4-[(4-chlorophenyl)amino]-6-(methylthio)-2-  
 phenyl- (CA INDEX NAME)

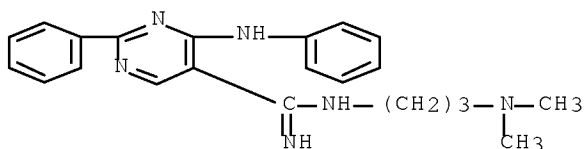




L53 ANSWER 32 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1991:550200 HCAPLUS Full-text  
 DOCUMENT NUMBER: 115:150200  
 ORIGINAL REFERENCE NO.: 115:25499a,25502a  
 TITLE: Influence of some substituted aromatic amidines on  
 monoamine oxidase activity  
 AUTHOR(S): Robev, S.; Tsanova, Ts.  
 CORPORATE SOURCE: Fac. Med., Sofia, 1431, Bulg.  
 SOURCE: Dokladi na Bulgarskata Akademiya na Naukite (  
 1991), 44(1), 67-9  
 CODEN: DBANEH; ISSN: 0861-1459  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 18 Oct 1991  
 GI



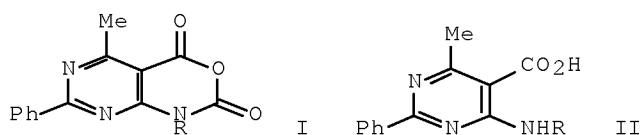
AB 2,6-R<sub>2</sub>C<sub>6</sub>H<sub>4</sub>N:CR<sub>1</sub>NH<sub>2</sub> (R = Cl, Me, Et, R<sub>1</sub> = 4-pyridyl; R = Me, R<sub>1</sub> = Ph; R = H, R<sub>1</sub> = substituted Ph), 4-R<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>C(=NH)NHC<sub>6</sub>H<sub>4</sub>R<sub>3</sub>-4 (I, R<sub>2</sub> = H, Cl; R<sub>3</sub> = H, F, Me), pyrimidine II, and piperidine III caused 30-80% inhibition of monoamine oxidase at 3 + 10<sup>-2</sup> M in vitro. I (R<sub>2</sub> = Cl, R<sub>3</sub> = Me) was most active.  
 IT 116749-74-7  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (monoamine oxidase-inhibiting activity of)  
 RN 116749-74-7 HCAPLUS  
 CN 5-Pyrimidinecarboximidamide, N-[3-(dimethylamino)propyl]-2-phenyl-4-(phenylamino)- (CA INDEX NAME)



L53 ANSWER 33 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1989:192843 HCAPLUS Full-text  
 DOCUMENT NUMBER: 110:192843  
 ORIGINAL REFERENCE NO.: 110:32017a,32020a  
 TITLE: Process for preparing novel 2H-pyrimido[5,4-d][1,3]oxazine-2,4-diones  
 INVENTOR(S): Machon, Zdzislaw; Cieplik, Jerzy; Mulczyk, Marian  
 PATENT ASSIGNEE(S): Akademia Medyczna, Wroclaw, Pol.

SOURCE: Pol., 3 pp.  
 CODEN: POXXA7  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Polish  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 130888	B2	19840929	PL 1982-238609	19821011 <--
PRIORITY APPLN. INFO.:			PL 1982-238609	19821011 <--
OTHER SOURCE(S):	CASREACT 110:192843			
ED Entered STN:	26 May 1989			
GI				

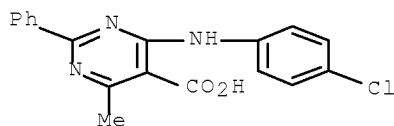


AB The title compds. [I; R = 4-ClC<sub>6</sub>H<sub>4</sub>, 3,4-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 4,3-Cl(F<sub>3</sub>C)C<sub>6</sub>H<sub>3</sub>] are prepared by heating 2-phenyl-4-thio-6-methylpyrimidine-5-carboxylic acid with the corresponding anilines at 180-200° to obtain aminopyrimidine II which is treated with ClCO<sub>2</sub>Et at room temperature. The overall yield of I was 21.7, 48, or 42% for R = 4-ClC<sub>6</sub>H<sub>4</sub>, 3,4-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, or 4,3-Cl(F<sub>3</sub>C)C<sub>6</sub>H<sub>3</sub>, resp., after crystallization from Me<sub>2</sub>CO. The compds. inhibit the growth of Staphylococci, including Staphylococcus aureus, Streptococci, Corynebacteria, and other pathogens in concns. of 50-3 µg/mL.

IT 94036-97-2F 94037-00-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and cyclocondensation of, with Et chloroformate)

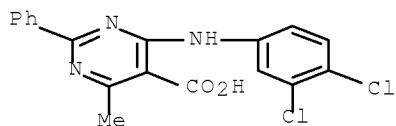
RN 94036-97-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



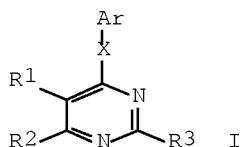
RN 94037-00-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(3,4-dichlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



L53 ANSWER 34 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1988:570451 HCAPLUS Full-text  
 DOCUMENT NUMBER: 109:170451  
 ORIGINAL REFERENCE NO.: 109:28279a,28282a  
 TITLE: Preparation of pyrimidine derivatives as drugs for treating disease and disorders of cerebral blood vessels  
 INVENTOR(S): Takatani, Takao; Takasugi, Hisashi; Kuno, Atsushi; Sugiyama, Yoshie; Sakai, Hiroyoshi; Okubo, Mitsuru  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63107966	A	19880512	JP 1987-124326	19870520 <--
PRIORITY APPLN. INFO.:			JP 1986-117800	A1 19860522 <--
OTHER SOURCE(S):	CASREACT 109:170451; MARPAT 109:170451			
ED Entered STN:	12 Nov 1988			
GI				



AB The title compds. [I; Ar = (nitro or haloalkyl)aryl, fused benzene-heterocyclyl containing N or O; X = bond, lower hydroxyalkylene, lower alkenylene, NH, S, CO; R1 = (esterified) CO2H, lower hydroxyalkyl, lower haloalkyl, (N-substituted) CONH2 or lower aminoalkyl; R2 = H, lower alkyl; optionally R1R2 completing (substituted) N-containing heterocycle; R3 = aryl], were prepared as drugs e.g. for treating apoplexy. A mixture of 6-bromomethyl-4-(3-nitrophenyl)2-phenyl-5-pyrimidinecarboxylic acid Me ester and Me2NCH2CHNH2 in iso-PrOH was stirred at 70° for 1 h to give 6-[2-(dimethylamino)ethyl]4-(3-nitrophenyl)-5-oxo-2-phenyl-6,7-dihydropyrrolo[3,4-d]pyrimidine. The latter at 10 mg/kg i.p. extended the survival time of mice from 28.2 ± 1.1 s (control) to 33.6 ± 2.9 s when the mice were exposed to 100% N atmospheric

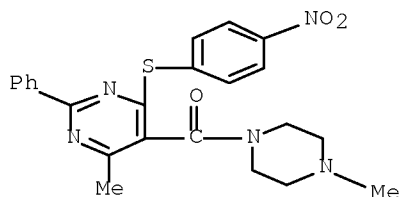
IT 116904-26-8P 116904-27-9P 116904-42-8P  
 116904-43-9P 116904-44-0P 116904-54-2P  
 116904-55-3P 116904-56-4P 116904-57-5P

Serial No.:10/595,734

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as drug for treating apoplexy)

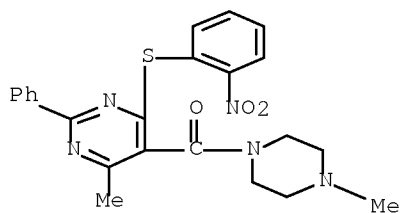
RN 116904-26-8 HCAPLUS

CN Methanone, [4-methyl-6-[(4-nitrophenyl)thio]-2-phenyl-5-pyrimidinyl] (4-methyl-1-piperazinyl)- (CA INDEX NAME)



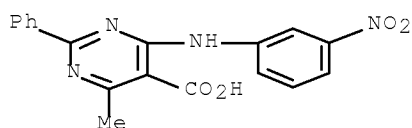
RN 116904-27-9 HCAPLUS

CN Methanone, [4-methyl-6-[(2-nitrophenyl)thio]-2-phenyl-5-pyrimidinyl] (4-methyl-1-piperazinyl)- (CA INDEX NAME)



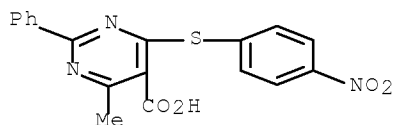
RN 116904-42-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-[(3-nitrophenyl)amino]-2-phenyl- (CA INDEX NAME)



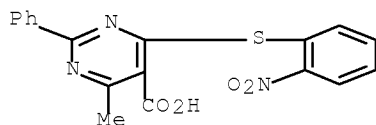
RN 116904-43-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-[(4-nitrophenyl)thio]-2-phenyl- (CA INDEX NAME)



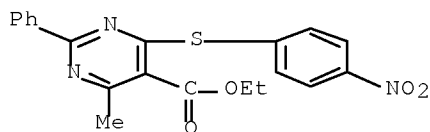
RN 116904-44-0 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-[(2-nitrophenyl)thio]-2-phenyl-  
(CA INDEX NAME)



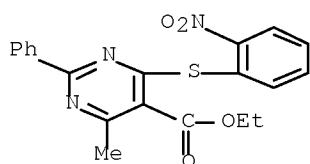
RN 116904-54-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-[(4-nitrophenyl)thio]-2-phenyl-,  
ethyl ester (CA INDEX NAME)



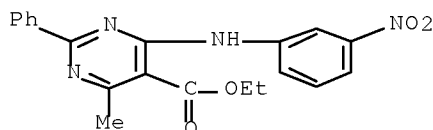
RN 116904-55-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-[(2-nitrophenyl)thio]-2-phenyl-,  
ethyl ester (CA INDEX NAME)

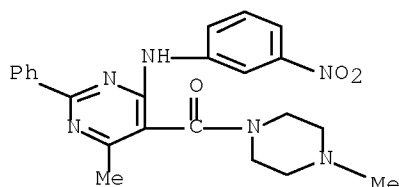


RN 116904-56-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-6-[(3-nitrophenyl)amino]-2-phenyl-,  
ethyl ester (CA INDEX NAME)

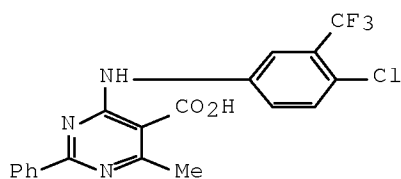


RN 116904-57-5 HCAPLUS  
 CN Methanone, [4-methyl-6-[(3-nitrophenyl)amino]-2-phenyl-5-pyrimidinyl] (4-methyl-1-piperazinyl)- (CA INDEX NAME)



L53 ANSWER 35 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1988:131843 HCAPLUS Full-text  
 DOCUMENT NUMBER: 108:131843  
 ORIGINAL REFERENCE NO.: 108:21635a,21638a  
 TITLE: Preparation of 4-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-6-methyl-2-phenyl-5-pyrimidinecarboxylic acid as a bactericide intermediate  
 INVENTOR(S): Machon, Zdzislaw; Cieplik, Jerzy; Mulczyk, Marian  
 PATENT ASSIGNEE(S): Akademia Medyczna, Wroclaw, Pol.  
 SOURCE: Pol., 2 pp.  
 CODEN: POXXA7  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Polish  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 130008	B2	19840630	PL 1982-238610	19821011 <--
PRIORITY APPLN. INFO.:			PL 1982-238610	19821011 <--
OTHER SOURCE(S):	CASREACT 108:131843			
ED Entered STN:	15 Apr 1988			
GI				



I

AB The title compound (I) is prepared by melting 4-mercapto-6-methyl-2-phenyl-5-pyrimidinecarboxylic acid (II) together with 4,3-Cl(F3C)C6H3NH2 (III) at 180-200°. I is an intermediate for preparation of the bactericide 1-[4-chloro-3-(trifluoromethyl)phenyl]-5-methyl-7-phenyl-2H-pyrimidino[4,5- d][1,3]oxazine-

Serial No.:10/595,734

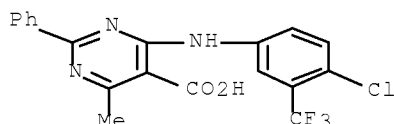
2,4(1H)-dione. Thus, 5 g II was melted with 4 g III for 5h at 190° and the product crystallized from MeOH to give 3.8 g (58%) I.

IT 94037-01-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as bactericide intermediate)

RN 94037-01-1 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-6-methyl-2-phenyl- (CA INDEX NAME)



L53 ANSWER 36 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:21928 HCAPLUS Full-text

DOCUMENT NUMBER: 108:21928

ORIGINAL REFERENCE NO.: 108:3727a,3730a

TITLE: Preparation of azolylaryl(piperazinylphenoxy)dioxolane  
s as medical fungicides

INVENTOR(S): Kampe, Klaus Dieter; Raether, Wolfgang; Dittmar,  
Walter; Haenel, Heinz

PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 49 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

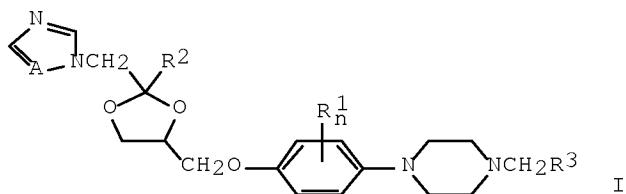
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
DE 3609598	A1	19871001	DE 1986-3609598	19860321 <--
EP 237962	A2	19870923	EP 1987-103588	19870312 <--
EP 237962	A3	19890322		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FI 8701206	A	19870922	FI 1987-1206	19870319 <--
ZA 8702021	A	19871028	ZA 1987-2021	19870319 <--
HU 48236	A2	19890529	HU 1987-1220	19870319 <--
US 4859670	A	19890822	US 1987-28193	19870319 <--
DK 8701440	A	19870922	DK 1987-1440	19870320 <--
NO 8701165	A	19870922	NO 1987-1165	19870320 <--
AU 8770422	A	19870924	AU 1987-70422	19870320 <--
AU 590692	B2	19891109		
JP 62230781	A	19871009	JP 1987-64427	19870320 <--
IL 81950	A	19910630	IL 1987-81950	19870320 <--
CA 1294280	C	19920114	CA 1987-532655	19870320 <--

PRIORITY APPLN. INFO.: DE 1986-3609598 A 19860321 <--

OTHER SOURCE(S): MARPAT 108:21928

ED Entered STN: 23 Jan 1988

GI



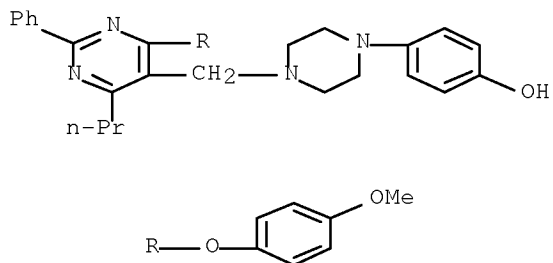
AB The title compds. [I; R<sub>1</sub> = C<sub>1</sub>-3 alkyl, F, Cl; R<sub>2</sub> = naphthyl, thienyl, halothienyl, (substituted) Ph; Y = (substituted) phenylpyrimidinyl, phenylpyridyl, quinolyl, isoquinolyl; A = CH, N; n = 0-2] were prepared as medicinal fungicides. cis-2-S(R)-(2,4-Dichlorophenyl)-2-(1,2,4-triazol-5-ylmethyl)-4-R(S)methanesulfonyloxymethyl-1,3-dioxolane in DMF was added to a mixture of 4-[[4-(4-hydroxyphenyl)-1-piperazinyl]methyl]-6-methoxy-2-phenylpyrimidine and NaH in DMF and the mixture was refluxed 4 h to give 66.6% I (R<sub>1</sub> = H, R<sub>2</sub> = 2,4-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, R<sub>3</sub> = 6-methoxy-2-phenyl-4-pyrimidinyl, A = N). I were up to 60% more effective than terconazole against Trichophyton mentagrophytes.

IT 111921-44-9F

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate for medicinal fungicide)

RN 111921-44-9 HCAPLUS

CN Phenol, 4-[[4-[[4-(4-methoxyphenoxy)-2-phenyl-6-propyl-5-pyrimidinyl]methyl]-1-piperazinyl]- (CA INDEX NAME)



IT 111943-51-2P

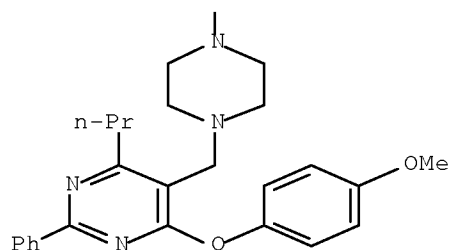
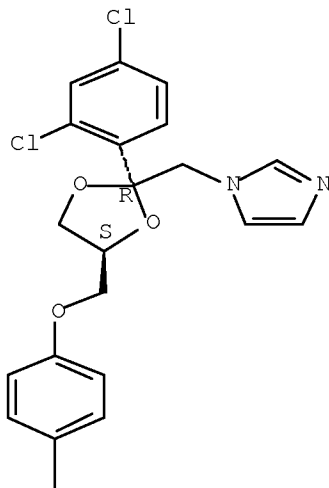
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as medicinal fungicide)

RN 111943-51-2 HCAPLUS

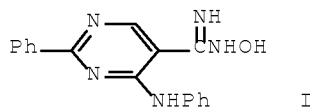
CN Pyrimidine, 5-[[4-[[4-[[2-(2,4-dichlorophenyl)-2-(1H-imidazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]methyl]-4-(4-methoxyphenoxy)-2-phenyl-6-propyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.





L53 ANSWER 37 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1983:191493 HCAPLUS [Full-text](#)  
DOCUMENT NUMBER: 98:191493  
ORIGINAL REFERENCE NO.: 98:28921a,28924a  
TITLE: Pharmacological study of newly synthesized  
2-phenyl-4-anilinopyrimidine-5-amidoxime  
AUTHOR(S): Robev, S.; Boyadzhieva, N.; Dicheva, M.  
CORPORATE SOURCE: Inst. Int. Dis., Med. Acad., Sofia, 1431, Bulg.  
SOURCE: Doklady Bolgarskoi Akademii Nauk (1982),  
35(10), 1451-4  
CODEN: DBANAD; ISSN: 0366-8681  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ED Entered STN: 12 May 1984  
GI

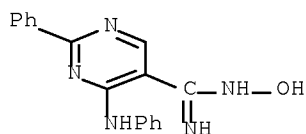


AB I.v. administration of the title compound (I) [85708-68-5] (1, 2, 3, and 4 mg/kg) dose-dependently increased the blood pressure in urethane anesthetized cats. The duration of hypertensive action was 60 min with 1 and 2 mg doses and 90 min with the higher doses. I was synthesized by refluxing 2-phenyl-4-anilino-5-cyanopyrimidine [76521-19-2] with hydroxylamine [7803-49-8]. I is water soluble

IT 85708-68-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and antihypotensive activity of)

RN 85708-68-5 HCAPLUS

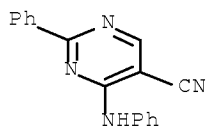
CN 5-Pyrimidinecarboximidamide, N-hydroxy-2-phenyl-4-(phenylamino)- (CA INDEX NAME)



IT 76521-19-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with hydroxylamine)

RN 76521-19-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-phenyl-4-(phenylamino)- (CA INDEX NAME)



L53 ANSWER 38 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1982:423815 HCAPLUS Full-text

DOCUMENT NUMBER: 97:23815

ORIGINAL REFERENCE NO.: 97:4173a, 4176a

TITLE: 7,8-Dihydro-2,5,8-trisubstituted-7-oxopyrido[2,3-d]pyrimidine-6-carboxamides

INVENTOR(S): Scotese, Anthony C.; Morris, Robert L.; Santilli, Arthur A.

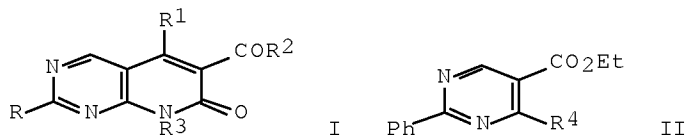
PATENT ASSIGNEE(S): American Home Products Corp., USA

SOURCE: U.S., 14 pp. Cont.-in-part of U.S. 4,215,216.  
 CODEN: USXXAM

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4301281	A	19811117	US 1980-125620	19800228 <--
US 4215216	A	19800729	US 1979-31256	19790418 <--
JP 55141485	A	19801105	JP 1980-50214	19800415 <--
CA 1120475	A1	19820323	CA 1980-350056	19800417 <--
PRIORITY APPLN. INFO.:			US 1979-31256	A2 19790418 <--
			US 1980-116123	A 19800128 <--
			US 1980-125620	A 19800228 <--

OTHER SOURCE(S): CASREACT 97:23815  
 ED Entered STN: 12 May 1984  
 GI



AB Carboxamides I [R = H, OH, C1-6 alkyl, alkylthio, Ph, 4-MeOC<sub>6</sub>H<sub>4</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>, 1-pyrrolidinyl, MePhN; R<sub>1</sub> = OH, (di) C1-6 alkylamino,, HOCH<sub>2</sub>CH<sub>2</sub>NH, C3-8 2-alkoxyethylamino, 4-methyl-1-piperazinyl, 4-morpholinyl, 1-pyrrolidinyl, NH<sub>2</sub>; R<sub>2</sub> = (di)(C1-6 alkyl) amino; R<sub>3</sub> = H, C1-6 alkyl, C3-6 alkoxyethyl, allyl, propargyl, Ph, 4-MeOC<sub>6</sub>H<sub>4</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>, PhCH<sub>2</sub>, 4-MeOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-(4-morpholinyl)phenyl, piperonyl], useful as gastric antisecretory agents and in suppression of allergic manifestations in warm-blooded animals, were prepared Also prepared were esters I (R<sub>2</sub> = C1-6 alkoxy). Aminating chloropyrimidinecarboxylate II (R<sub>4</sub> = Cl) with EtNH<sub>2</sub> in EtOH containing Na<sub>2</sub>CO<sub>3</sub> overnight at room temperature, then 1 h at reflux gave amine derivative II (R<sub>4</sub> = EtNH) which was cyclized with EtO<sub>2</sub>CCH<sub>2</sub>COCl in Et<sub>2</sub>O in 3 h at room temperature, then treated with Na in EtOH to give pyridopyrimidinecarboxylate I (R = Ph, R<sub>1</sub> = OH, R<sub>2</sub> = OEt, R<sub>3</sub> = Et) (III). At 32 mg/kg (rat) intraduodenal, III gave 45% inhibition of gastric total acid output; at 50 mg/kg i.p. or orally, III inhibited 99% allergy response in sensitized rats.

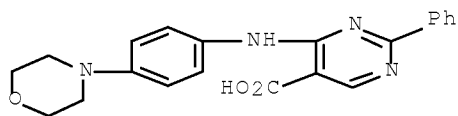
IT 76360-69-5P 76360-77-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

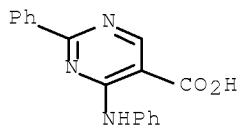
(preparation and cyclization of, with Et chloroformate, pyrimidooxazinedione derivative by)

RN 76360-69-5 HCAPLUS

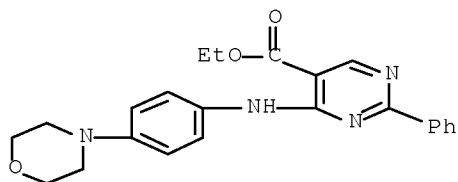
CN 5-Pyrimidinecarboxylic acid, 4-[[4-(4-morpholinyl)phenyl]amino]-2-phenyl- (CA INDEX NAME)



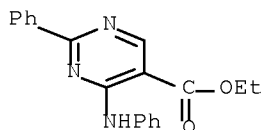
RN 76360-77-5 HCAPLUS  
CN 5-Pyrimidinecarboxylic acid, 2-phenyl-4-(phenylamino)- (CA INDEX NAME)



IT 76360-68-4P 76360-76-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and saponification of)  
RN 76360-68-4 HCAPLUS  
CN 5-Pyrimidinecarboxylic acid, 4-[[4-(4-morpholinyl)phenyl]amino]-2-phenyl-, ethyl ester (CA INDEX NAME)



RN 76360-76-4 HCAPLUS  
CN 5-Pyrimidinecarboxylic acid, 2-phenyl-4-(phenylamino)-, ethyl ester (CA INDEX NAME)



L53 ANSWER 39 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1974:520687 HCAPLUS [Full-text](#)  
DOCUMENT NUMBER: 81:120687  
ORIGINAL REFERENCE NO.: 81:19091a,19094a  
TITLE: 2-Aryl-4-amino-5-cyano pyrimidine derivatives

# Serial No.:10/595,734

INVENTOR(S): Kim, Dong H.; Santilli, Arthur A.  
 PATENT ASSIGNEE(S): American Home Products Corp.  
 SOURCE: U.S., 3 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3816423	A	19740611	US 1972-285153	19720831 <--
PRIORITY APPLN. INFO.:			US 1972-285153	19720831 <--

ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

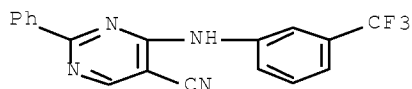
AB The pyrimidines I (R = m-F3CC6H4NH; R1 = CN, 1H-tetrazol-5-yl), with central nervous system depressant activity in mice and antiinflammatory activity in rats, were prepared from I (R = Cl, R1 = CN) (II). Thus, II was refluxed with m-F3CC6H4NH2 in EtOH for 1 hr to give I (R = m-F3CC6H4NH, R1 = CN) which was heated with NaN3-NH4Cl in DMF at 128° for 18 hr to give I (R = m-F3-CC6H4NH, R1 = 1H-tetrazol-5-yl).

IT 53338-10-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction with sodium azide)

RN 53338-10-6 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-phenyl-4-[[3-(trifluoromethyl)phenyl]amino]-  
 (CA INDEX NAME)

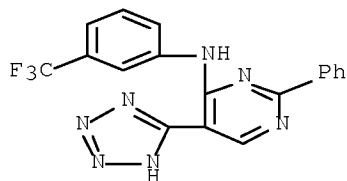


IT 53415-45-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 53415-45-5 HCAPLUS

CN 4-Pyrimidinamine, 2-phenyl-5-(1H-tetrazol-5-yl)-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



## Search History

L1           1 SEA ABB=ON   PLU=ON   US2007-595734/APPS

FILE 'REGISTRY' ENTERED AT 14:30:53 ON 09 OCT 2008

L2           143 SEA ABB=ON   PLU=ON   (103-90-2/BI OR 11041-12-6/BI OR 1247-42-3/  
BI OR 134523-00-5/BI OR 1406-18-4/BI OR 141907-41-7/BI OR  
14417-88-0/BI OR 15687-27-1/BI OR 23187-87-3/BI OR 23288-49-5/B  
I OR 25812-30-0/BI OR 299406-55-6/BI OR 300359-06-2/BI OR  
300359-07-3/BI OR 300359-08-4/BI OR 300719-05-5/BI OR 300837-31  
-4/BI OR 303147-11-7/BI OR 303147-12-8/BI OR 303147-40-2/BI OR  
303147-41-3/BI OR 303147-45-7/BI OR 306980-56-3/BI OR 306980-58  
-5/BI OR 307332-77-0/BI OR 307332-78-1/BI OR 312499-77-7/BI OR  
312626-14-5/BI OR 312626-15-6/BI OR 315194-30-0/BI OR 320418-43  
-7/BI OR 320418-48-2/BI OR 320418-49-3/BI OR 320421-36-1/BI OR  
329077-80-7/BI OR 329900-75-6/BI OR 329967-85-3/BI OR 330221-00  
-6/BI OR 330819-79-9/BI OR 330981-36-7/BI OR 330981-37-8/BI OR  
330981-38-9/BI OR 330981-39-0/BI OR 330981-41-4/BI OR 330981-42  
-5/BI OR 330981-45-8/BI OR 330981-47-0/BI OR 330981-49-2/BI OR  
330981-52-7/BI OR 330981-53-8/BI OR 330981-54-9/BI OR 330981-55  
-0/BI OR 330981-59-4/BI OR 330981-60-7/BI OR 330981-61-8/BI OR  
330981-63-0/BI OR 330981-64-1/BI OR 330981-65-2/BI OR 330981-70  
-9/BI OR 330993-01-6/BI OR 330993-02-7/BI OR 331648-43-2/BI OR  
331648-44-3/BI OR 331848-81-8/BI OR 331971-30-3/BI OR 332374-83  
-1/BI OR 333415-58-0/BI OR 337488-96-7/BI OR 338395-36-1/BI OR  
338960-71-7/BI OR 338960-72-8/BI OR 338960-73-9/BI OR 338960-74  
-0/BI OR 338960-75-1/BI OR 338960-76-2/BI OR 338960-93-3/BI OR  
338960-99-9/BI OR 338967-63-8/BI OR 339279-05-9/BI OR 339279-06  
-0/BI OR 339279-07-1/BI OR 339279-08-2/BI OR 339279-21-9/BI OR  
339279-27-5/BI OR 371199-20-1/BI OR 371199-57-4/BI OR 380472-88  
-8/BI OR 380571-66-4/BI OR 381683-04-1/BI OR 383146-83-6/BI OR  
415699-44-4/BI OR 41859-67-0/BI OR 419548-22-4/BI OR 420104-18-  
3/BI OR 477710-02-4/BI OR 477886-15-0/BI OR 477886-16-1/BI OR  
477886-19-4/BI OR 478031-54-8/BI OR 478031-59-3/BI OR

L3           98 SEA ABB=ON   PLU=ON   L2 AND N>=2

L4           0 SEA ABB=ON   PLU=ON   CNC3N/ESS

L5           0 SEA ABB=ON   PLU=ON   CNC3N/EA

L6           1202898 SEA ABB=ON   PLU=ON   NCNC3/ES

L7           89 SEA ABB=ON   PLU=ON   L3 AND L6

FILE 'REGISTRY' ENTERED AT 14:45:06 ON 09 OCT 2008

L8           STRUCTURE UPLOADED

L9           43848 SEA SSS FUL L8

L10          84 SEA ABB=ON   PLU=ON   L9 AND L2

L11          STRUCTURE UPLOADED

L12          50 SEA SUB=L9   SSS SAM L11

L13          22912 SEA SUB=L9   SSS FUL L11

FILE 'HCAPLUS' ENTERED AT 14:47:53 ON 09 OCT 2008

L14          2218 SEA ABB=ON   PLU=ON   L13

FILE 'REGISTRY' ENTERED AT 14:56:42 ON 09 OCT 2008

L15          STRUCTURE UPLOADED

L16          50 SEA SUB=L9   SSS SAM L15

L17          21553 SEA SUB=L9   SSS FUL L15

L18          84 SEA ABB=ON   PLU=ON   L13 AND L3

L19          21553 SEA ABB=ON   PLU=ON   L13 AND L17

Serial No.:10/595,734

L20 84 SEA ABB=ON PLU=ON L3 AND L17  
L21 STRUCTURE UPLOADED  
L22 50 SEA SUB=L9 SSS SAM L21  
L23 15870 SEA SUB=L9 SSS FUL L21

FILE 'HCAPLUS' ENTERED AT 15:01:40 ON 09 OCT 2008  
L24 1711 SEA ABB=ON PLU=ON L23  
L25 1380 SEA ABB=ON PLU=ON L24 AND (PRY<=2003 OR AY<=2003 OR PY<=2003)

FILE 'REGISTRY' ENTERED AT 15:14:00 ON 09 OCT 2008  
L26 STRUCTURE UPLOADED  
L27 50 SEA SUB=L9 SSS SAM L26  
L28 6063 SEA SUB=L9 SSS FUL L26

FILE 'HCAPLUS' ENTERED AT 15:14:52 ON 09 OCT 2008  
L29 1183 SEA ABB=ON PLU=ON L28  
L30 985 SEA ABB=ON PLU=ON L29 AND (PRY<=2003 OR AY<=2003 OR PY<=2003)  
L31 STRUCTURE UPLOADED

FILE 'REGISTRY' ENTERED AT 15:20:11 ON 09 OCT 2008  
L32 0 SEA SUB=L9 SSS SAM L31

FILE 'HCAPLUS' ENTERED AT 15:20:12 ON 09 OCT 2008  
L33 0 SEA ABB=ON PLU=ON L32

FILE 'REGISTRY' ENTERED AT 15:20:15 ON 09 OCT 2008  
L34 0 SEA SUB=L9 SSS SAM L31  
L35 0 SEA SUB=L9 SSS FUL L31  
L36 STRUCTURE UPLOADED  
D  
L37 0 SEA SUB=L9 SSS SAM L36  
L38 0 SEA SUB=L9 SSS FUL L36

FILE 'REGISTRY' ENTERED AT 15:32:49 ON 09 OCT 2008  
L39 STRUCTURE UPLOADED  
L40 50 SEA SUB=L9 SSS SAM L39  
L41 6000 SEA SUB=L9 SSS FUL L39

FILE 'HCAPLUS' ENTERED AT 15:33:36 ON 09 OCT 2008  
L42 849 SEA ABB=ON PLU=ON L41

FILE 'REGISTRY' ENTERED AT 15:41:13 ON 09 OCT 2008  
L43 STRUCTURE UPLOADED  
L44 50 SEA SUB=L9 SSS SAM L43  
L45 5277 SEA SUB=L9 SSS FUL L43

FILE 'HCAPLUS' ENTERED AT 15:42:03 ON 09 OCT 2008  
L46 765 SEA ABB=ON PLU=ON L45

FILE 'REGISTRY' ENTERED AT 15:44:36 ON 09 OCT 2008  
L47 STRUCTURE UPLOADED  
L48 STRUCTURE UPLOADED  
L49 50 SEA SUB=L9 SSS SAM L48  
L50 1776 SEA SUB=L9 SSS FUL L48

FILE 'HCAPLUS' ENTERED AT 15:45:28 ON 09 OCT 2008  
L51 193 SEA ABB=ON PLU=ON L50  
L52 157 SEA ABB=ON PLU=ON L51 AND (PRY<=2003 OR AY<=2003 OR PY<=2003)  
L53 39 SEA ABB=ON PLU=ON L52 AND 1/SC, SX

Serial No.:10/595,734

L54            248 SEA ABB=ON   PLU=ON   L30 AND 1/SC, SX

FILE 'HCAPLUS' ENTERED AT 16:00:45 ON 09 OCT 2008

L55           7056 SEA ABB=ON   PLU=ON   MARTIN R?/AU

L56           767 SEA ABB=ON   PLU=ON   MOHAN R?/AU

L57           26 SEA ABB=ON   PLU=ON   ORDENTLICH P?/AU

L58           7827 SEA ABB=ON   PLU=ON   (L55 OR L56 OR L57)

L59           1 SEA ABB=ON   PLU=ON   L58 AND L14